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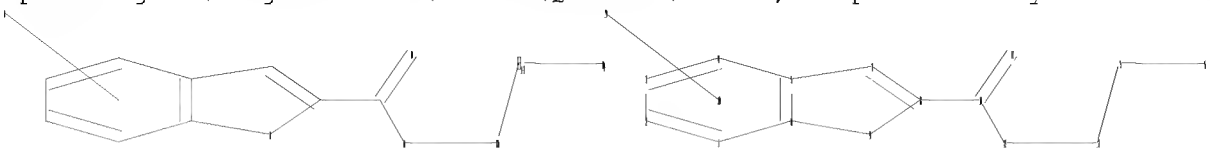

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ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
8-10 10-11 10-12 12-13 13-15 15-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
5-7 6-9 7-8 8-9 10-11 10-12 15-16
exact bonds :
8-10 12-13 13-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:Atom 15:CLASS 16:Atom 19:CLASS 20:Atom

L8 STRUCTURE UPLOADED

=> d l8
L8 HAS NO ANSWERS
L8 STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s l8 sss sam
SAMPLE SEARCH INITIATED 15:56:47 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 20695 TO ITERATE

9.7% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 405285 TO 422515

PROJECTED ANSWERS: 0 TO 0

L9 0 SEA SSS SAM L8

=> s l8 sss full
FULL SEARCH INITIATED 15:56:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 412240 TO ITERATE

100.0% PROCESSED 412240 ITERATIONS 60 ANSWERS
SEARCH TIME: 00.00.15

L10 60 SEA SSS FUL L8

=> FIL CAPLUS
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 186.84 617.14

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY TOTAL SESSION
CA SUBSCRIBER PRICE 0.00 -32.80

FILE 'CAPLUS' ENTERED AT 15:57:41 ON 13 OCT 2009
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FILE COVERS 1907 - 13 Oct 2009 VOL 151 ISS 16
FILE LAST UPDATED: 12 Oct 2009 (20091012/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l10
L11 7 L10

=> d ibib abs hitstr 1-
YOU HAVE REQUESTED DATA FROM 7 ANSWERS - CONTINUE? Y/(N):y

L11 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1045236 CAPLUS <<LOGINID::20091013>>

DOCUMENT NUMBER: 149:307680
TITLE: Preparation of N-piperidinylethylcyclohexyl indolecarboxamide derivatives as inhibitors of chemokine receptors or macrophage protein
INVENTOR(S): Hersperger, Rene; Janser, Philipp; Miltz, Wolfgang
PATENT ASSIGNEE(S): Novartis AG, Switz.
SOURCE: PCT Int. Appl., 70pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008101905	A1	20080828	WO 2008-EP51951	20080218
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2008219317	A1	20080828	AU 2008-219317	20080218
KR 2009103943	A	20091001	KR 2009-717079	20080218
PRIORITY APPLN. INFO.:			EP 2007-102622 A	20070219
			WO 2008-EP51951 W	20080218

OTHER SOURCE(S): MARPAT 149:307680
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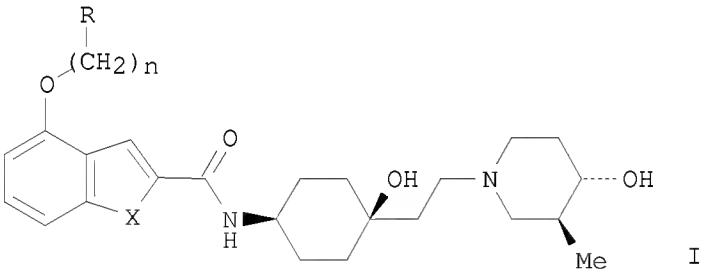

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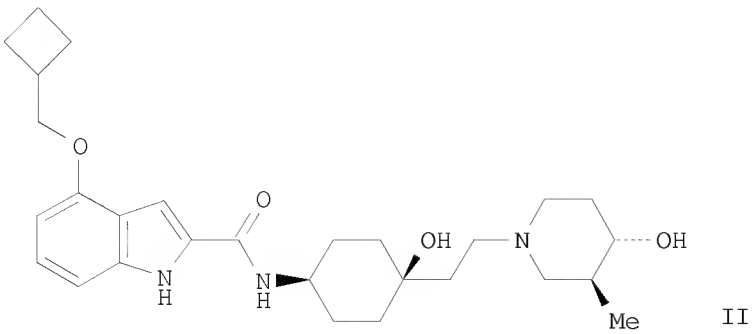


II

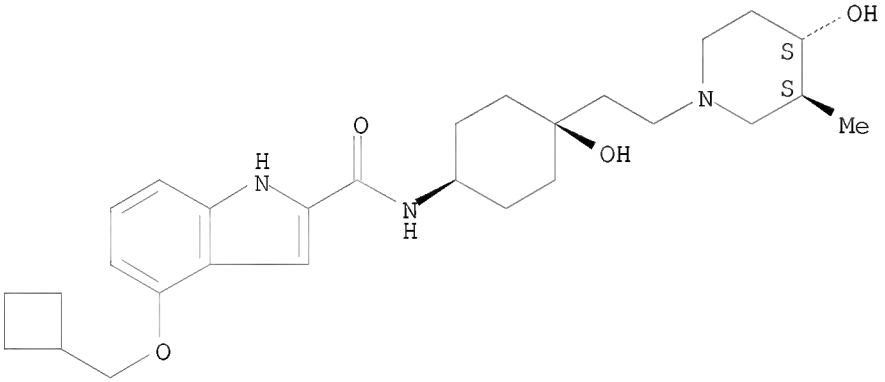
AB Title compds. represented by the formula I [wherein X = CH2 or NH; n = 1 or 2; R = (un)substituted (hetero)alkyl or (hetero)aryl; and pharmaceutically acceptable salts, esters or prodrugs thereof] were prepared as inhibitors of chemokine receptors or macrophage protein. The process of preparation of the invention compds. was described, 29 final compound were obtained, such as II. I had IC50 values between 0.0002 and 10 μM in CCR2/CCR5 membrane and functional assay. Thus, I and their pharmaceutical compns. are useful for the treatment of an autoimmune or inflammatory disease or condition.

IT 1050425-64-3P
RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[(piperidinyl)ethylcyclohexyl] indole-2-carboxamide derivs. as inhibitors of chemokine receptors or macrophage protein)

RN 1050425-64-3 CAPLUS

CN 1H-Indole-2-carboxamide, 4-(cyclobutylmethoxy)-N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 1050424-98-0P 1050425-00-7P 1050425-01-8P
1050425-03-0P 1050425-05-2P 1050425-08-5P
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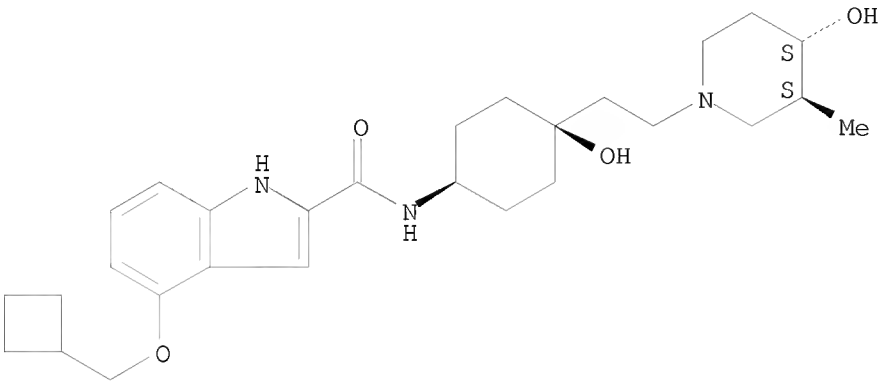
1050425-40-5P 1050425-43-8P 1050425-45-0P
1050425-48-3P 1050425-51-8P 1050425-53-0P
1050425-54-1P 1050425-55-2P 1050425-56-3P
1050425-59-6P 1050425-61-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[(piperidinyl)ethylcyclohexyl] indole-2-carboxamide derivs. as inhibitors of chemokine receptors or macrophage protein)

RN 1050424-98-0 CAPLUS

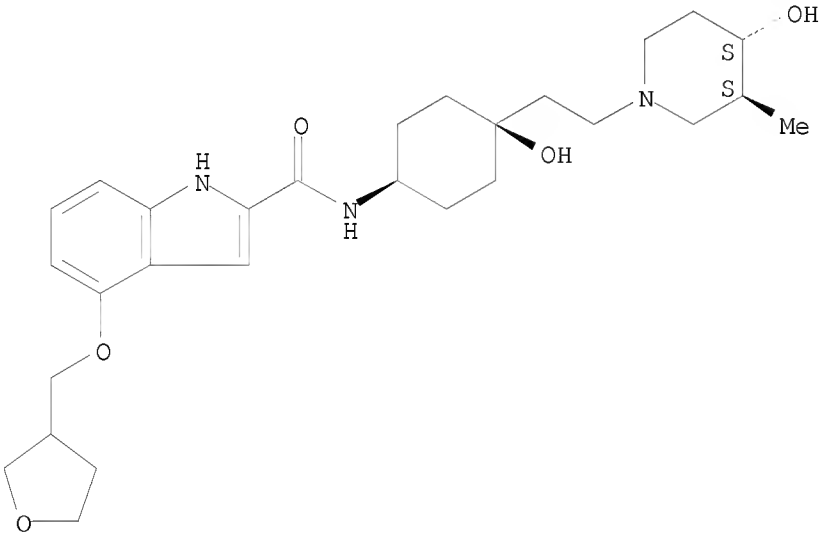
CN 1H-Indole-2-carboxamide, 4-(cyclobutylmethoxy)-N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.



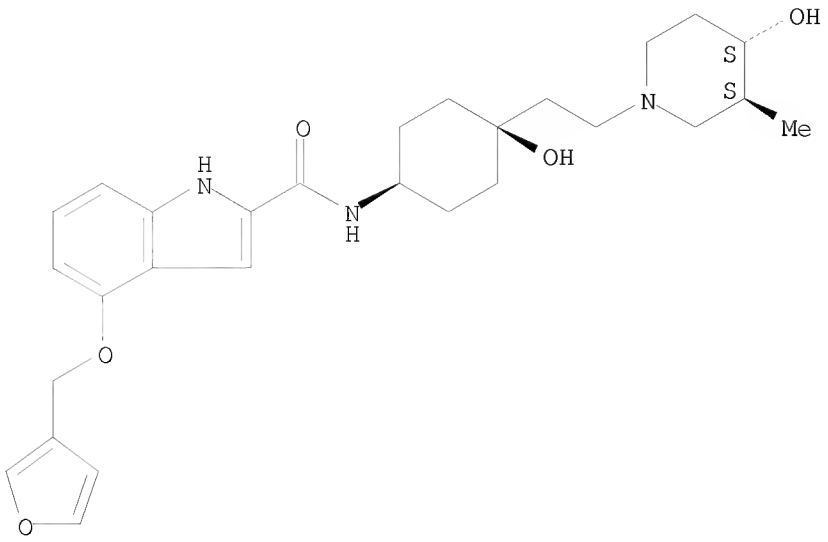
RN 1050425-00-7 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[(tetrahydro-3-furanyl)methoxy]- (CA INDEX NAME)

Absolute stereochemistry.



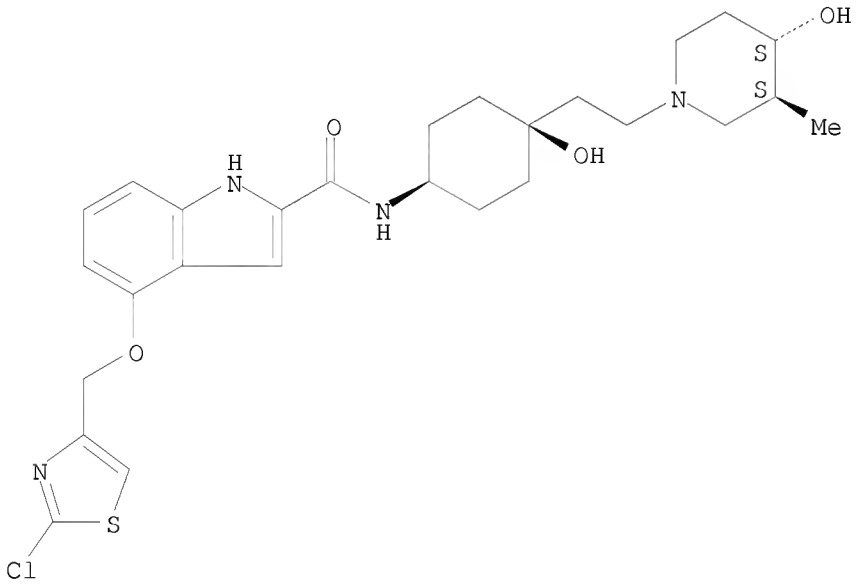
RN 1050425-01-8 CAPLUS
CN 1H-Indole-2-carboxamide, 4-(3-furanylmethoxy)-N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.



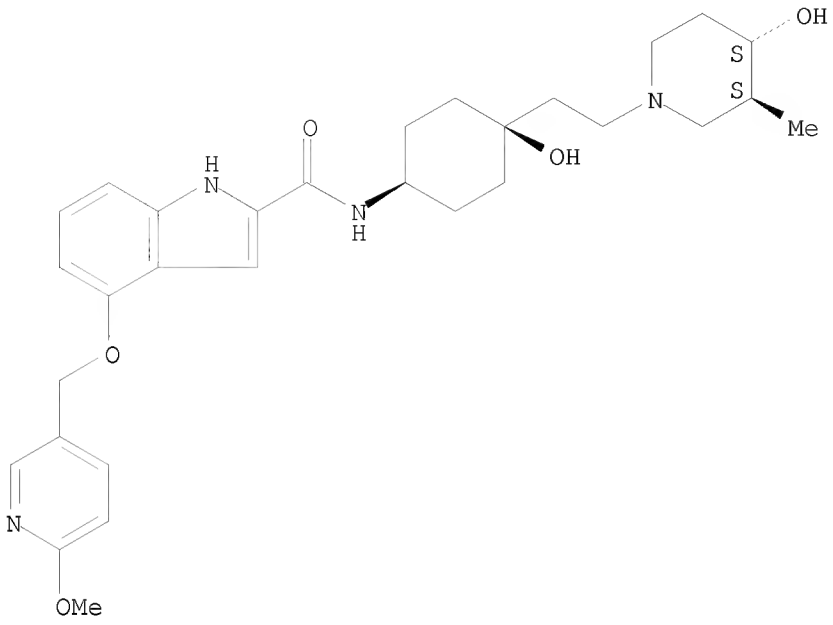
RN 1050425-03-0 CAPLUS
CN 1H-Indole-2-carboxamide, 4-[(2-chloro-4-thiazolyl)methoxy]-N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.



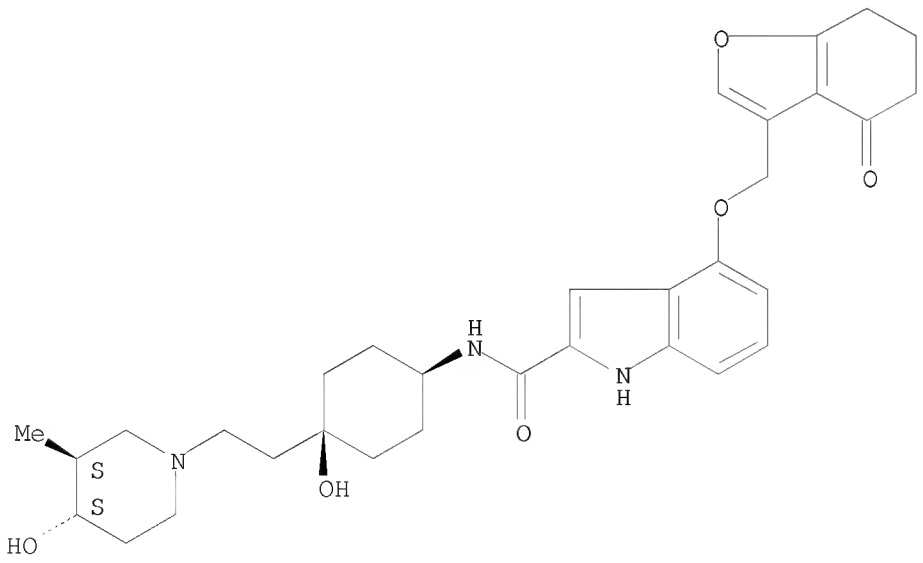
RN 1050425-05-2 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[(6-methoxy-3-pyridinyl)methoxy]- (CA INDEX NAME)

Absolute stereochemistry.



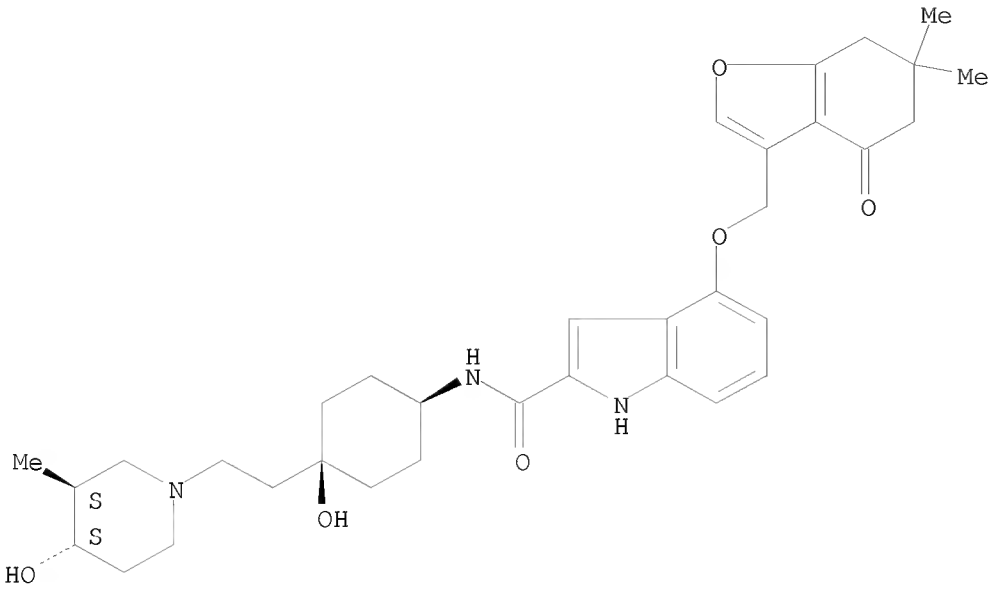
RN 1050425-08-5 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[(4,5,6,7-tetrahydro-4-oxo-3-benzofuranyl)methoxy]- (CA INDEX NAME)

Absolute stereochemistry.



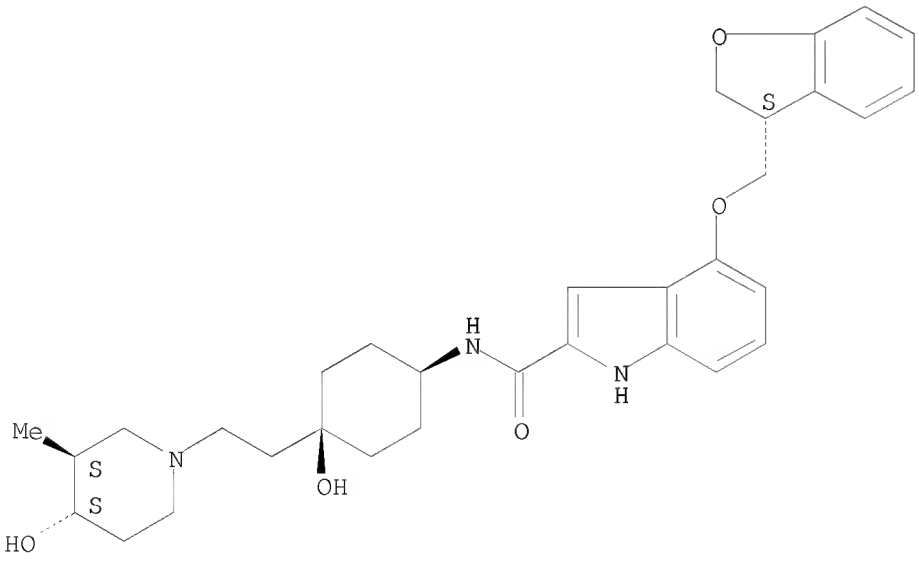
RN 1050425-12-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[(4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-3-benzofuranyl)methoxy]- (CA INDEX NAME)

Absolute stereochemistry.



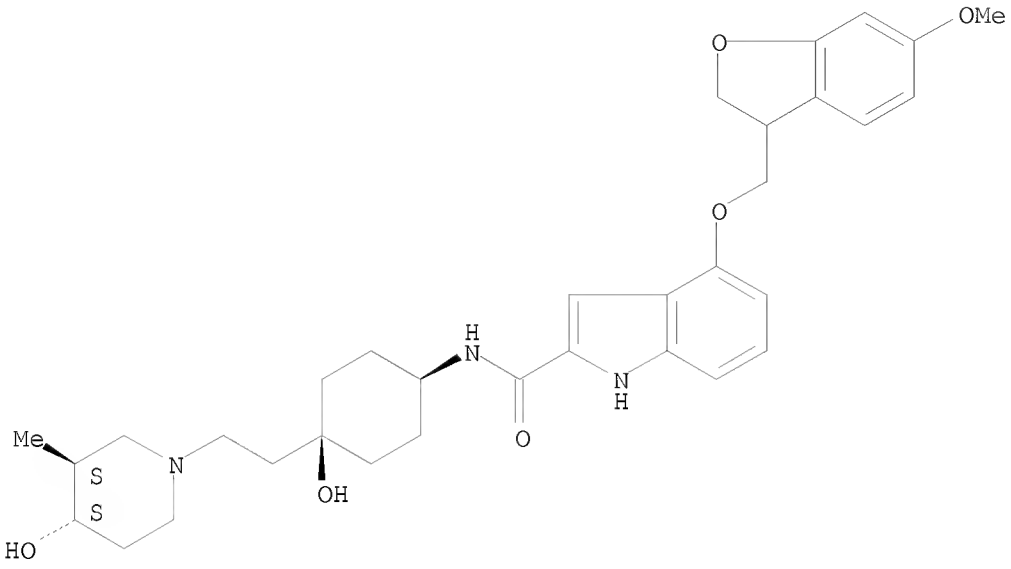
RN 1050425-15-4 CAPLUS
CN 1H-Indole-2-carboxamide, 4-[[(3S)-2,3-dihydro-3-benzofuranyl]methoxy]-N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.



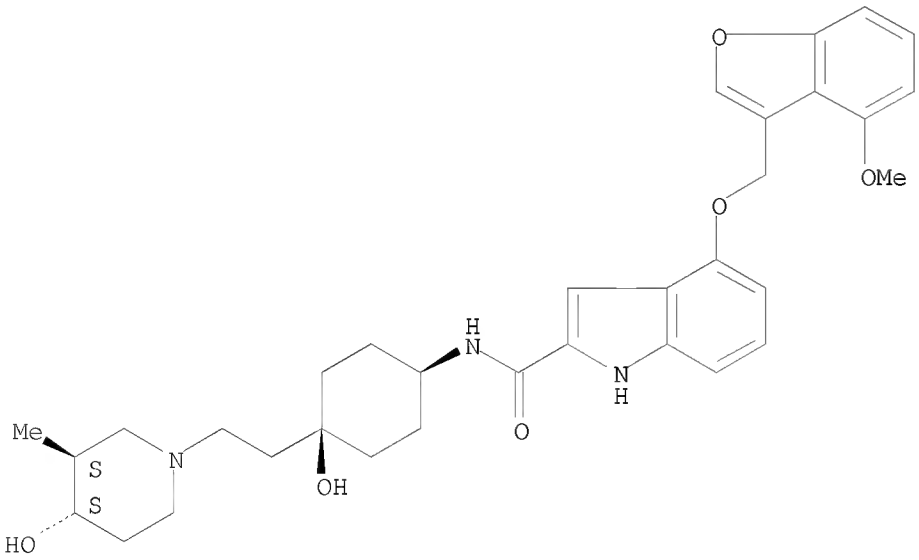
RN 1050425-18-7 CAPLUS
CN 1H-Indole-2-carboxamide, 4-[(2,3-dihydro-6-methoxy-3-benzofuranyl)methoxy]-N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.



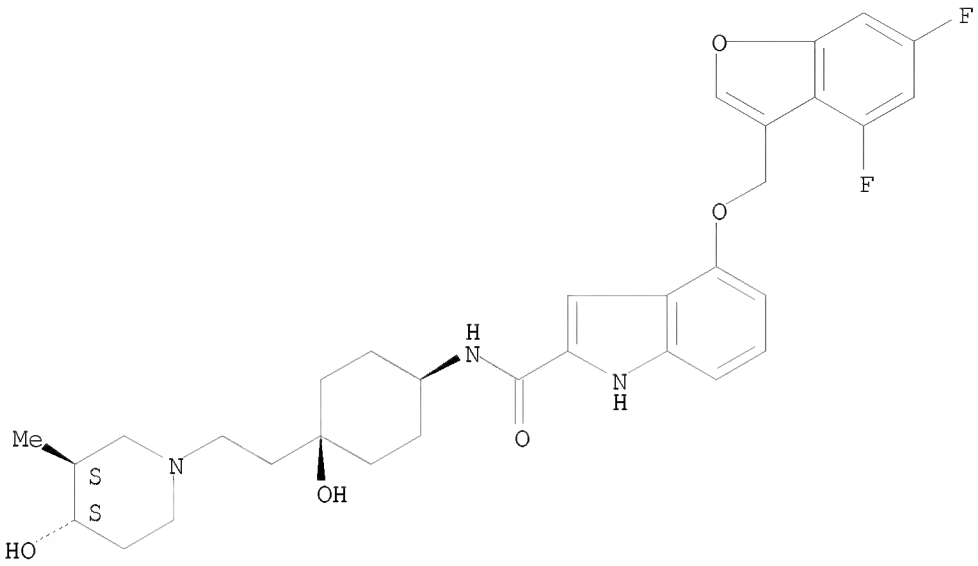
RN 1050425-21-2 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[(4-methoxy-3-benzofuranyl)methoxy]- (CA INDEX NAME)

Absolute stereochemistry.



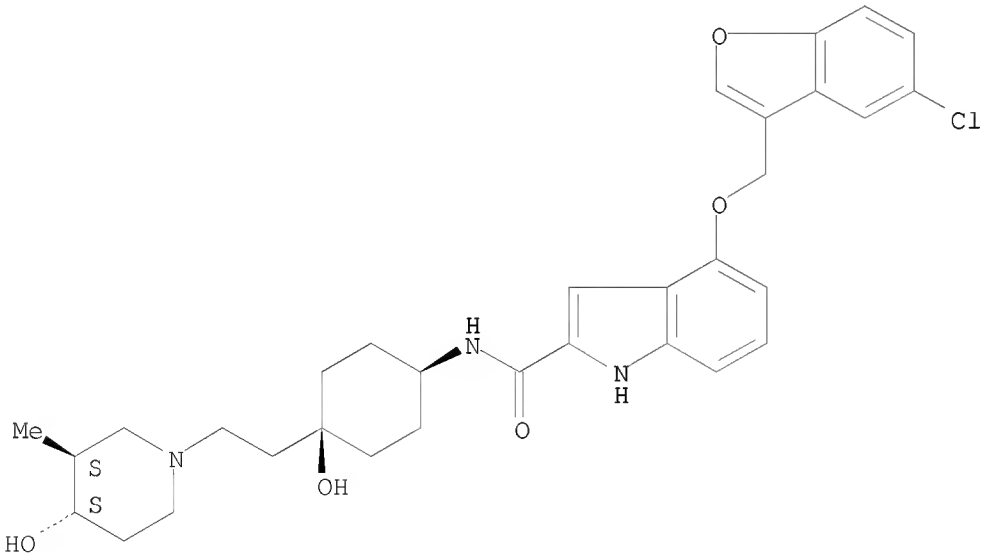
RN 1050425-23-4 CAPLUS
CN 1H-Indole-2-carboxamide, 4-[(4,6-difluoro-3-benzofuranyl)methoxy]-N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.



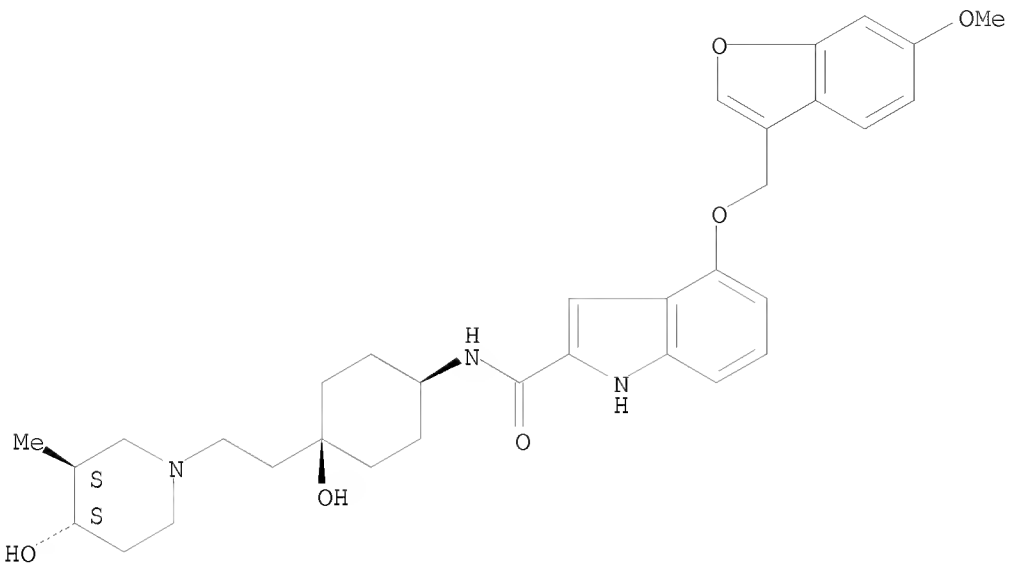
RN 1050425-24-5 CAPLUS
CN 1H-Indole-2-carboxamide, 4-[(5-chloro-3-benzofuranyl)methoxy]-N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-(CA INDEX NAME)

Absolute stereochemistry.



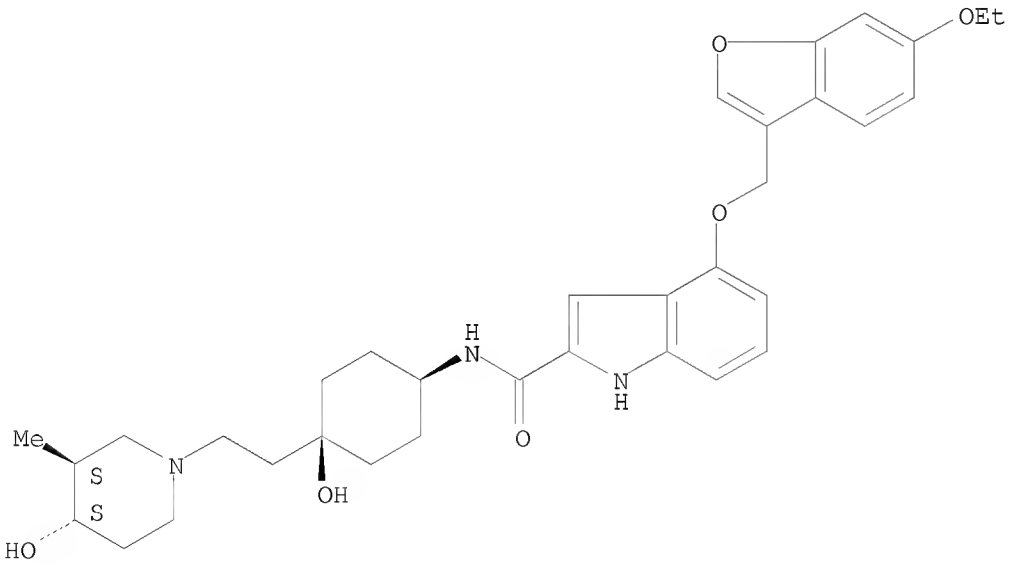
RN 1050425-26-7 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[(6-methoxy-3-benzofuranyl)methoxy]-(CA INDEX NAME)

Absolute stereochemistry.



RN 1050425-29-0 CAPLUS
CN 1H-Indole-2-carboxamide, 4-[(6-ethoxy-3-benzofuranyl)methoxy]-N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]- (CA INDEX NAME)

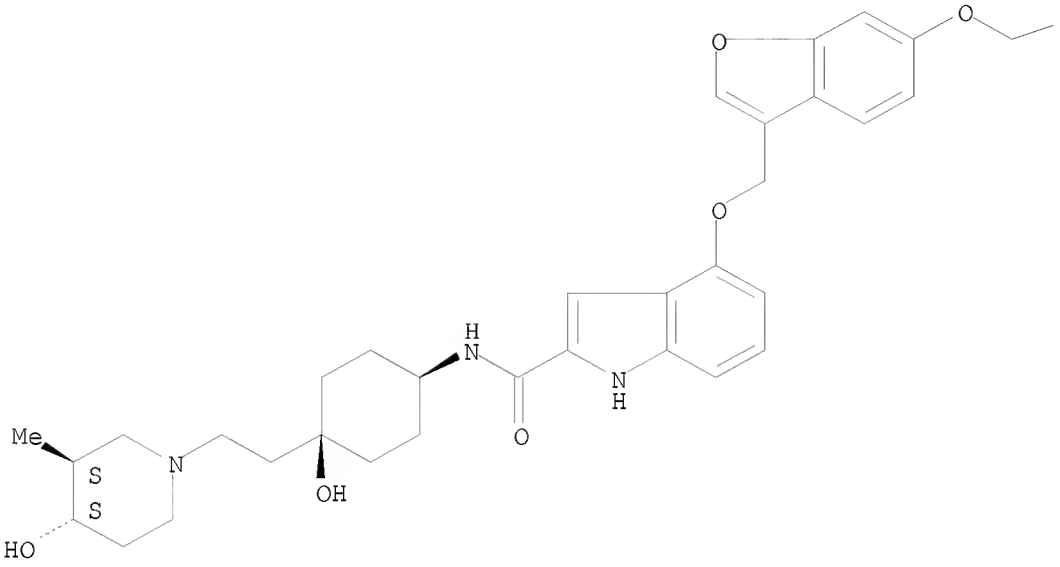
Absolute stereochemistry.



RN 1050425-31-4 CAPLUS
CN 1H-Indole-2-carboxamide, 4-[[6-(cyclopropylmethoxy)-3-benzofuranyl]methoxy]-N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

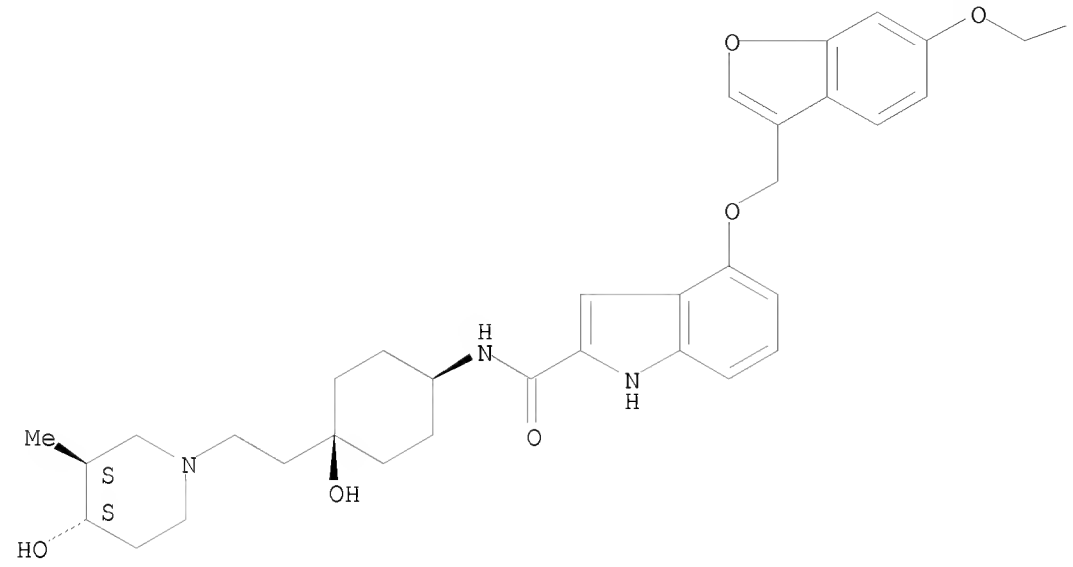


PAGE 1-B

RN 1050425-34-7 CAPLUS
CN 1H-Indole-2-carboxamide, 4-[[6-(2-ethoxyethoxy)-3-benzofuranyl]methoxy]-N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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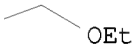
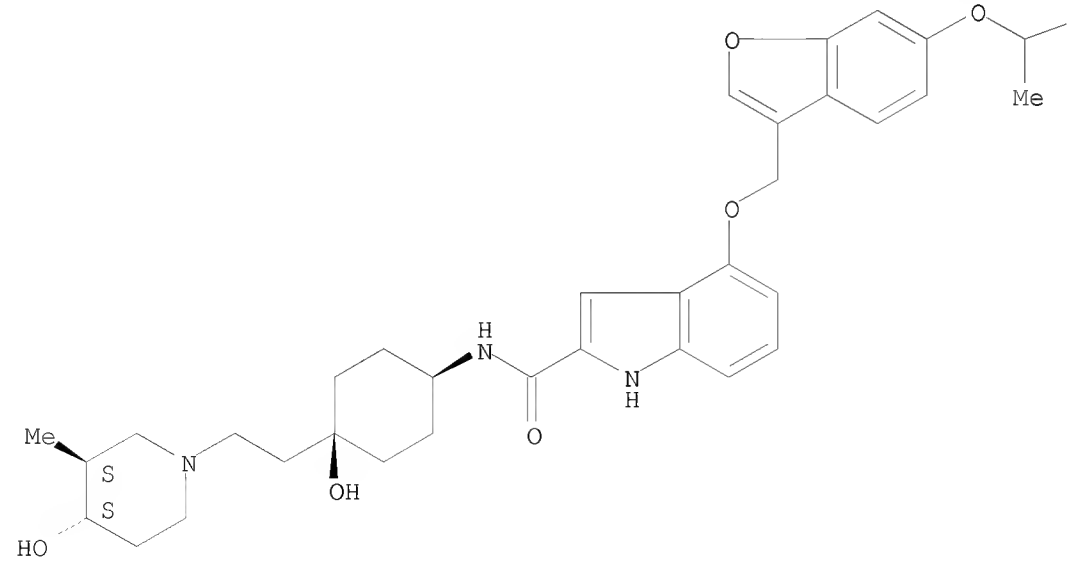


RN 1050425-36-9 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[[6-(2-methoxy-1-methylethoxy)-3-benzofuranyl]methoxy]- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

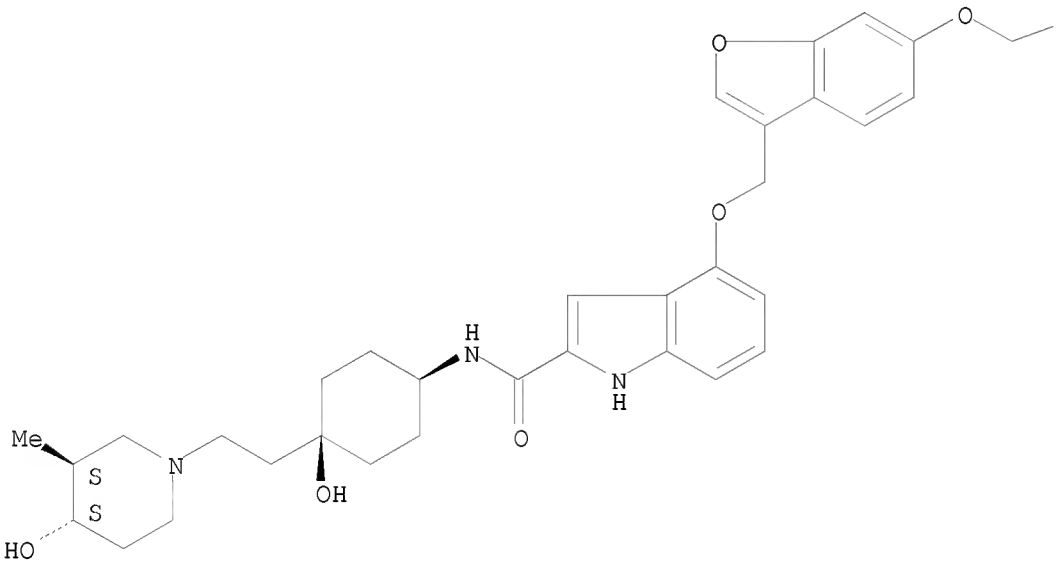


PAGE 1-B

RN 1050425-37-0 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[[6-[2-(1-methylethoxy)ethoxy]-3-benzofuranyl]methoxy]- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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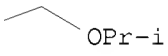
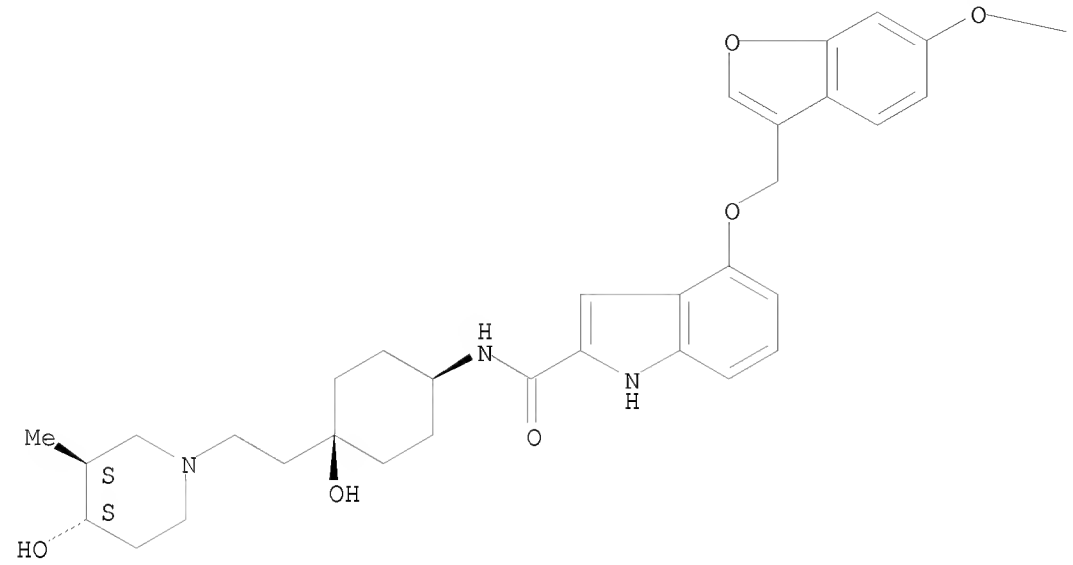


RN 1050425-40-5 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[[6-(3-methoxypropoxy)-3-benzofuranyl]methoxy]- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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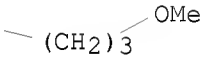
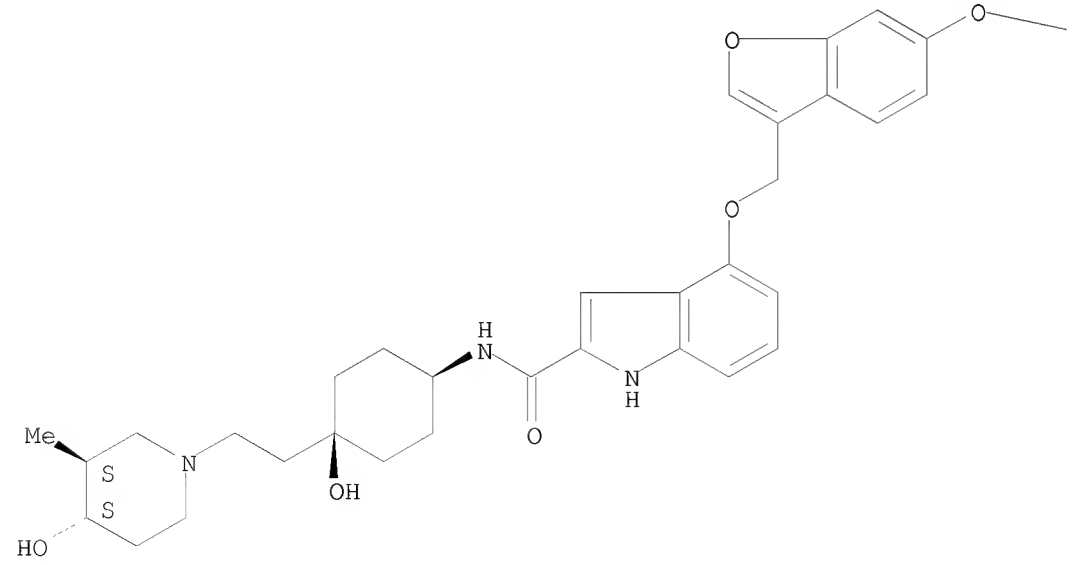


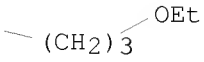
RN 1050425-43-8 CAPLUS
CN 1H-Indole-2-carboxamide, 4-[[6-(3-ethoxypropoxy)-3-benzofuranyl]methoxy]-N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



RN 1050425-45-0 CAPLUS
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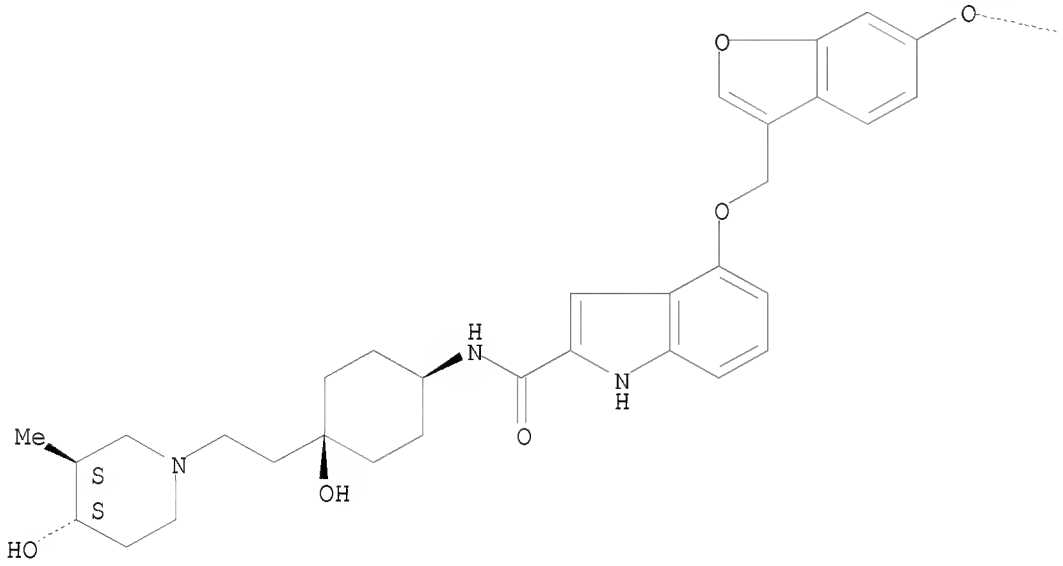
Absolute stereochemistry.

PAGE 1-A



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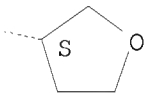
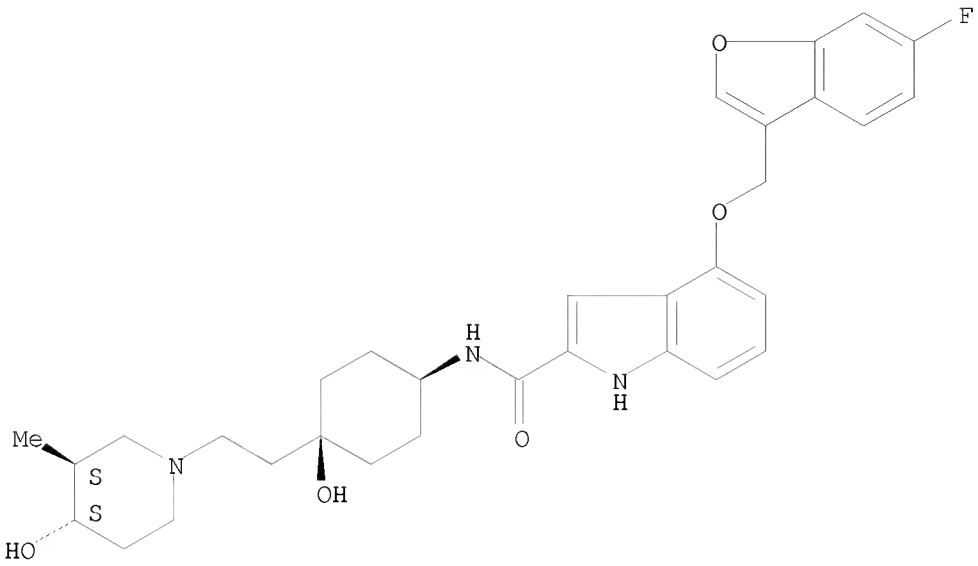


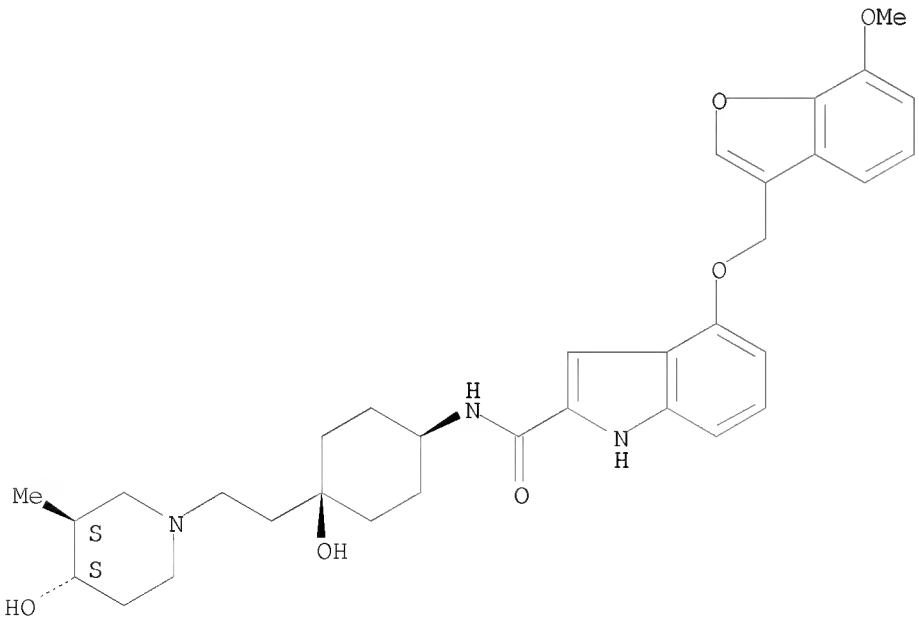
RN 1050425-48-3 CAPLUS
CN 1H-Indole-2-carboxamide, 4-[(6-fluoro-3-benzofuranyl)methoxy]-N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.



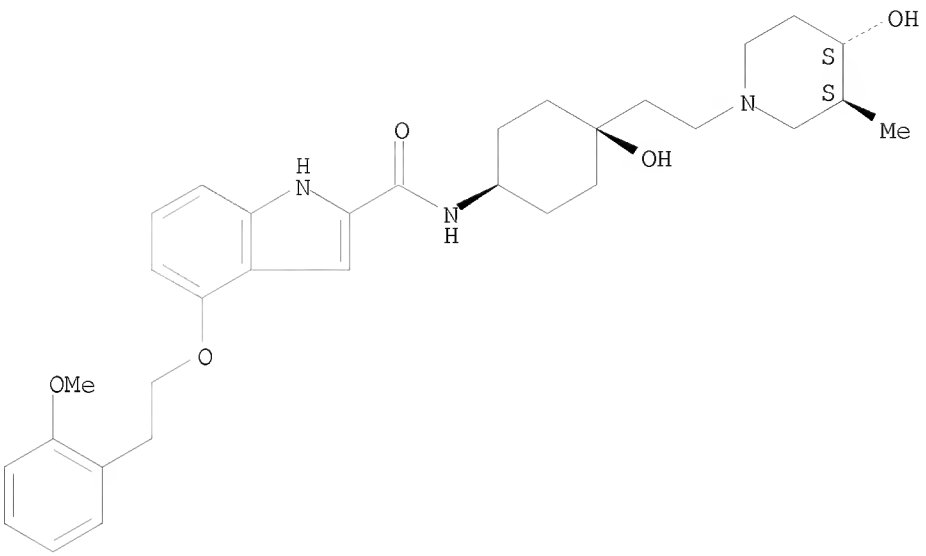
RN 1050425-51-8 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[(7-methoxy-3-benzofuranyl)methoxy]- (CA INDEX NAME)

Absolute stereochemistry.



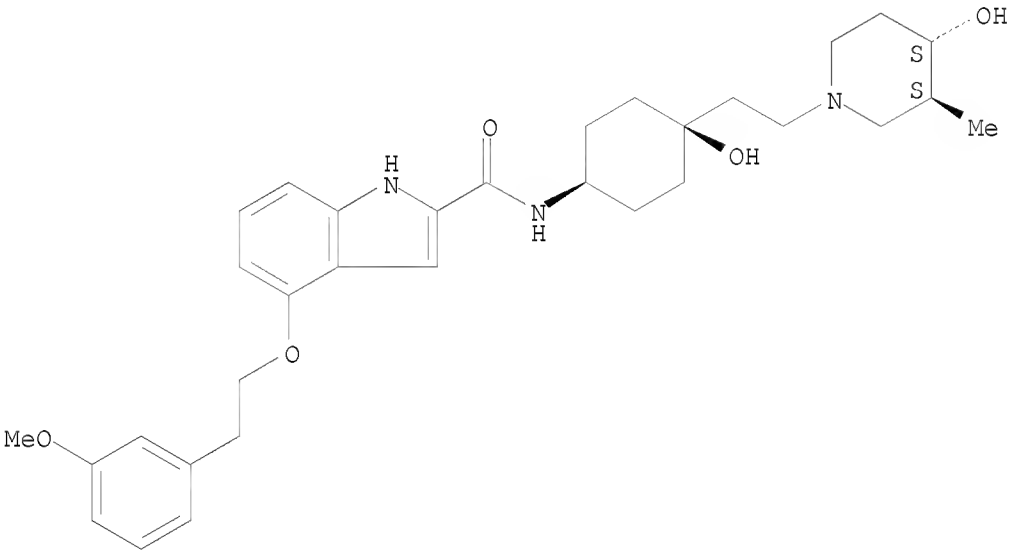
RN 1050425-53-0 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[2-(2-methoxyphenyl)ethoxy]- (CA INDEX NAME)

Absolute stereochemistry.



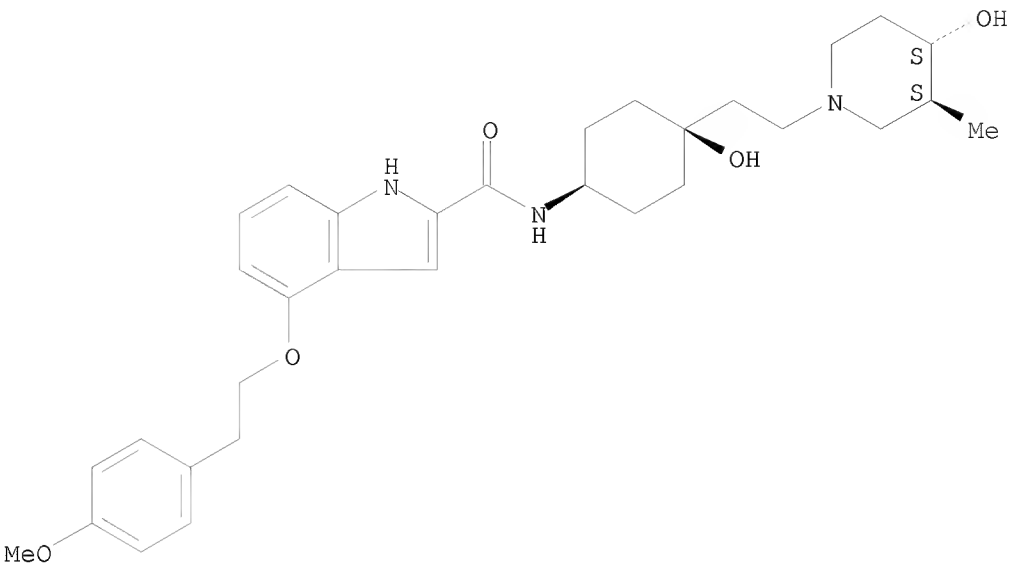
RN 1050425-54-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[2-(3-methoxyphenyl)ethoxy]- (CA INDEX NAME)

Absolute stereochemistry.



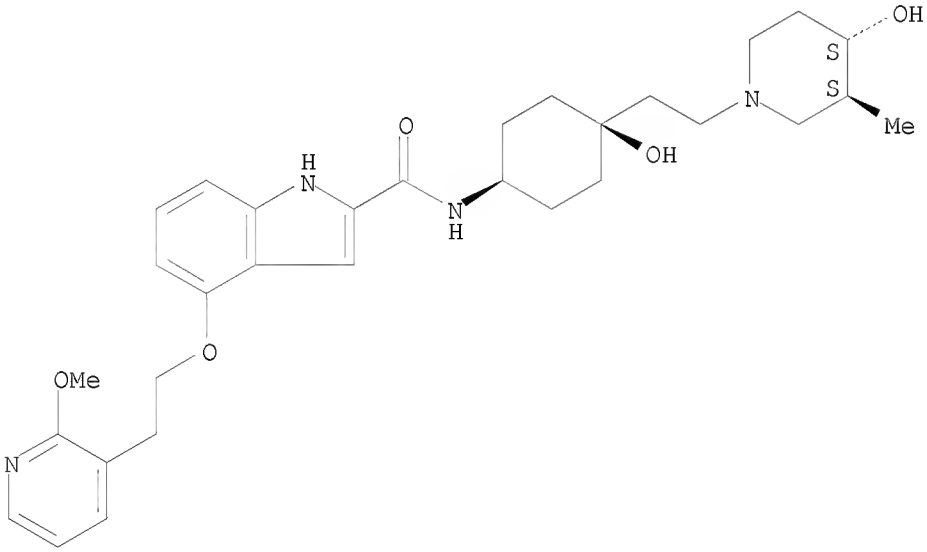
RN 1050425-55-2 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[2-(4-methoxyphenyl)ethoxy]- (CA INDEX NAME)

Absolute stereochemistry.



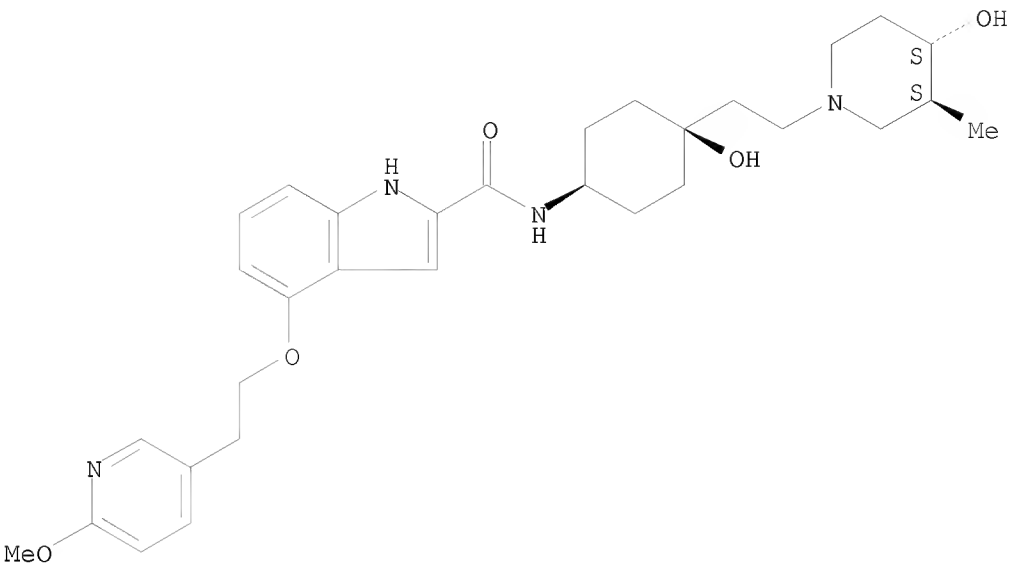
RN 1050425-56-3 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[2-(2-methoxy-3-pyridinyl)ethoxy]- (CA INDEX NAME)

Absolute stereochemistry.



RN 1050425-59-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[2-(6-methoxy-3-pyridinyl)ethoxy]- (CA INDEX NAME)

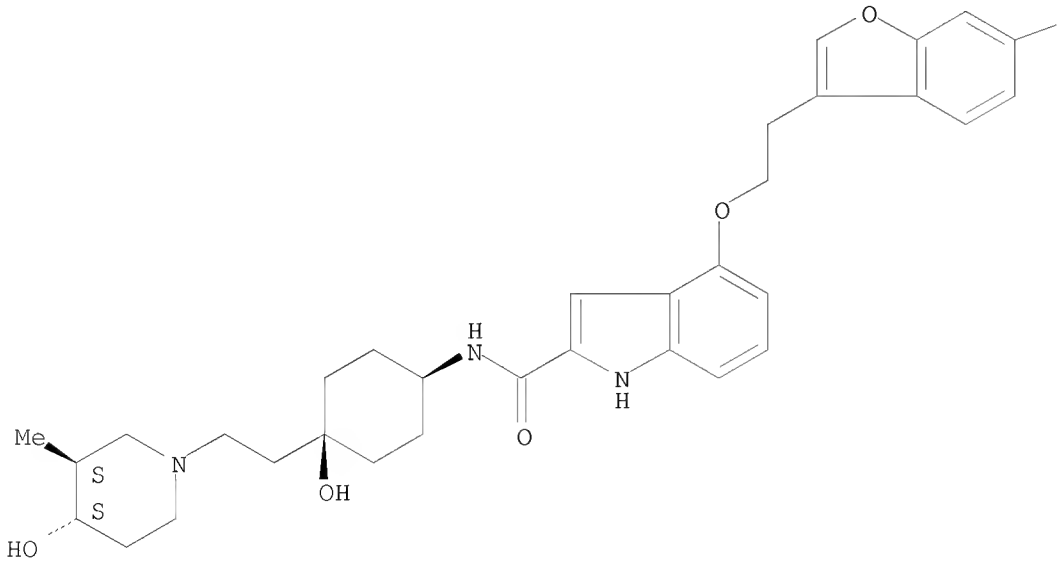
Absolute stereochemistry.



RN 1050425-61-0 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[2-(6-methoxy-3-benzofuranyl)ethoxy]- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



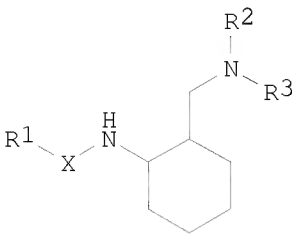
PAGE 1-B

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1271554 CAPLUS <<LOGINID::20091013>>
DOCUMENT NUMBER: 147:522099
TITLE: Aminomethylcyclohexyl carboxamide compounds that are agonists of muscarinic receptors and that may be effective in treating pain, Alzheimer's disease and/or schizophrenia and their preparation
INVENTOR(S): Cheng, Yun-Xing; Luo, Xuehong; Tomaszewski, Miroslaw
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 237 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2007126362	A1	20071108	WO 2007-SE409		20070427
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007244002	A1	20071108	AU 2007-244002		20070427
CA 2650914	A1	20071108	CA 2007-2650914		20070427
EP 2024359	A1	20090218	EP 2007-748074		20070427
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS					
JP 2009535400	T	20091001	JP 2009-509479		20070427
US 20070259888	A1	20071108	US 2007-741888		20070430
IN 2008DN08750	A	20090320	IN 2008-DN8750		20081017
MX 2008013763	A	20081114	MX 2008-13763		20081027
NO 2008004853	A	20090202	NO 2008-4853		20081118
KR 2009009934	A	20090123	KR 2008-729390		20081201
CN 101484442	A	20090715	CN 2007-80025295		20090104
PRIORITY APPLN. INFO.:			US 2006-746187P	P	20060502
			WO 2007-SE409	W	20070427

OTHER SOURCE(S): MARPAT 147:522099
GI



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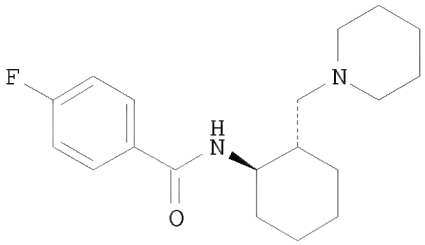


II

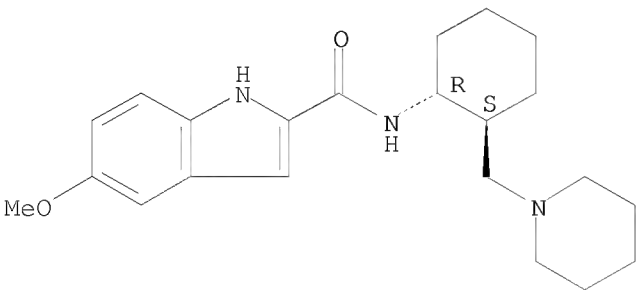
AB Compds. of formula I, or pharmaceutically acceptable salts thereof, as well as salts and pharmaceutical compns. including the compds. are prepared They are useful in therapy, in particular in the management of pain. Compds. of formula I wherein R1 is (un)substituted C6-10 aryl, (un)substituted C2-9 heteroaryl, (un)substituted C3-5 heterocycloalkyl, (un)substituted C1-6 alkyl, etc.; R2 and R3 are independently (un)substituted C1-6 alkyl, (un)substituted C2-6 alkenyl, and (un)substituted C1-6 alkoxy; R2R3 taken together with N to form (un)substituted heterocycloalkyl; X is CO, CONH, CO2, and SO2; and their pharmaceutically acceptable salts, diastereomers, enantiomers and mixts. thereof, are claimed. Example compound II•TFA was prepared by reductive amination fo 2-(piperidin-1-ylmethyl)cyclohexanone; the resulting [2-(piperidin-1-ylmethyl)cyclohexyl]amine underwent acylation with benzyl chloroformate to give trans-[2-(piperidin-1-ylmethyl)cyclohexyl]carbamate, which underwent hydrogenation to give trans-[2-(piperidin-1-ylmethyl)cyclohexyl]amine, which underwent benzoylation with 4-fluorobenzoyl chloride to give II•TFA. All the invention compds. were evaluated for their muscarinic receptor agonistic activity (some data given).

IT 956321-13-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aminomethylcyclohexyl carboxamide derivs. muscarinic receptor agonists useful in treatment of pain, Alzheimer's disease and schizophrenia)

RN 956321-13-4 CAPLUS

CN 1H-Indole-2-carboxamide, 5-methoxy-N-[(1R,2S)-2-(1-piperidinylmethyl)cyclohexyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:944130 CAPLUS <<LOGINID::20091013>>
DOCUMENT NUMBER: 147:300997
TITLE: Benzoyl-piperidine derivatives as 5HT2/D3 modulators and their preparation, pharmaceutical compositions and use in the treatment of CNS disorders
INVENTOR(S): Gobbi, Luca; Jaeschke, Georg; Luebbers, Thomas; Roche, Olivier; Rodriguez Sarmiento, Rosa Maria; Steward, Lucinda
PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.
SOURCE: PCT Int. Appl., 164pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2007093540	A1	20070823	WO 2007-EP51160		20070207
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
AU 2007216563	A1	20070823	AU 2007-216563		20070207
CA 2640807	A1	20070823	CA 2007-2640807		20070207
EP 1987019	A1	20081105	EP 2007-704416		20070207
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JP 2009526807	T	20090723	JP 2008-554738		20070207
US 20070197531	A1	20070823	US 2007-705635		20070213
MX 2008010325	A	20080820	MX 2008-10325		20080812
IN 2008CN04269	A	20090313	IN 2008-CN4269		20080812
CN 101384581	A	20090311	CN 2007-80005790		20080818
NO 2008003584	A	20081114	NO 2008-3584		20080819
KR 2008095899	A	20081029	KR 2008-722377		20080912
PRIORITY APPLN. INFO.:			EP 2006-110112	A	20060217
			EP 2006-112464	A	20060411
			WO 2007-EP51160	W	20070207

OTHER SOURCE(S): MARPAT 147:300997
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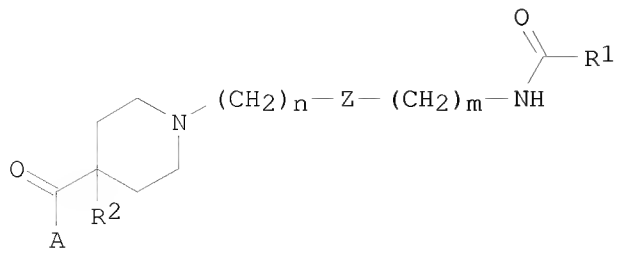

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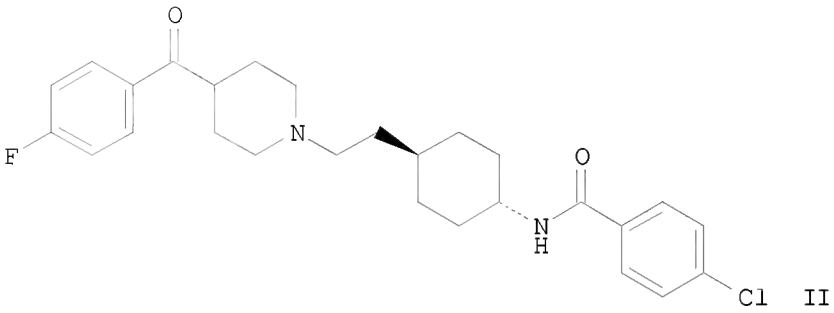


II

AB The invention relates to compds. of the general formula I as dual modulators of the 5-HT2a and D3 receptors useful against CNS disorders. Compds. of formula I wherein A is (un)substituted aryl and (un)substituted 5- to 6-membered heteroaryl; n is 1, 2, 3, and 4; r is 0, 1, 2, and 3; Z is cyclopropane, cyclobutane, cyclopentane, and cyclohexane; R1 is C2-6 (aryl)alkenyl, C2-6 (aryl)alkynyl, (un)substituted C1-6 alkyl, C1-6 alkoxy, (un)substituted C3-10 cycloalkyl, etc.; R2 is H, OH, C1-6 alkyl, and halo; and their pharmaceutically acceptable salts thereof are claimed. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their 5HT2a and D3 modulatory activity (some data given). Examples of formulation is also given.

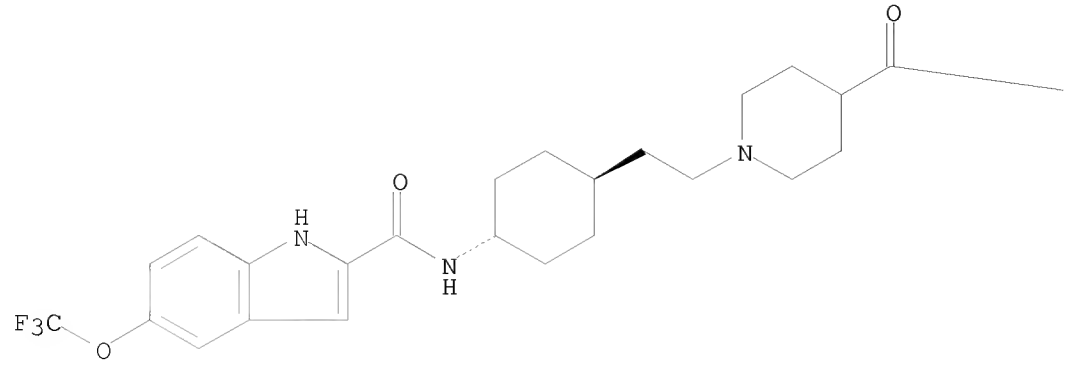
IT 946596-46-9P 946596-47-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzoyl-piperidine derivs. as 5HT2/D3 modulators useful in the treatment of CNS disorders)

RN 946596-46-9 CAPLUS

CN 1H-Indole-2-carboxamide, N-[trans-4-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]cyclohexyl]-5-(trifluoromethoxy)- (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



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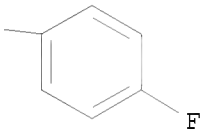
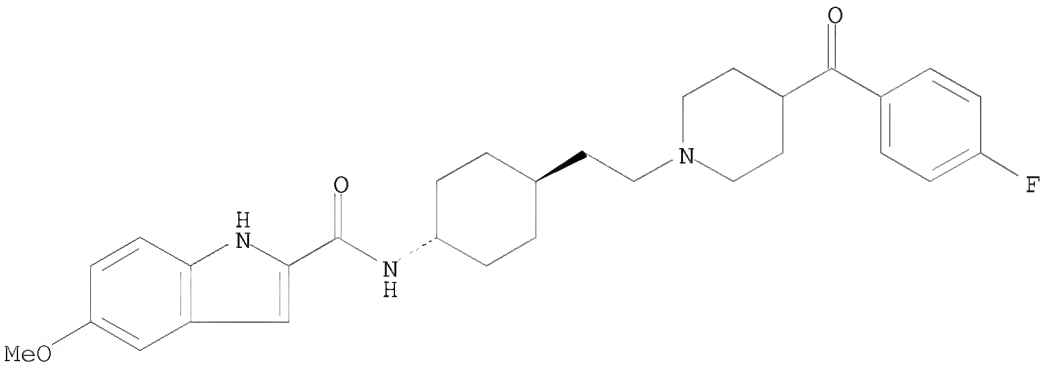


RN 946596-47-0 CAPLUS
CN 1H-Indole-2-carboxamide, N-[trans-4-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]cyclohexyl]-5-methoxy- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:343073 CAPLUS <<LOGINID::20091013>>
DOCUMENT NUMBER: 144:390734
TITLE: Preparation of 2-arylcarboxamide-nitrogenous heterocycle compounds as melanin concentrating hormone

receptor antagonists

INVENTOR(S): Suzuki, Takao; Moriya, Minoru; Sakuraba, Shunji; Mizutani, Sayaka; Iwaasa, Hisashi; Kanatani, Akio

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd, Japan

SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2

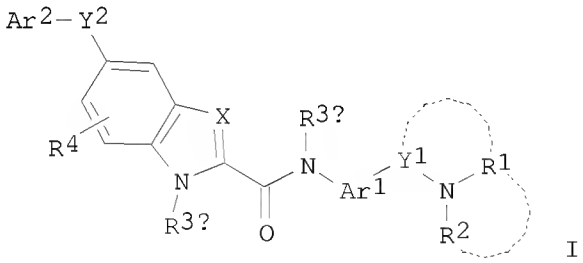
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006038680	A1	20060413	WO 2005-JP18581	20050930
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005290436	A1	20060413	AU 2005-290436	20050930
CA 2582327	A1	20060413	CA 2005-2582327	20050930
EP 1798221	A1	20070620	EP 2005-790383	20050930
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101065356	A	20071031	CN 2005-80040879	20050930
US 20070299070	A1	20071227	US 2007-663038	20070315
US 7531668	B2	20090512		
IN 2007DN02546	A	20070803	IN 2007-DN2546	20070404
PRIORITY APPLN. INFO.:			JP 2004-289825 A	20041001
			WO 2005-JP18581 W	20050930

OTHER SOURCE(S): MARPAT 144:390734

GI



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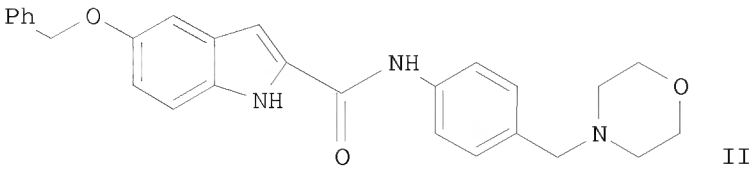


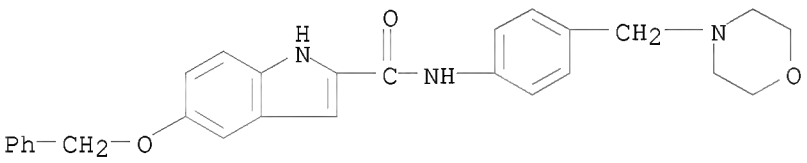
II

AB Title compds. I [R1, R2 = optionally substituted alkyl with R5, optionally substituted cycloalkyl with R6, optionally substituted heterocycloalkyl with R6; further details on R1 and R2 are given.; R3a, R3b = H, optionally substituted alkyl with R5; R4 = H, halo, optionally substituted alkyl with R5, etc.; R5 = H, halo, cyano, etc.; R6 = R5, oxo; X = -N-, -C(R3c)-; R3c = same as R3a; Y1 = single bond, optionally substituted alkylene with alkyl, optionally substituted oxyalkylene with alkyl, etc.; Y2 = optionally substituted alkylene with alkyl, optionally substituted oxyalkylene with alkyl; Ar1 = optionally substituted divalent monocyclic aromatic carbocycle with R5, optionally substituted divalent monocyclic aromatic heterocycle with R5; Ar2 = optionally substituted aromatic carbocycle with R5, optionally substituted aromatic heterocycle with R5] were prepared For example, HATU mediated amidation of 5-benzyloxyindole-2-carboxylic acid with 4-(morpholinomethyl)aniline·2HCl, e.g., prepared from morpholine in 2 steps, afforded compound II. In MCH (melanin concentrating hormone) binding inhibition assays, the IC50 value of compound II hydrochloride was 9.6 nM. Compds. I are claimed useful for the treatment of diabetes, obesity, etc.

IT 882873-21-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of 2-arylcarboxamide-nitrogenous heterocycle compds. as melanin concentrating hormone receptor antagonists for treatment of diabetes, obesity, etc.)

RN 882873-21-4 CAPLUS

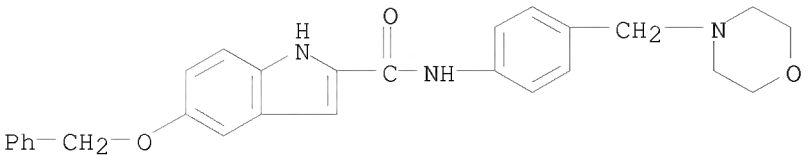
CN 1H-Indole-2-carboxamide, N-[4-(4-morpholinylmethyl)phenyl]-5-(phenylmethoxy)- (CA INDEX NAME)



IT 882873-20-3P 882873-34-9P 882873-46-3P
882873-47-4P 882873-54-3P 882873-55-4P
882873-56-5P 882873-57-6P 882873-63-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 2-arylcarboxamide-nitrogenous heterocycle compds. as melanin concentrating hormone receptor antagonists for treatment of diabetes, obesity, etc.)

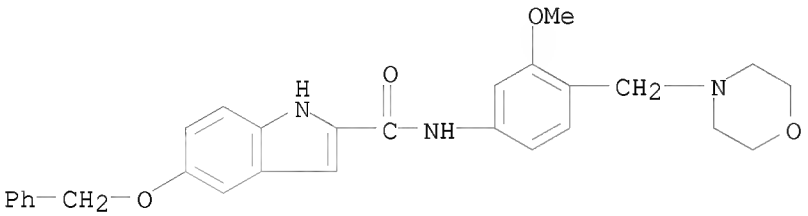
RN 882873-20-3 CAPLUS

CN 1H-Indole-2-carboxamide, N-[4-(4-morpholinylmethyl)phenyl]-5-(phenylmethoxy)-, hydrochloride (1:1) (CA INDEX NAME)

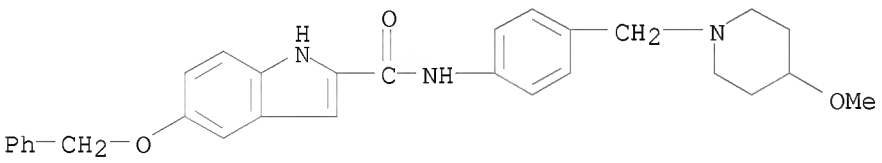


● HCl

RN 882873-34-9 CAPLUS
CN 1H-Indole-2-carboxamide, N-[3-methoxy-4-(4-morpholinylmethyl)phenyl]-5-(phenylmethoxy)- (CA INDEX NAME)



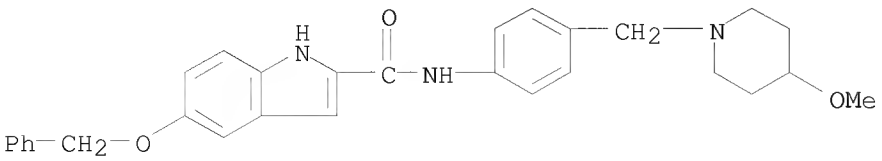
RN 882873-46-3 CAPLUS
CN 1H-Indole-2-carboxamide, N-[4-[(4-methoxy-1-piperidinyl)methyl]phenyl]-5-(phenylmethoxy)- (CA INDEX NAME)



RN 882873-47-4 CAPLUS
CN 1H-Indole-2-carboxamide, N-[4-[(4-methoxy-1-piperidinyl)methyl]phenyl]-5-(phenylmethoxy)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

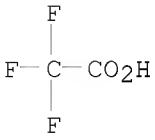
CM 1

CRN 882873-46-3
CMF C29 H31 N3 O3

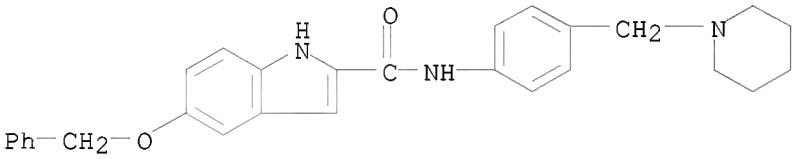


CM 2

CRN 76-05-1
CMF C2 H F3 O2



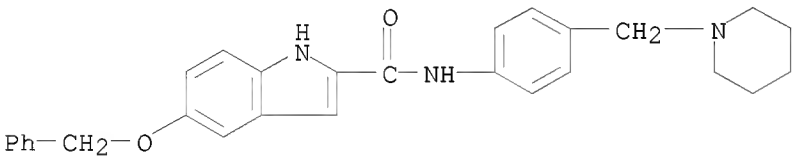
RN 882873-54-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-(phenylmethoxy)-N-[4-(1-piperidinylmethyl)phenyl]- (CA INDEX NAME)



RN 882873-55-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-(phenylmethoxy)-N-[4-(1-piperidinylmethyl)phenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

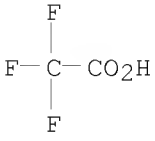
CM 1

CRN 882873-54-3
CMF C28 H29 N3 O2

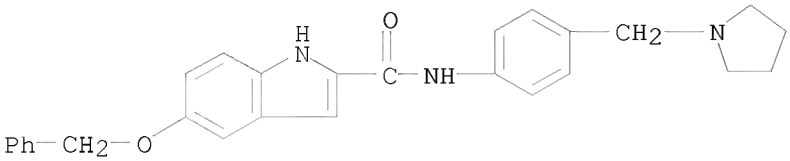


CM 2

CRN 76-05-1
CMF C2 H F3 O2



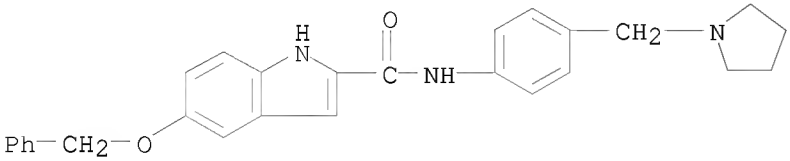
RN 882873-56-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-(phenylmethoxy)-N-[4-(1-pyrrolidinylmethyl)phenyl]- (CA INDEX NAME)



RN 882873-57-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-(phenylmethoxy)-N-[4-(1-pyrrolidinylmethyl)phenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

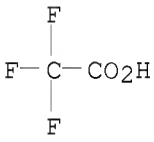
CM 1

CRN 882873-56-5
CMF C27 H27 N3 O2

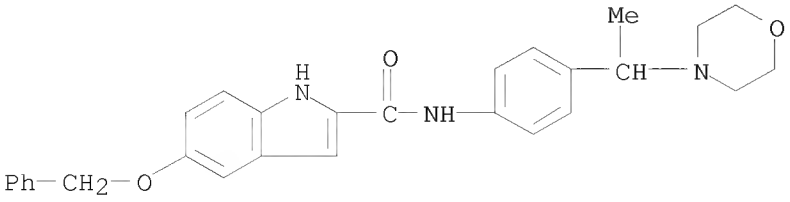


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 882873-63-4 CAPLUS
CN 1H-Indole-2-carboxamide, N-[4-[1-(4-morpholinyl)ethyl]phenyl]-5-(phenylmethoxy)- (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:902881 CAPLUS <<LOGINID::20091013>>
DOCUMENT NUMBER: 143:248292
TITLE: Preparation of 1H-indole-2-carboxylic acid N-(piperidin-4-yl)amides and related derivatives as chemokine receptor, particularly CCR2 and CCR5 antagonists
INVENTOR(S): Hersperger, Rene; Janser, Philipp; Pfenninger, Emil; Wuethrich, Hans Juerg; Miltz, Wolfgang
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 240 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005077932	A2	20050825	WO 2005-EP1362	20050210
WO 2005077932	A3	20051208		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005212510	A1	20050825	AU 2005-212510	20050210
AU 2005212510	B2	20081030		
CA 2554642	A1	20050825	CA 2005-2554642	20050210
EP 1720859	A2	20061115	EP 2005-707321	20050210
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 1946713	A	20070411	CN 2005-80012297	20050210
BR 2005007617	A	20070703	BR 2005-7617	20050210
JP 2007522170	T	20070809	JP 2006-552549	20050210
ZA 2006006180	A	20080528	ZA 2006-6180	20060726
IN 2006CN02936	A	20070608	IN 2006-CN2936	20060810
MX 2006009160	A	20061002	MX 2006-9160	20060811
KR 2007027511	A	20070309	KR 2006-718341	20060908
KR 883236	B1	20090210		
NO 2006004077	A	20061110	NO 2006-4077	20060911
US 20070155721	A1	20070705	US 2006-597753	20060920
PRIORITY APPLN. INFO.:			GB 2004-3038 A	20040211
			WO 2005-EP1362 W	20050210

OTHER SOURCE(S): CASREACT 143:248292; MARPAT 143:248292
GI

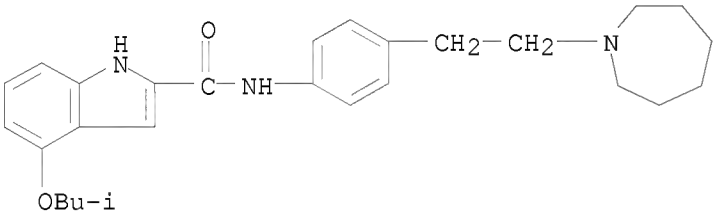
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein Z = CH2 and derivs., NH and derivs., O, S; R, R1 = independently OH and derivs., (un)substituted hetero/aryl, arylalkyl, etc.; X = (un)substituted hetero/cycloalkyl, hetero/aryl; Q = linker of between 1 and 3 atoms length; Y = (un)substituted hetero/cycloalkyl, bridged hetero/cycloalkyl, hetero/aryl, fused aryl-heterocycloalkyl; and their pharmaceutically acceptable salts, esters and prodrugs] were prepared as CCR2 and CCR5 antagonists. For example, reacting [1-[2-(azepan-1-yl)ethyl]piperidin-4-yl]amine•3HCl (preparation given) and 4-(5-chlorobenzofuran-3-ylmethoxy)-1H-indole-2-carboxylic acid (preparation given) gave amide II in 57% yield. I had IC50 between 0.0003 and 10 μM and between 0.004 and 10 μM in CCR2 and CCR5 membrane binding assays. I are effective as dual CCR2 and CCR5 antagonists. I are useful for treating autoimmune and inflammatory diseases, HIV infection and AIDS.

IT 863250-06-0P, 4-Isobutoxy-1H-indole-2-carboxylic acid N-[4-[2-(azepan-1-yl)ethyl]phenyl]amide 863252-49-7P, 4-(5-Chlorobenzofuran-3-ylmethoxy)-1H-indole-2-carboxylic acid N-[4-[2-(piperidin-1-yl)ethyl]phenyl]amide 863252-51-1P, 4-(5-Chlorobenzofuran-3-ylmethoxy)-1H-indole-2-carboxylic acid N-[4-[2-(4-hydroxypiperidin-1-yl)ethyl]phenyl]amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of chemokine receptor antagonists, particularly 1H-indole-2-carboxylic acid N-(piperidin-4-yl)amides)

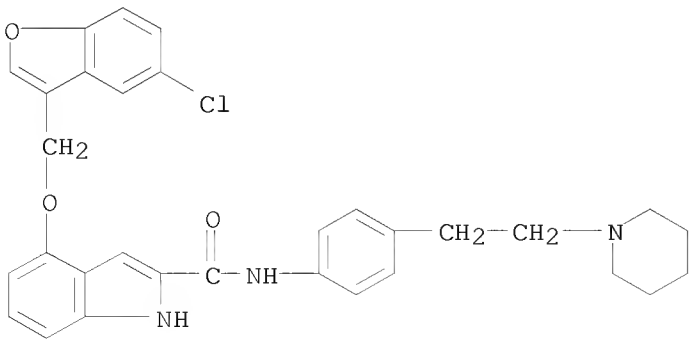
RN 863250-06-0 CAPLUS

CN 1H-Indole-2-carboxamide, N-[4-[2-(hexahydro-1H-azepin-1-yl)ethyl]phenyl]-4-(2-methylpropoxy)- (CA INDEX NAME)

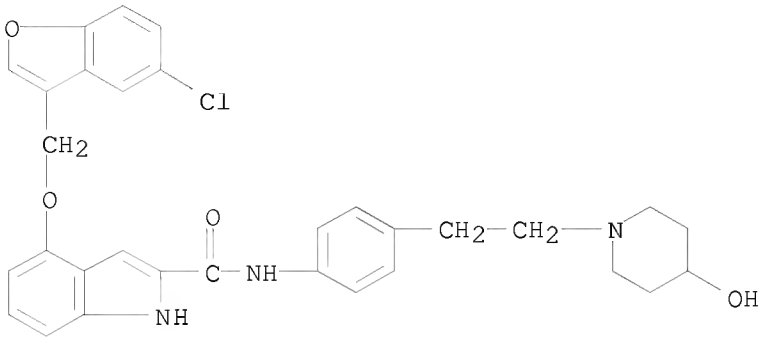


RN 863252-49-7 CAPLUS

CN 1H-Indole-2-carboxamide, 4-[(5-chloro-3-benzofuranyl)methoxy]-N-[4-[2-(1-piperidinyl)ethyl]phenyl]- (CA INDEX NAME)



RN 863252-51-1 CAPLUS
CN 1H-Indole-2-carboxamide, 4-[(5-chloro-3-benzofuranyl)methoxy]-N-[4-[2-(4-hydroxy-1-piperidinyl)ethyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:780360 CAPLUS <<LOGINID::20091013>>
DOCUMENT NUMBER: 141:295859
TITLE: Preparation of N-aryl-1H-indole-2-carboxamides as cytokine inhibitors
INVENTOR(S): Cirillo, Pier Francesco; Gao, Donghong Amy; Goldberg, Daniel R.; Hammach, Abdelhakim; Hao, Ming-Hong; Kamhi, Victor Marc; Moss, Neil; Netherton, Matthew Russell; Qian, Kevin Chungeng; Ralph, Mark Stephen; Wu, Lifen; Xiong, Zhaoming
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 82 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040186114	A1	20040923	US 2004-789354	20040227
US 7078419	B2	20060718		
AU 2004264409	A1	20050224	AU 2004-264409	20040302
CA 2518774	A1	20050224	CA 2004-2518774	20040302
WO 2005016918	A2	20050224	WO 2004-US6264	20040302
WO 2005016918	A3	20050407		

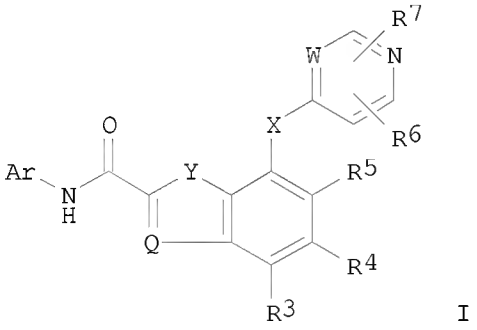
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,

SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

BR 2004008228	A	20060221	BR 2004-8228		20040302
EP 1631567	A2	20060308	EP 2004-775820		20040302
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, TR, BG, CZ, EE, HU, PL, SK					
CN 1759114	A	20060412	CN 2004-80006351		20040302
JP 2006519861	T	20060831	JP 2006-508971		20040302
CN 101239972	A	20080813	CN 2008-10083505		20040302
NZ 542775	A	20080829	NZ 2004-542775		20040302
ZA 2005006242	A	20060726	ZA 2005-6242		20050804
IN 2005DN03676	A	20070824	IN 2005-DN3676		20050819
US 20060235017	A1	20061019	US 2006-426603		20060627
US 7335657	B2	20080226			
PRIORITY APPLN. INFO.:			US 2003-453364P	P	20030310
			US 2004-789354	A1	20040227
			CN 2004-80006351	A3	20040302
			WO 2004-US6264	W	20040302

OTHER SOURCE(S): MARPAT 141:295859

GI



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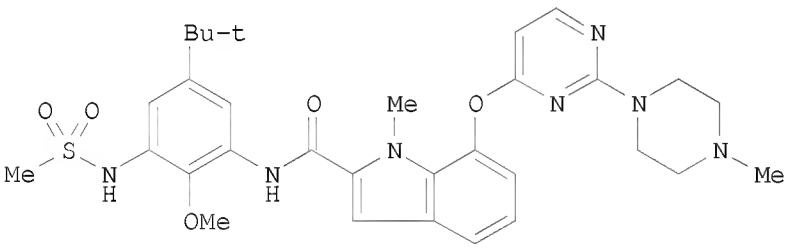


II

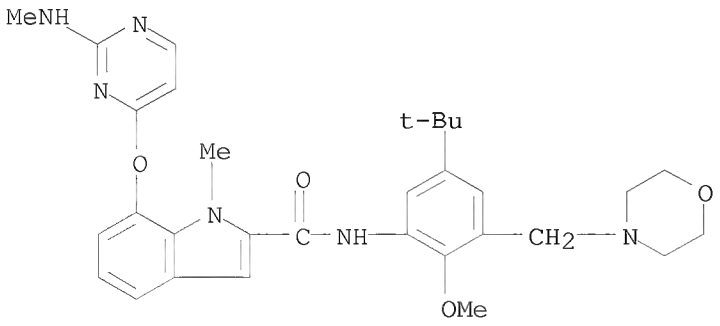
AB Title compds. I [wherein Ar = (un)substituted aryl; Q = N, (un)substituted CH; W = N, CH; X = CH2, O, S, (un)substituted NH; Y = O, SO0-2, (un)substituted CH2, CH=CH, NH; R3-R5 = independently H, halo, alkyl; R6 = a bond, O, O(CH2)1-5, CO, NH, CONH, S, (un)substituted alkyl, alkenyl, acyl, heterocyclyl, aryl; R7 = H, alkyl; and pharmaceutically acceptable salts, acids, or isomers thereof] were prepared For example, a 9-step synthesis starting from 3-methyl-2-nitrophenol, di-Et oxalate, 5-tert-butyl-3-methanesulfonamido-2-methoxyaniline, 2,4-dichloropyrimidine, and 1-methylpiperazine gave II. I inhibit production of cytokines involved in inflammatory processes and are, thus, useful for treating diseases and pathol. conditions involving inflammation, such as

chronic inflammatory disease (no data). The compds. are also useful for treating diseases or conditions related to oncol. and anticoagulant or fibrinolytic therapy (no data). Also disclosed are processes for preparing these compds. and pharmaceutical compns. comprising them.

IT 761428-81-3P, 1-Methyl-7-(2-methylaminopyrimidin-4-yloxy)-1H-indole-2-carboxylic acid N-[5-tert-butyl-2-methoxy-3-(morpholin-4-ylmethyl)phenyl]amide 761428-82-4P, 1-Methyl-7-(2-methylaminopyrimidin-4-yloxy)-1H-indole-2-carboxylic acid N-[5-tert-butyl-2-methoxy-3-(4-methylpiperazin-1-ylmethyl)phenyl]amide 761428-89-1P, 1-Methyl-7-[2-(4-methylpiperazin-1-yl)pyrimidin-4-yloxy]-1H-indole-2-carboxylic acid N-[5-tert-butyl-2-methoxy-3-(pyrrolidin-1-ylmethyl)phenyl]amide 761429-51-0P, 7-[[2-(2-Dimethylaminoethylamino)pyrimidin-4-yl]oxy]-1-methyl-1H-indole-2-carboxylic acid N-[5-tert-butyl-2-methoxy-3-(pyrrolidin-1-ylmethyl)phenyl]amide 761429-52-1P, 7-[[2-(2-Dimethylaminoethylamino)pyrimidin-4-yl]oxy]-1-methyl-1H-indole-2-carboxylic acid N-[5-tert-butyl-2-methoxy-3-(morpholin-4-ylmethyl)phenyl]amide 761429-56-5P, 1-Methyl-7-[2-[(morpholin-4-yl)methyl]pyrimidin-4-yloxy]-1H-indole-2-carboxylic acid N-[2-methoxy-3-(morpholin-4-ylmethyl)-5-trifluoromethylphenyl]amide 761429-57-6P, 1-Methyl-7-[2-(4-methylpiperazin-1-yl)pyrimidin-4-yloxy]-1H-indole-2-carboxylic acid N-[2-methoxy-3-(morpholin-4-ylmethyl)-5-trifluoromethylphenyl]amide 761429-61-2P, 1-Methyl-7-[2-[(pyrrolidin-1-yl)methyl]pyridin-4-yloxy]-1H-indole-2-carboxylic acid N-[2-methoxy-3-(morpholin-4-ylmethyl)-5-trifluoromethylphenyl]amide 761429-63-4P, 1-Methyl-7-[2-[(pyrrolidin-1-yl)methyl]pyrimidin-4-yloxy]-1H-indole-2-carboxylic acid N-[2-methoxy-3-(pyrrolidin-1-ylmethyl)-5-trifluoromethylphenyl]amide 761429-64-5P, 7-[[2-[(Dimethylamino)methyl]pyrimidin-4-yl]oxy]-1-methyl-1H-indole-2-carboxylic acid N-[2-methoxy-3-(4-methylpiperazin-1-ylmethyl)-5-trifluoromethylphenyl]amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cytokine inhibitor; preparation of indolecarboxamides as cytokine inhibitors for treatment of inflammatory diseases, cancer, and other conditions)

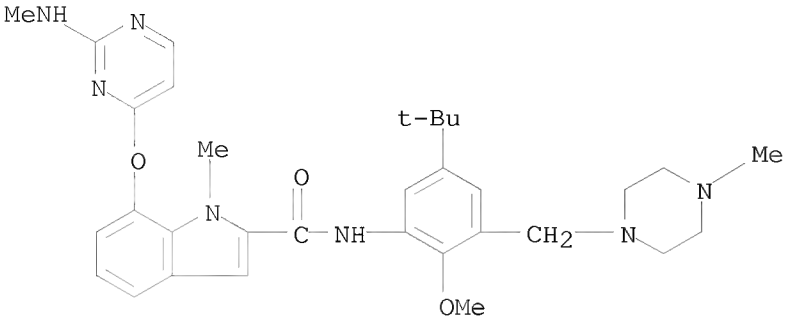
RN 761428-81-3 CAPLUS

CN 1H-Indole-2-carboxamide, N-[5-(1,1-dimethylethyl)-2-methoxy-3-(4-morpholinylmethyl)phenyl]-1-methyl-7-[[2-(methylamino)-4-pyrimidinyl]oxy]- (CA INDEX NAME)

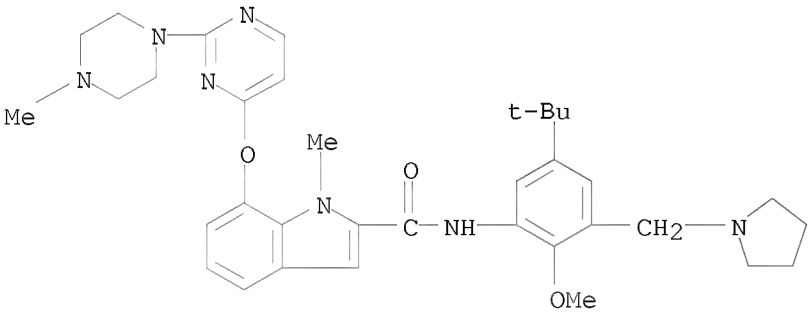


RN 761428-82-4 CAPLUS

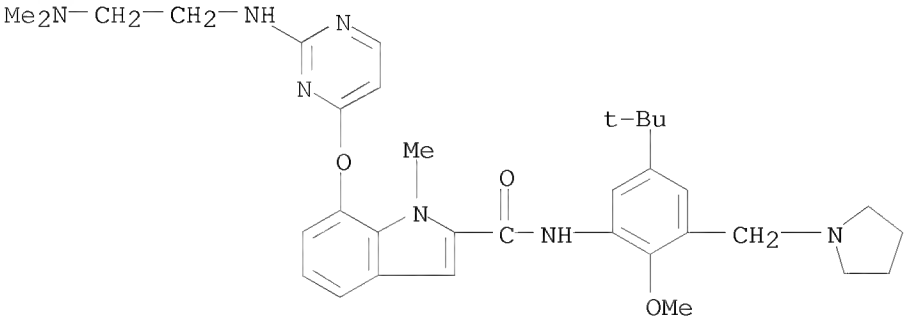
CN 1H-Indole-2-carboxamide, N-[5-(1,1-dimethylethyl)-2-methoxy-3-[(4-methyl-1-piperazinyl)methyl]phenyl]-1-methyl-7-[[2-(methylamino)-4-pyrimidinyl]oxy]- (CA INDEX NAME)



RN 761428-89-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[5-(1,1-dimethylethyl)-2-methoxy-3-(1-pyrrolidinylmethyl)phenyl]-1-methyl-7-[[2-(4-methyl-1-piperazinyl)-4-pyrimidinyl]oxy]- (CA INDEX NAME)

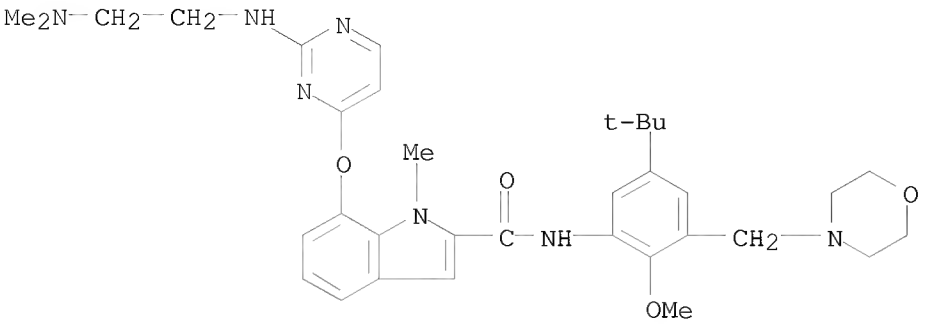


RN 761429-51-0 CAPLUS
CN 1H-Indole-2-carboxamide, 7-[[2-[[2-(dimethylamino)ethyl]amino]-4-pyrimidinyl]oxy]-N-[5-(1,1-dimethylethyl)-2-methoxy-3-(1-pyrrolidinylmethyl)phenyl]-1-methyl- (CA INDEX NAME)

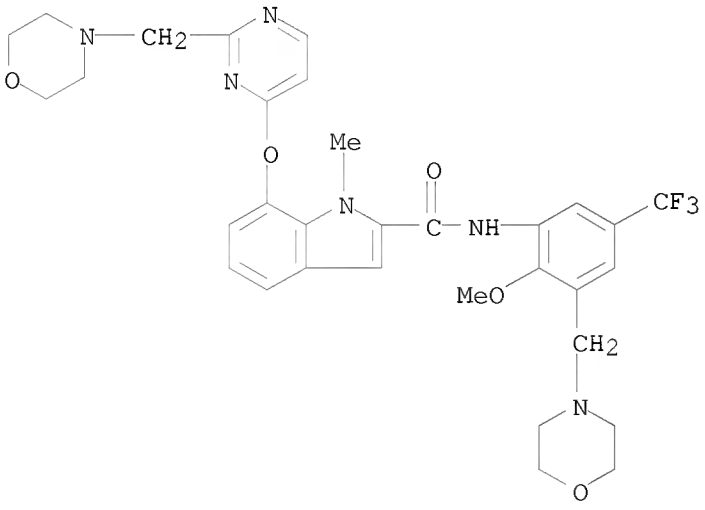


RN 761429-52-1 CAPLUS
CN 1H-Indole-2-carboxamide, 7-[[2-[[2-(dimethylamino)ethyl]amino]-4-

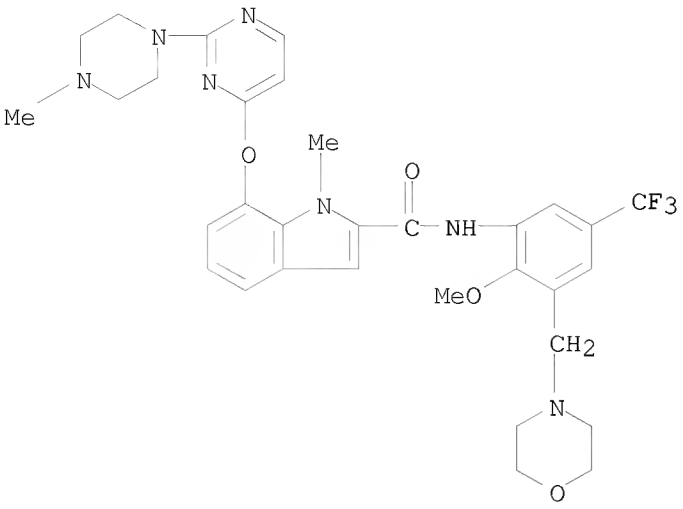
pyrimidinyl]oxy]-N-[5-(1,1-dimethylethyl)-2-methoxy-3-(4-morpholinylmethyl)phenyl]-1-methyl- (CA INDEX NAME)



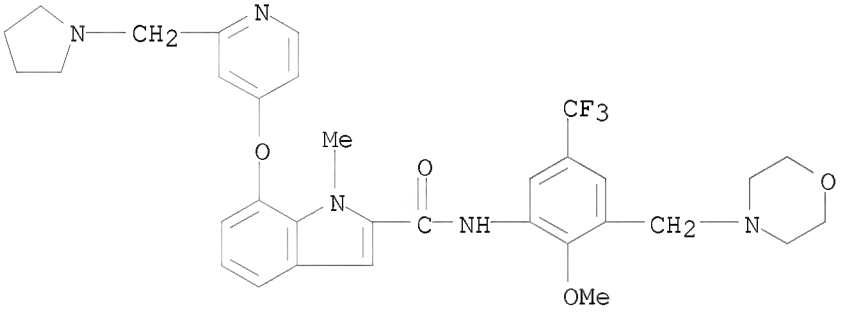
RN 761429-56-5 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-methoxy-3-(4-morpholinylmethyl)-5-(trifluoromethyl)phenyl]-1-methyl-7-[[2-(4-morpholinylmethyl)-4-pyrimidinyl]oxy]- (CA INDEX NAME)



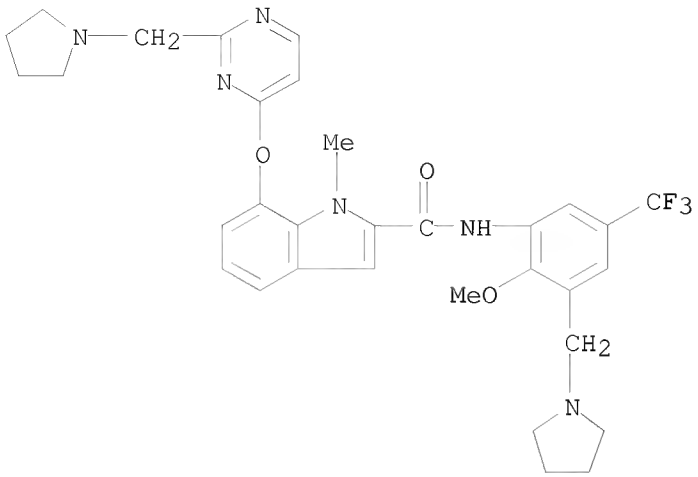
RN 761429-57-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-methoxy-3-(4-morpholinylmethyl)-5-(trifluoromethyl)phenyl]-1-methyl-7-[[2-(4-methyl-1-piperazinyl)-4-pyrimidinyl]oxy]- (CA INDEX NAME)



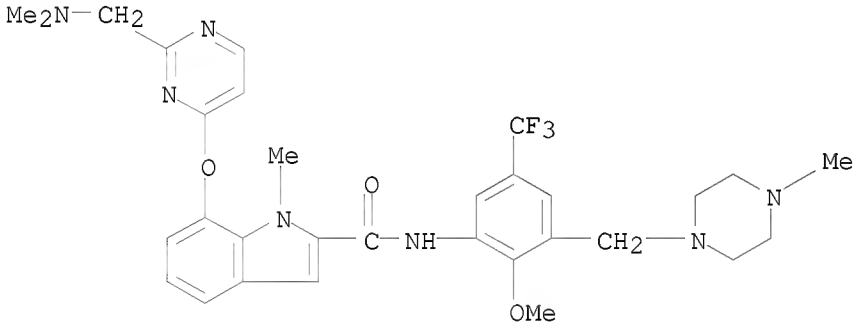
RN 761429-61-2 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-methoxy-3-(4-morpholinylmethyl)-5-(trifluoromethyl)phenyl]-1-methyl-7-[[2-(1-pyrrolidinylmethyl)-4-pyridinyl]oxy]- (CA INDEX NAME)



RN 761429-63-4 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-methoxy-3-(1-pyrrolidinylmethyl)-5-(trifluoromethyl)phenyl]-1-methyl-7-[[2-(1-pyrrolidinylmethyl)-4-pyrimidinyl]oxy]- (CA INDEX NAME)



RN 761429-64-5 CAPLUS
CN 1H-Indole-2-carboxamide, 7-[[2-[(dimethylamino)methyl]-4-pyrimidinyl]oxy]-N-[2-methoxy-3-[(4-methyl-1-piperazinyl)methyl]-5-(trifluoromethyl)phenyl]-1-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:745036 CAPLUS <<LOGINID::20091013>>
DOCUMENT NUMBER: 130:3775
TITLE: Preparation of N-[2-(4-carboxamidocyclohexyl)ethyl]tetrahydroisoquinolines as dopamine D3 receptor ligands
INVENTOR(S): Branch, Clive Leslie; Johnson, Christopher Norbert; Stemp, Geoffrey
PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9850364	A1	19981112	WO 1998-EP2583	19980427
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2288899	A1	19981112	CA 1998-2288899	19980427
AU 9876518	A	19981127	AU 1998-76518	19980427
AU 725491	B2	20001012		
EP 983244	A1	20000308	EP 1998-924262	19980427
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
TR 9902724	T2	20000421	TR 1999-2724	19980427
HU 2000003608	A2	20010328	HU 2000-3608	19980427
HU 2000003608	A3	20010628		
BR 9809591	A	20010911	BR 1998-9591	19980427
JP 2002501506	T	20020115	JP 1998-547712	19980427
ZA 9803659	A	19991101	ZA 1998-3659	19980430
NO 9905338	A	19991102	NO 1999-5338	19991102
MX 9910101	A	20000430	MX 1999-10101	19991103
US 6465485	B1	20021015	US 2000-656379	20000906
PRIORITY APPLN. INFO.:			GB 1997-8976 A	19970503
			GB 1997-23294 A	19971104
			WO 1998-EP2583 W	19980427
			US 1999-423163 B1	19991102

OTHER SOURCE(S): MARPAT 130:3775

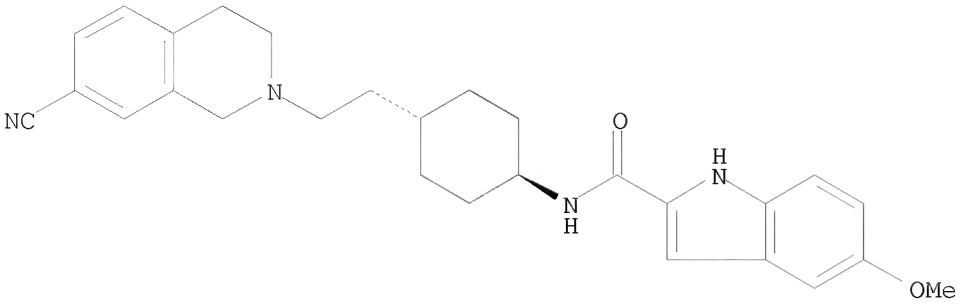
AB R1CH2CH2ZNR2COR (Z = 1,4-cyclohexylene)[I; R = (un)substituted Ph, -heteroaryl, (E)-CH:CHPh, etc.; R1 = benzene ring-(un)substituted 1,2,3,4-tetrahydroisoquinolin-2-yl; R2 = H or alkyl] were prepared Thus, 8-(2-hydroxyethyl)-1,4-dioxaspiro[4.5]decane was oxidized and the product reductively aminated by 7-cyano-1,2,3,4-tetrahydroisoquinoline to give, after deprotection and reductive amination, cis- and trans-2-[2-(4-aminocyclohexyl)ethyl]-7-cyano-1,2,3,4-tetrahydroisoquinoline. The latter mixture was treated with indole-2-carboxylic acid under amidation conditions to give trans-I (R = 2-indolyl, R1 = 7-cyano-1,2,3,4-tetrahydroisoquinolin-2-yl, R2 = H). Data for biol. activity of I were given.

IT 215802-29-2P 215802-51-0P 215803-53-5P
215803-62-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-{2-(4-carboxamidocyclohexyl)ethyl]tetrahydroisoquinolines as dopamine D3 receptor ligands)

RN 215802-29-2 CAPLUS

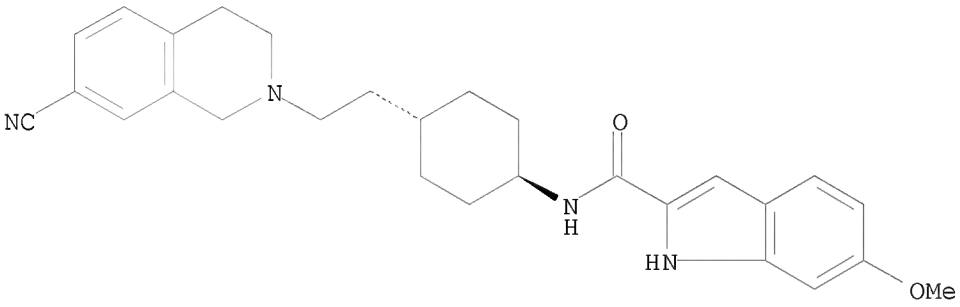
CN 1H-Indole-2-carboxamide, N-[trans-4-[2-(7-cyano-3,4-dihydro-2(1H)-isoquinolinyl)ethyl]cyclohexyl]-5-methoxy- (CA INDEX NAME)

Relative stereochemistry.



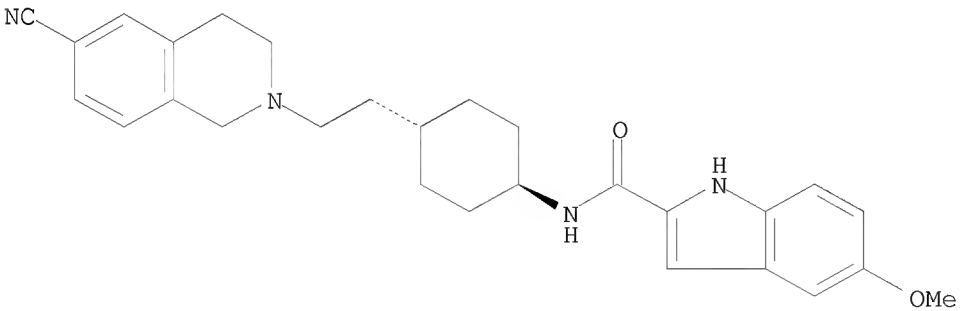
RN 215802-51-0 CAPLUS
CN 1H-Indole-2-carboxamide, N-[trans-4-[2-(7-cyano-3,4-dihydro-2(1H)-isoquinolinyl)ethyl]cyclohexyl]-6-methoxy- (CA INDEX NAME)

Relative stereochemistry.



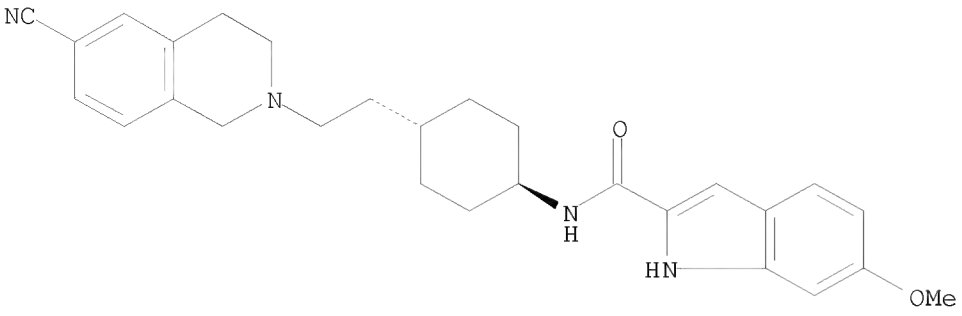
RN 215803-53-5 CAPLUS
CN 1H-Indole-2-carboxamide, N-[trans-4-[2-(6-cyano-3,4-dihydro-2(1H)-isoquinolinyl)ethyl]cyclohexyl]-5-methoxy- (CA INDEX NAME)

Relative stereochemistry.



RN 215803-62-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[trans-4-[2-(6-cyano-3,4-dihydro-2(1H)-isoquinolinyl)ethyl]cyclohexyl]-6-methoxy- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (19 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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NEWS	4	AUG 24	ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS	5	AUG 24	CA/CAplus enhanced with legal status information for U.S. patents
NEWS	6	SEP 09	50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY
NEWS	7	SEP 11	WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus

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FILE 'HOME' ENTERED AT 14:21:52 ON 14 OCT 2009

=> FIL REGISTRY

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FILE 'REGISTRY' ENTERED AT 14:22:19 ON 14 OCT 2009
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STRUCTURE FILE UPDATES: 13 OCT 2009 HIGHEST RN 1188021-47-7
DICTIONARY FILE UPDATES: 13 OCT 2009 HIGHEST RN 1188021-47-7

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http://www.cas.org/support/stngen/stndoc/properties.html

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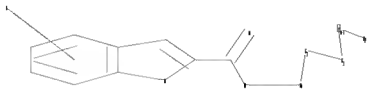

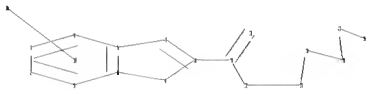

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ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
8-10 10-11 10-12 12-13 13-18 15-22 18-20 20-22
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
5-7 6-9 7-8 8-9 10-11 10-12 13-18 15-22 18-20 20-22
exact bonds :
8-10 12-13
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G1:C,O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:Atom 15:Atom 16:CLASS 17:Atom 18:CLASS 20:CLASS
22:CLASS

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L1      STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
L1              STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


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ENTER LOGIC EXPRESSION, QUERY NAME, OR (END):0
SAMPLE IS IGNORED AS A SCOPE FOR THIS SEARCH
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L1              STR
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Structure attributes must be viewed using STN Express query preparation.


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SAMPLE SCREEN SEARCH COMPLETED -    58740 TO ITERATE

  3.4% PROCESSED     2000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:      1160335 TO  1189265
PROJECTED ANSWERS:               0 TO        0

L3            0 SEA SSS SAM L1

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100.0% PROCESSED  1173003 ITERATIONS                              48 ANSWERS
SEARCH TIME: 00.00.32

L4           48 SEA SSS FUL L1

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COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               192.67     192.89

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FILE COVERS 1907 - 14 Oct 2009 VOL 151 ISS 16
FILE LAST UPDATED: 13 Oct 2009 (20091013/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l4
L5 8 L4

=> d ibib abs hitstr 1-
YOU HAVE REQUESTED DATA FROM 8 ANSWERS - CONTINUE? Y/(N):y

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1045236 CAPLUS <<LOGINID::20091014>>
DOCUMENT NUMBER: 149:307680
TITLE: Preparation of N-piperidinylethylcyclohexyl indolecarboxamide derivatives as inhibitors of chemokine receptors or macrophage protein
INVENTOR(S): Hersperger, Rene; Janser, Philipp; Miltz, Wolfgang
PATENT ASSIGNEE(S): Novartis AG, Switz.
SOURCE: PCT Int. Appl., 70pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008101905	A1	20080828	WO 2008-EP51951	20080218

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

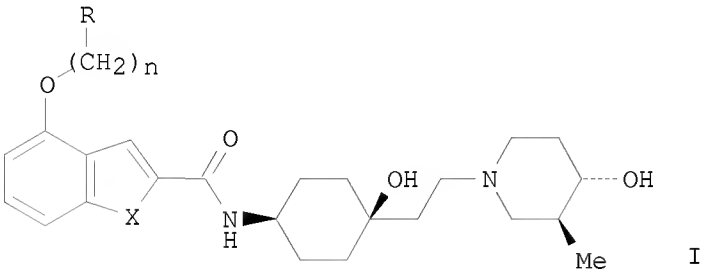
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,

TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

AU 2008219317	A1	20080828	AU 2008-219317		20080218
KR 2009103943	A	20091001	KR 2009-717079		20080218
PRIORITY APPLN. INFO.:			EP 2007-102622	A	20070219
			WO 2008-EP51951	W	20080218

OTHER SOURCE(S): MARPAT 149:307680

GI



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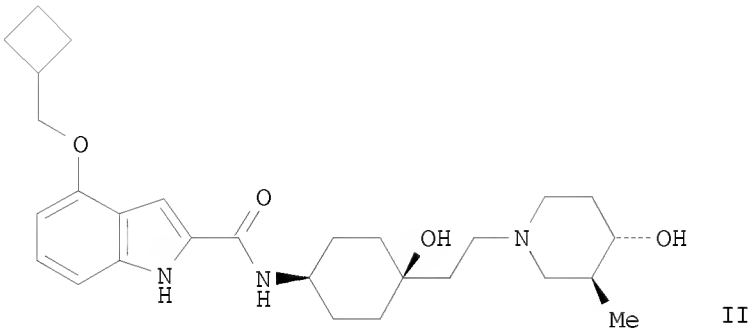


II

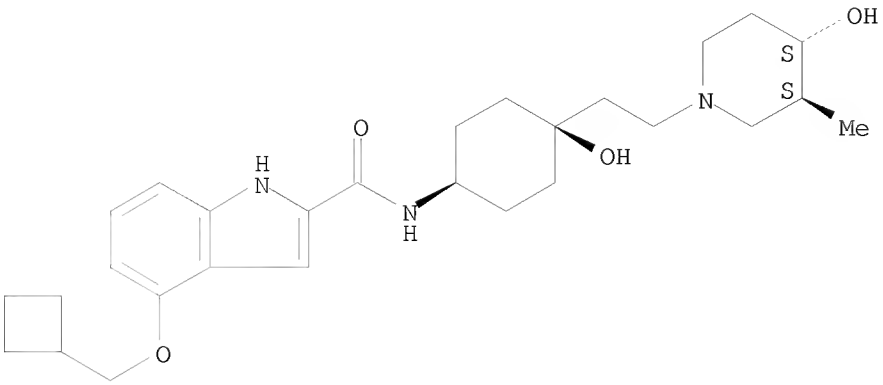
AB Title compds. represented by the formula I [wherein X = CH2 or NH; n = 1 or 2; R = (un)substituted (hetero)alkyl or (hetero)aryl; and pharmaceutically acceptable salts, esters or prodrugs thereof] were prepared as inhibitors of chemokine receptors or macrophage protein. The process of preparation of the invention compds. was described, 29 final compound were obtained, such as II. I had IC50 values between 0.0002 and 10 μM in CCR2/CCR5 membrane and functional assay. Thus, I and their pharmaceutical compns. are useful for the treatment of an autoimmune or inflammatory disease or condition.

IT 1050425-64-3P
RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[(piperidinyl)ethylcyclohexyl] indole-2-carboxamide derivs. as inhibitors of chemokine receptors or macrophage protein)

RN 1050425-64-3 CAPLUS

CN 1H-Indole-2-carboxamide, 4-(cyclobutylmethoxy)-N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



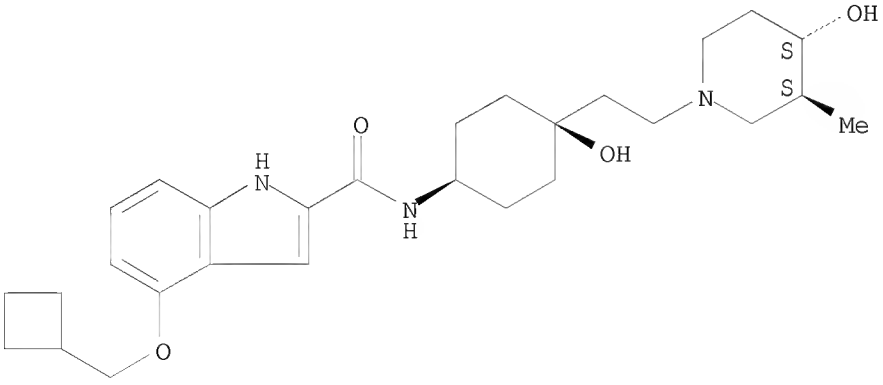
● HCl

IT 1050424-98-0P 1050425-00-7P 1050425-01-8P
1050425-03-0P 1050425-05-2P 1050425-08-5P
1050425-12-1P 1050425-15-4P 1050425-18-7P
1050425-21-2P 1050425-23-4P 1050425-24-5P
1050425-26-7P 1050425-29-0P 1050425-31-4P
1050425-34-7P 1050425-36-9P 1050425-37-0P
1050425-40-5P 1050425-43-8P 1050425-45-0P
1050425-48-3P 1050425-51-8P 1050425-53-0P
1050425-54-1P 1050425-55-2P 1050425-56-3P
1050425-59-6P 1050425-61-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[(piperidinyl)ethylcyclohexyl] indole-2-carboxamide derivs. as inhibitors of chemokine receptors or macrophage protein)

RN 1050424-98-0 CAPLUS

CN 1H-Indole-2-carboxamide, 4-(cyclobutylmethoxy)-N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]- (CA INDEX NAME)

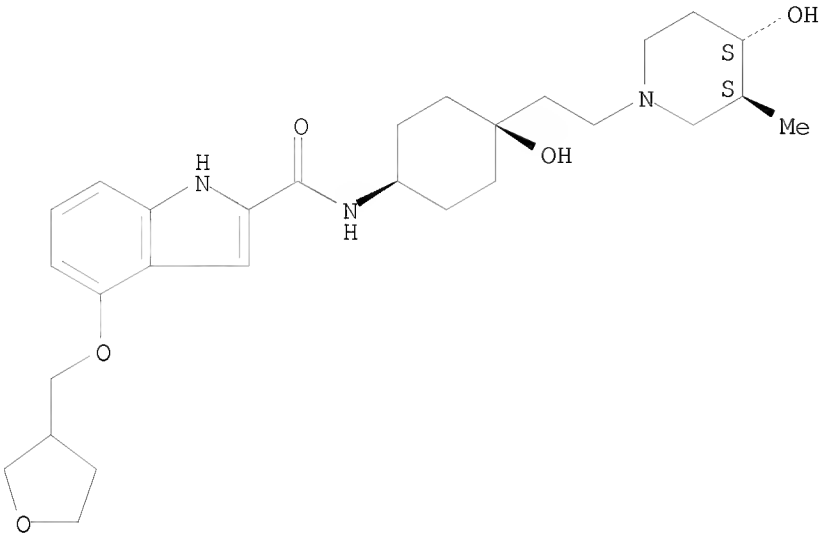
Absolute stereochemistry.



RN 1050425-00-7 CAPLUS

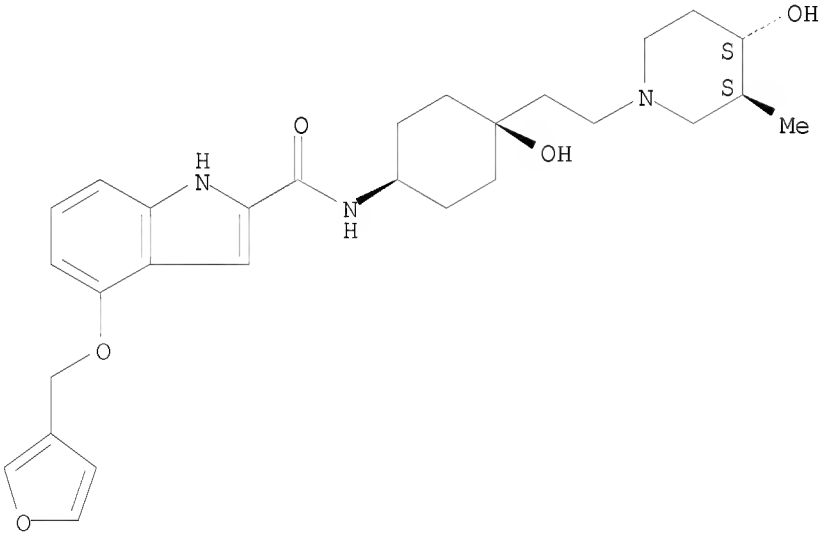
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[(tetrahydro-3-furanyl)methoxy]- (CA INDEX NAME)

Absolute stereochemistry.



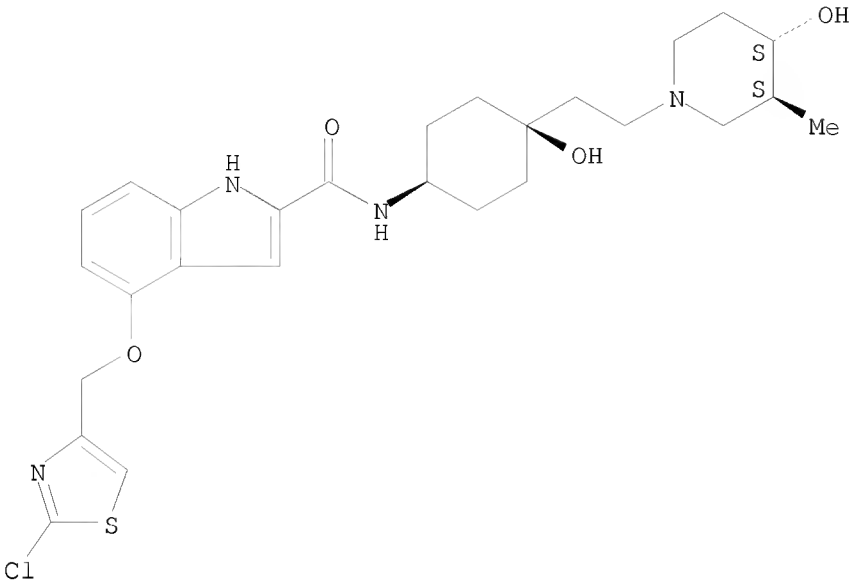
RN 1050425-01-8 CAPLUS
CN 1H-Indole-2-carboxamide, 4-(3-furanylmethoxy)-N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.



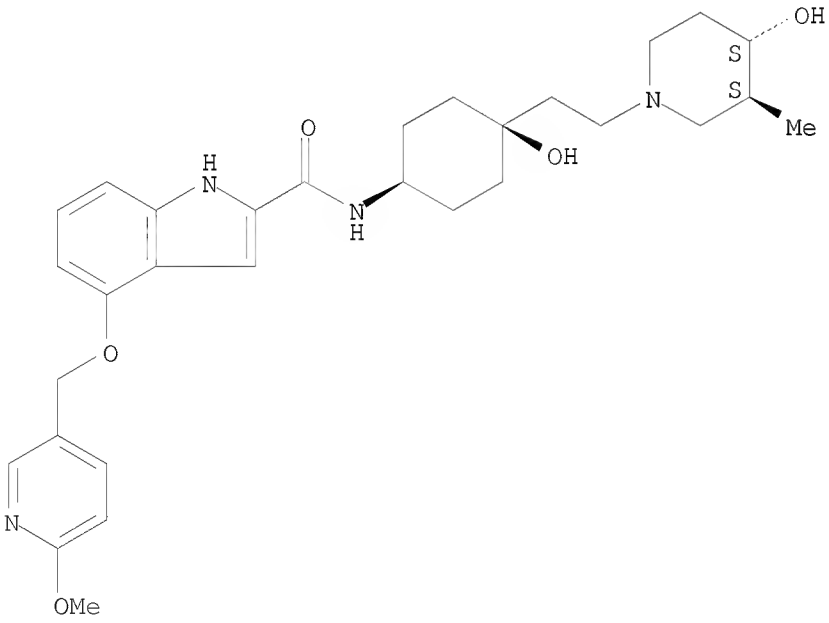
RN 1050425-03-0 CAPLUS
CN 1H-Indole-2-carboxamide, 4-[(2-chloro-4-thiazolyl)methoxy]-N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 1050425-05-2 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[(6-methoxy-3-pyridinyl)methoxy]- (CA INDEX NAME)

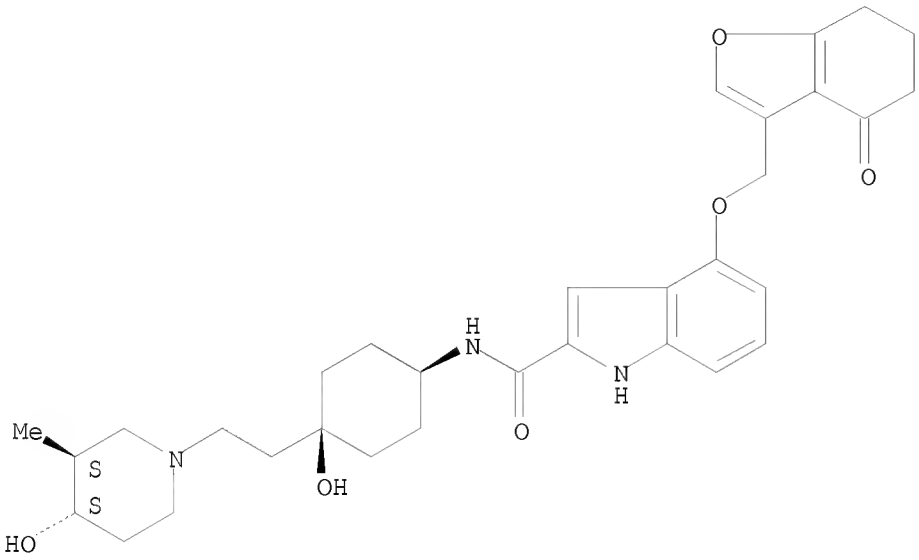
Absolute stereochemistry.



RN 1050425-08-5 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[(4,5,6,7-tetrahydro-4-oxo-3-

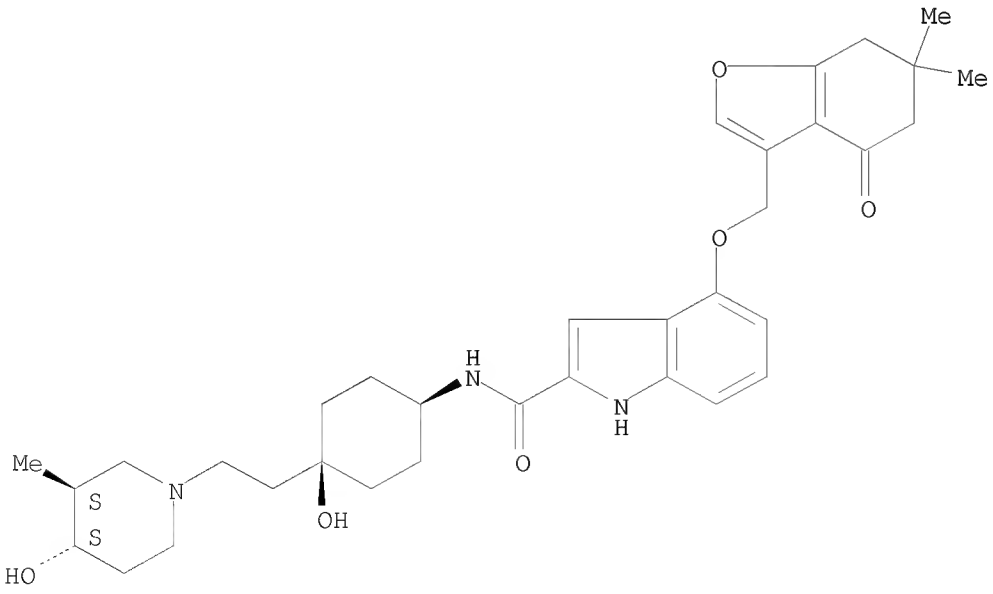
benzofuranyl)methoxy]- (CA INDEX NAME)

Absolute stereochemistry.



RN 1050425-12-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[(4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-3-benzofuranyl)methoxy]- (CA INDEX NAME)

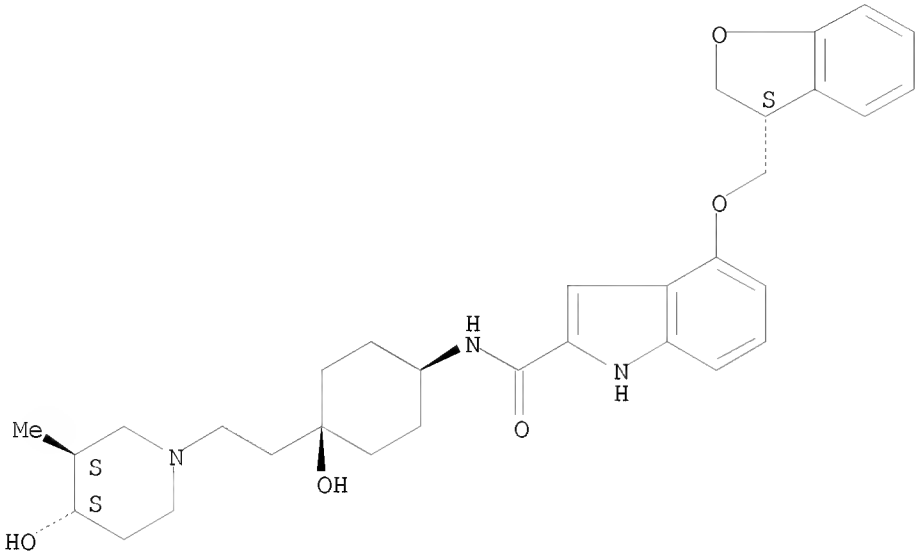
Absolute stereochemistry.



RN 1050425-15-4 CAPLUS
CN 1H-Indole-2-carboxamide, 4-[[(3S)-2,3-dihydro-3-benzofuranyl]methoxy]-N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-

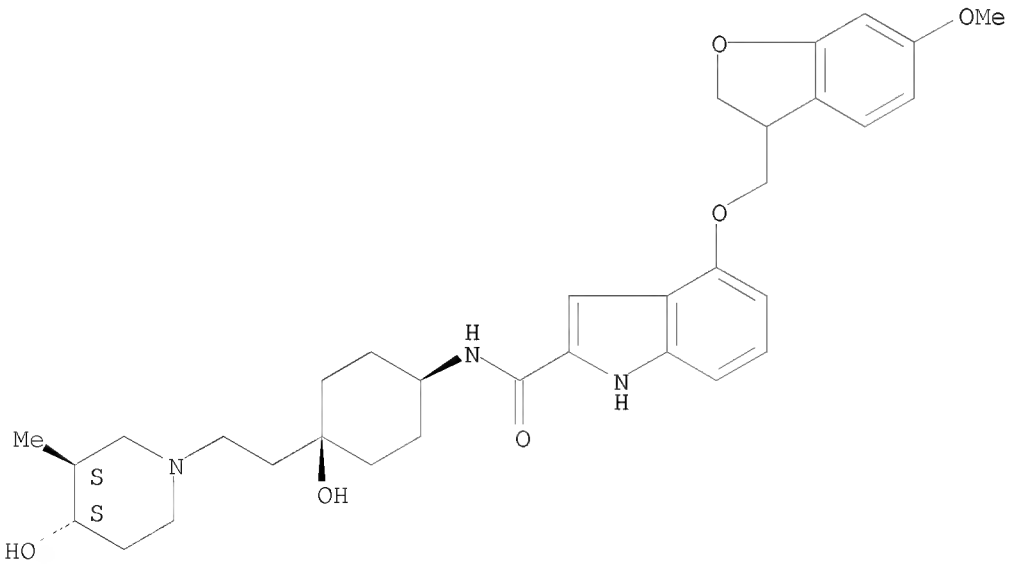
piperidinyl]ethyl]cyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.



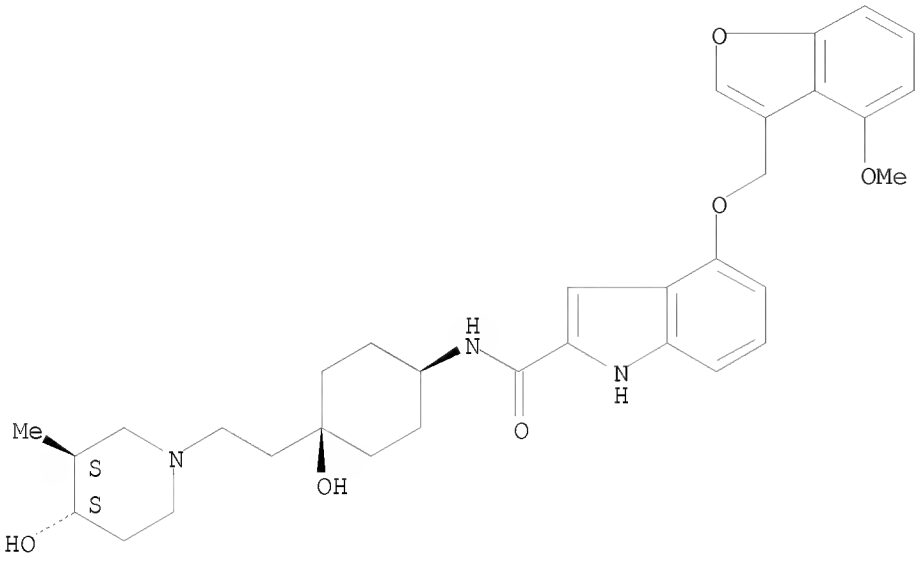
RN 1050425-18-7 CAPLUS
CN 1H-Indole-2-carboxamide, 4-[(2,3-dihydro-6-methoxy-3-benzofuranyl)methoxy]-N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.



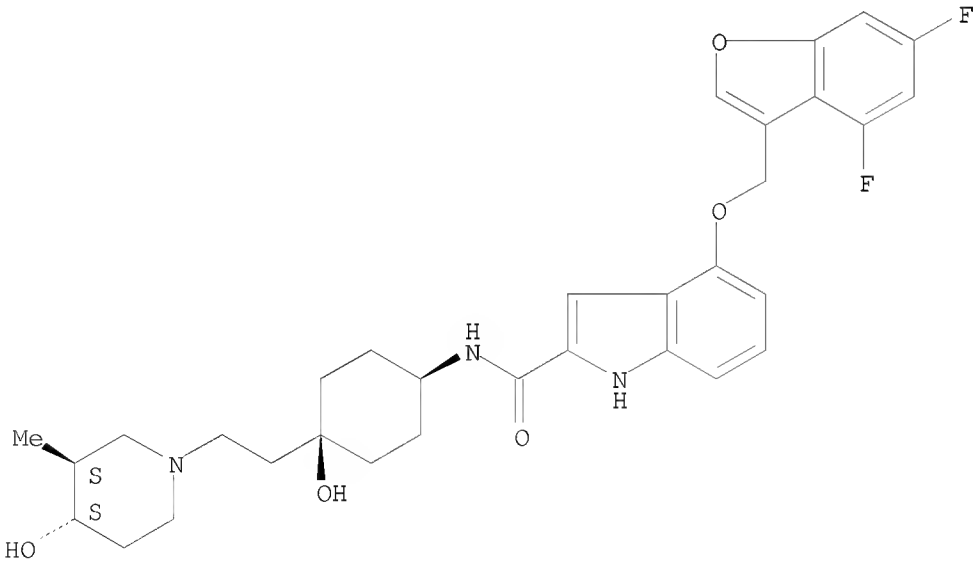
RN 1050425-21-2 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[(4-methoxy-3-benzofuranyl)methoxy]- (CA INDEX NAME)

Absolute stereochemistry.



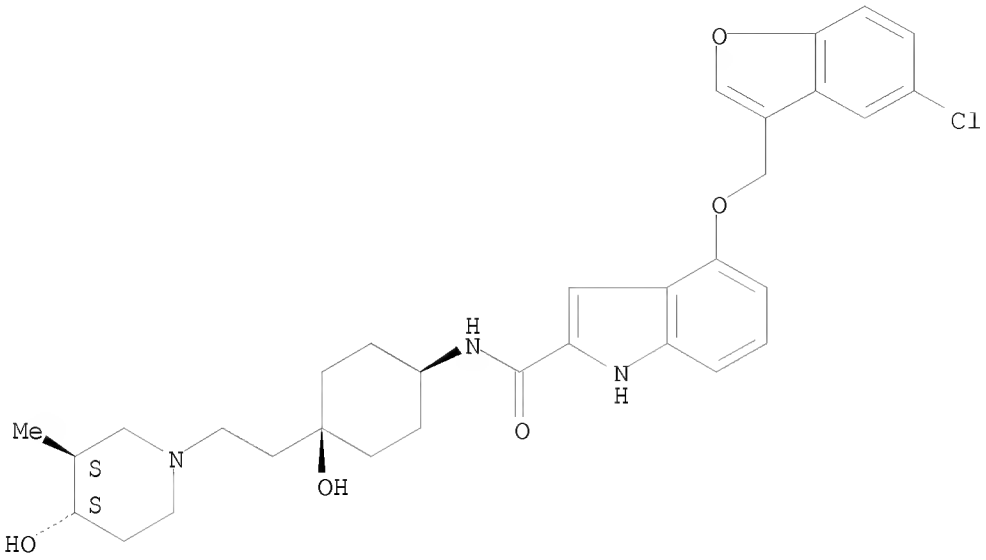
RN 1050425-23-4 CAPLUS
CN 1H-Indole-2-carboxamide, 4-[(4,6-difluoro-3-benzofuranyl)methoxy]-N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.



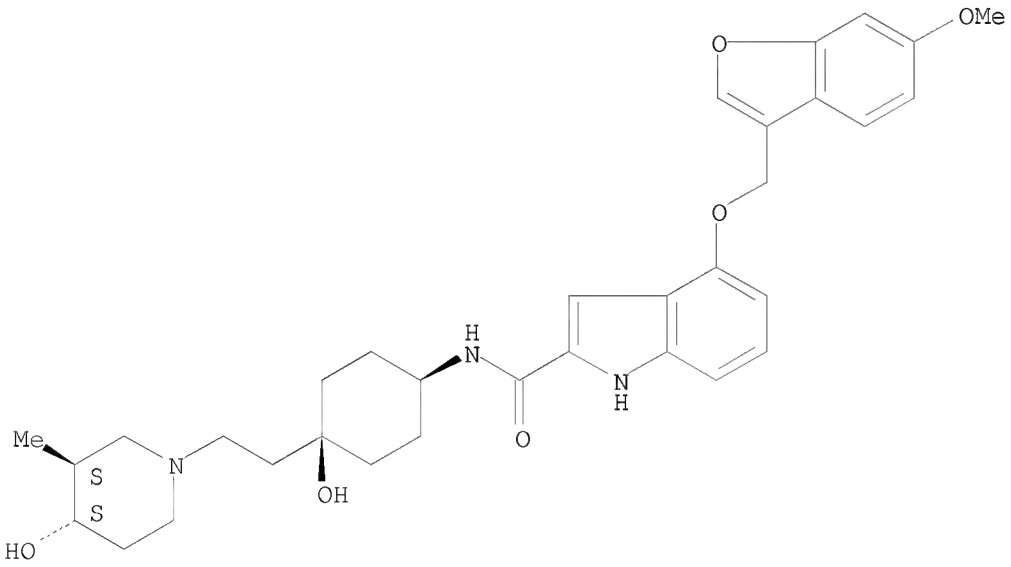
RN 1050425-24-5 CAPLUS
CN 1H-Indole-2-carboxamide, 4-[(5-chloro-3-benzofuranyl)methoxy]-N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.



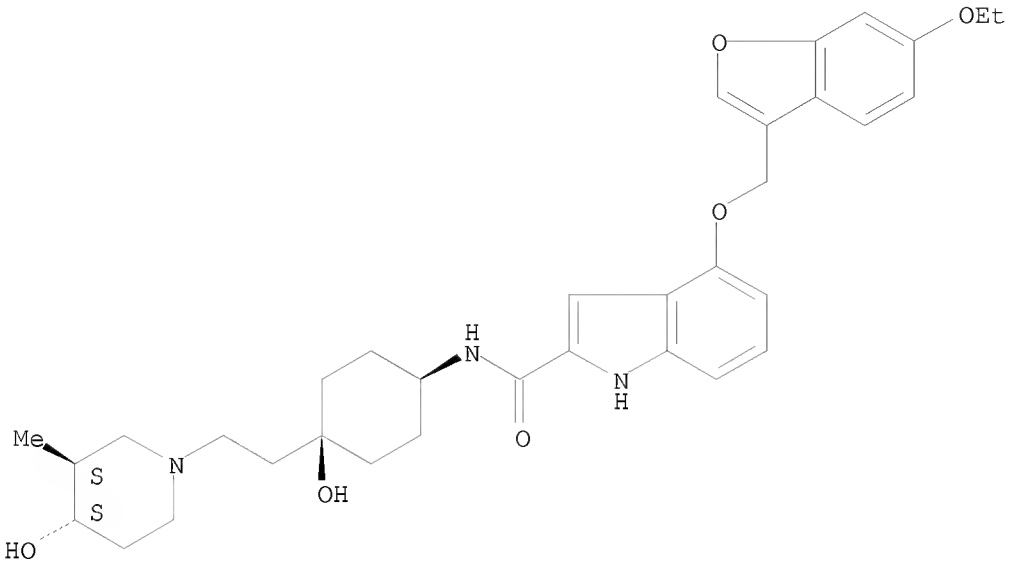
RN 1050425-26-7 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[(6-methoxy-3-benzofuranyl)methoxy]- (CA INDEX NAME)

Absolute stereochemistry.



RN 1050425-29-0 CAPLUS
CN 1H-Indole-2-carboxamide, 4-[(6-ethoxy-3-benzofuranyl)methoxy]-N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 1050425-31-4 CAPLUS
CN 1H-Indole-2-carboxamide, 4-[[6-(cyclopropylmethoxy)-3-benzofuranyl]methoxy]-N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.

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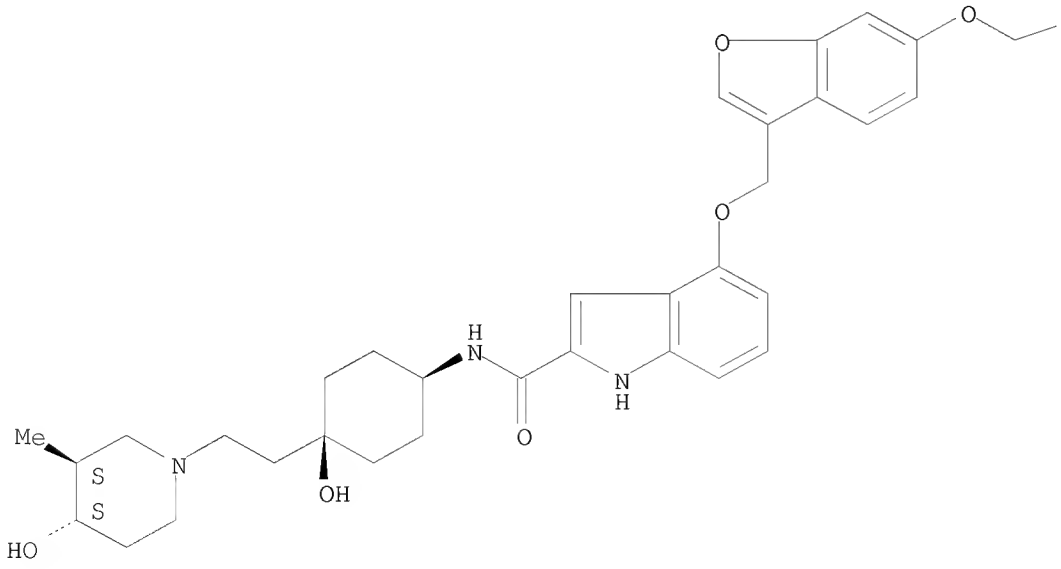

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RN 1050425-34-7 CAPLUS
CN 1H-Indole-2-carboxamide, 4-[[6-(2-ethoxyethoxy)-3-benzofuranyl]methoxy]-N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.

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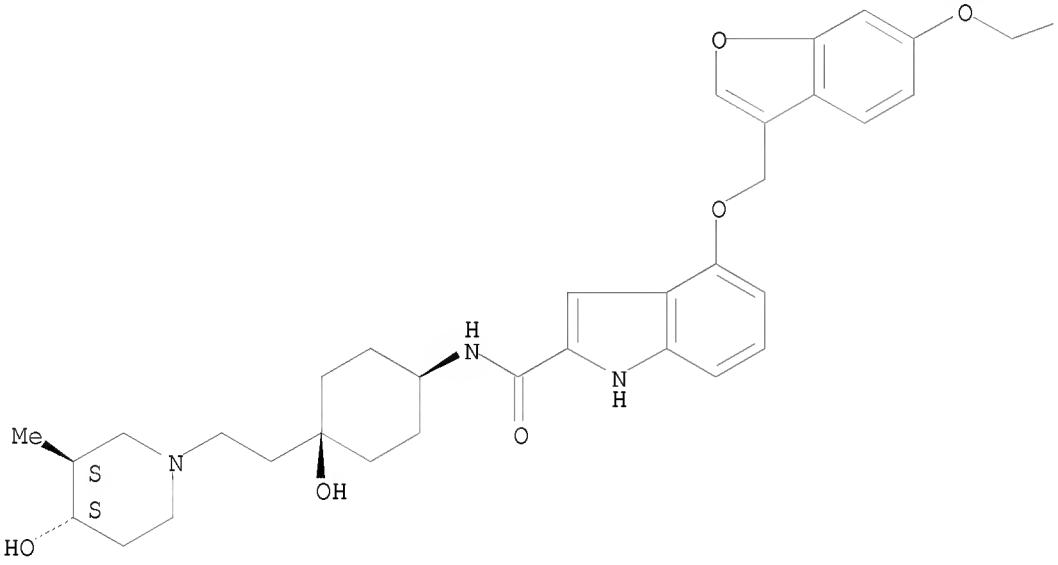

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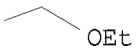

RN 1050425-36-9 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[[6-(2-methoxy-1-methylethoxy)-3-benzofuranyl]methoxy]- (CA INDEX NAME)

Absolute stereochemistry.

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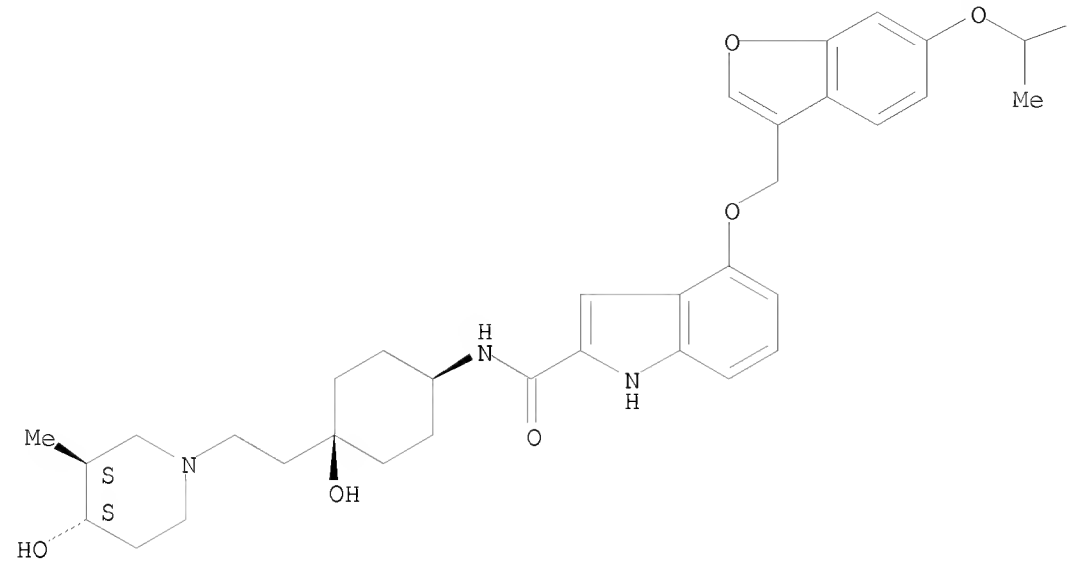

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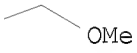

RN 1050425-37-0 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[[6-[2-(1-methylethoxy)ethoxy]-3-benzofuranyl]methoxy]- (CA INDEX NAME)

Absolute stereochemistry.

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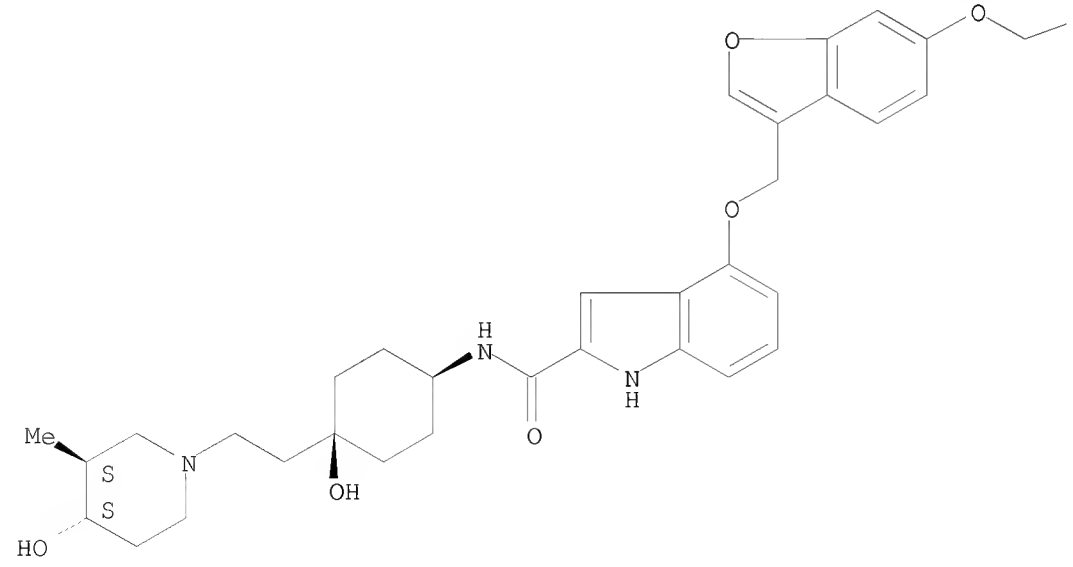

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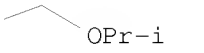

RN 1050425-40-5 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[[6-(3-methoxypropoxy)-3-benzofuranyl]methoxy]- (CA INDEX NAME)

Absolute stereochemistry.

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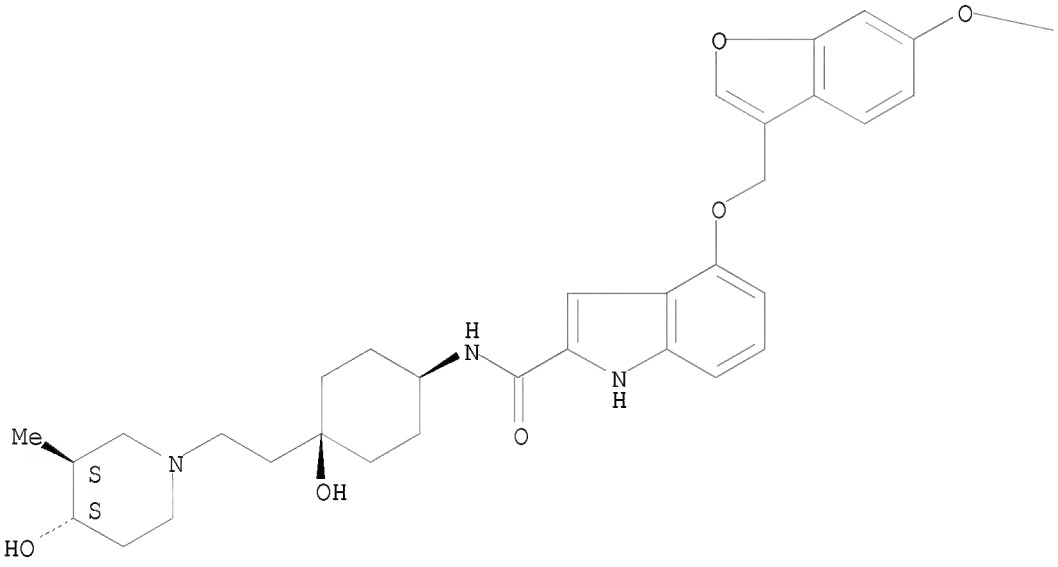

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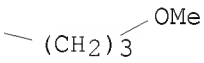

RN 1050425-43-8 CAPLUS
CN 1H-Indole-2-carboxamide, 4-[[6-(3-ethoxypropoxy)-3-benzofuranyl]methoxy]-N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.

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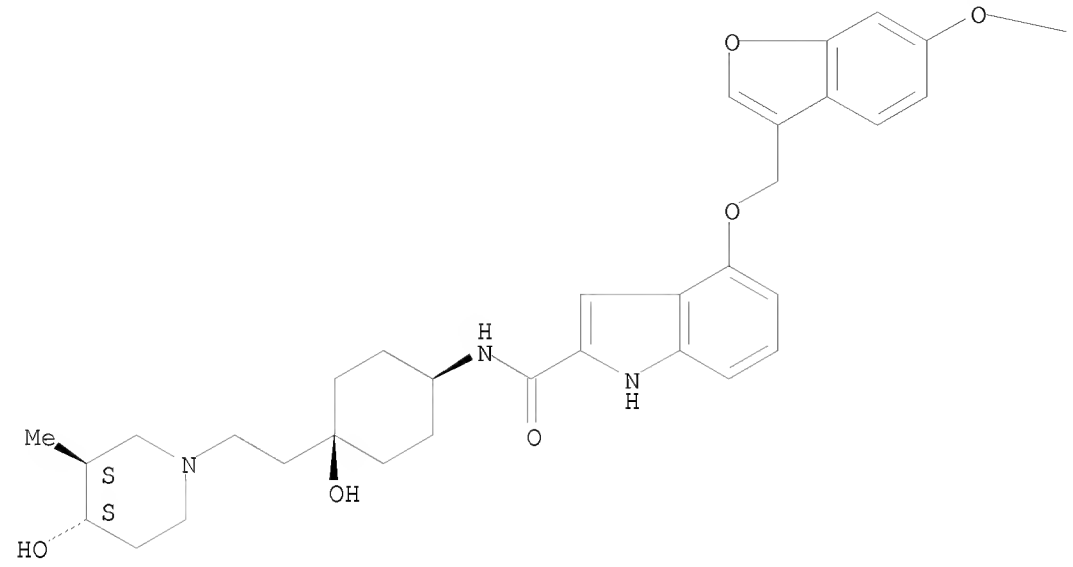

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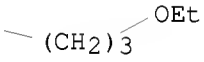

RN 1050425-45-0 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[[6-[[(3S)-tetrahydro-3-furanyl]oxy]-3-benzofuranyl]methoxy]- (CA INDEX NAME)

Absolute stereochemistry.

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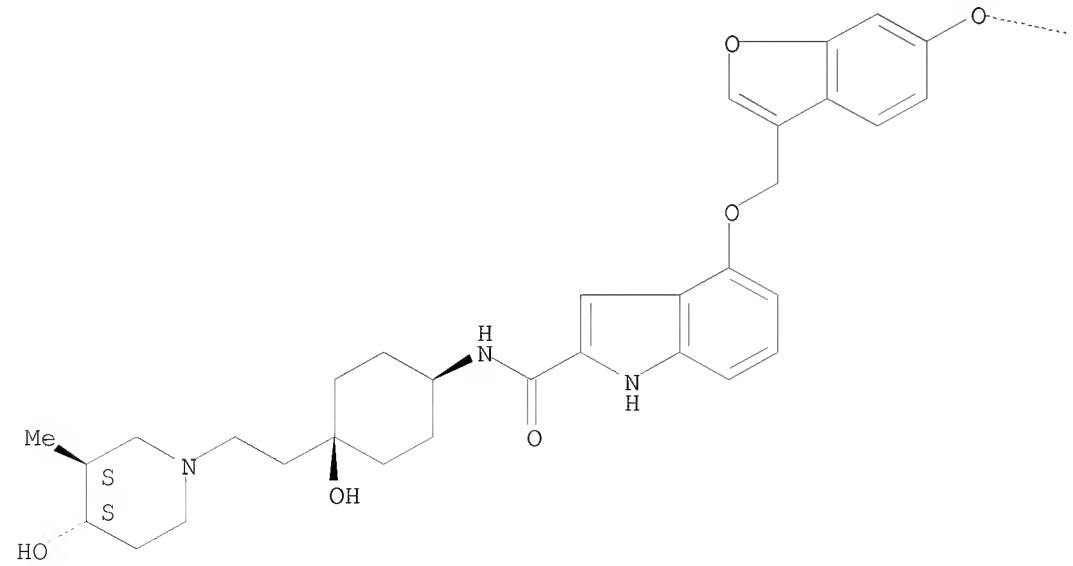
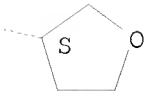
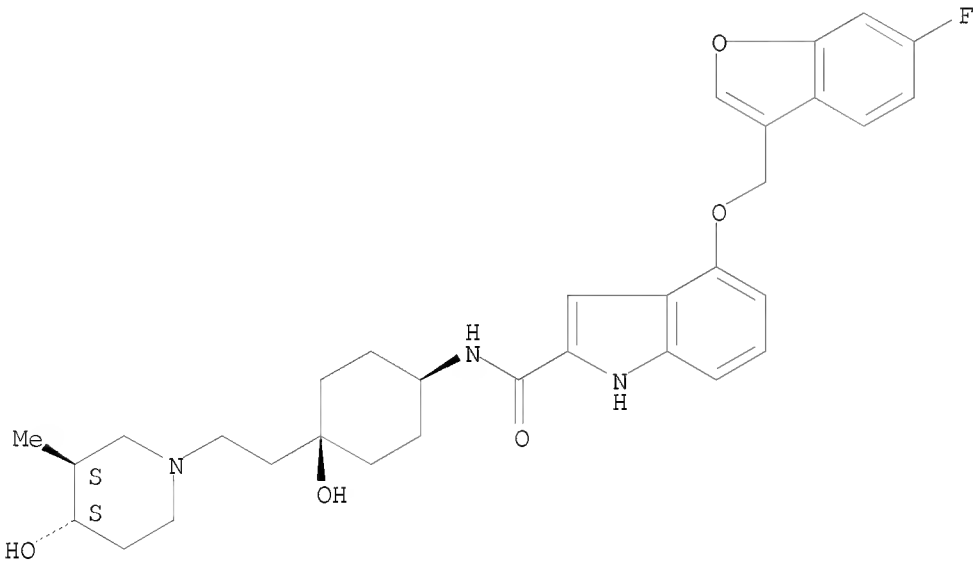




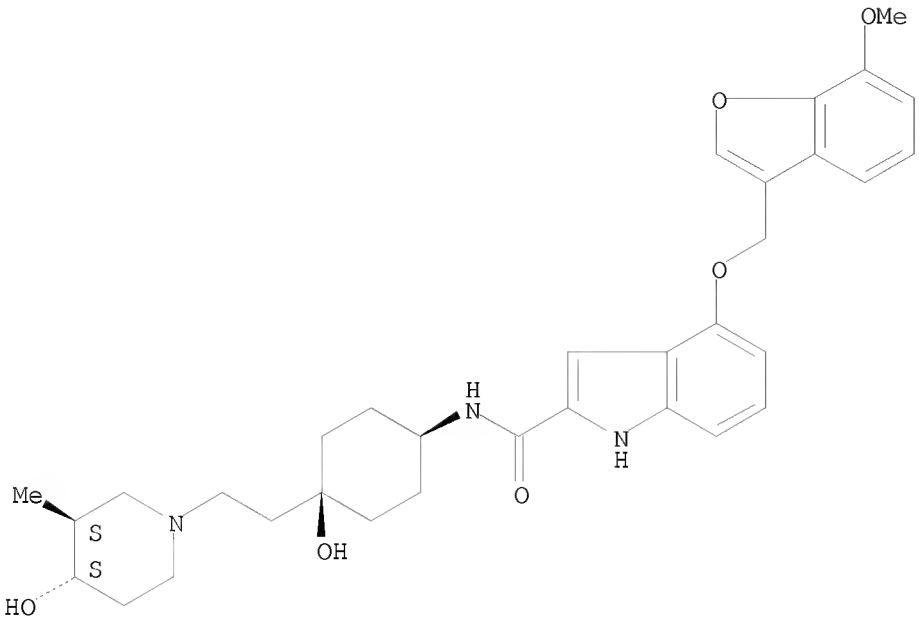
RN 1050425-48-3 CAPLUS
CN 1H-Indole-2-carboxamide, 4-[(6-fluoro-3-benzofuranyl)methoxy]-N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.



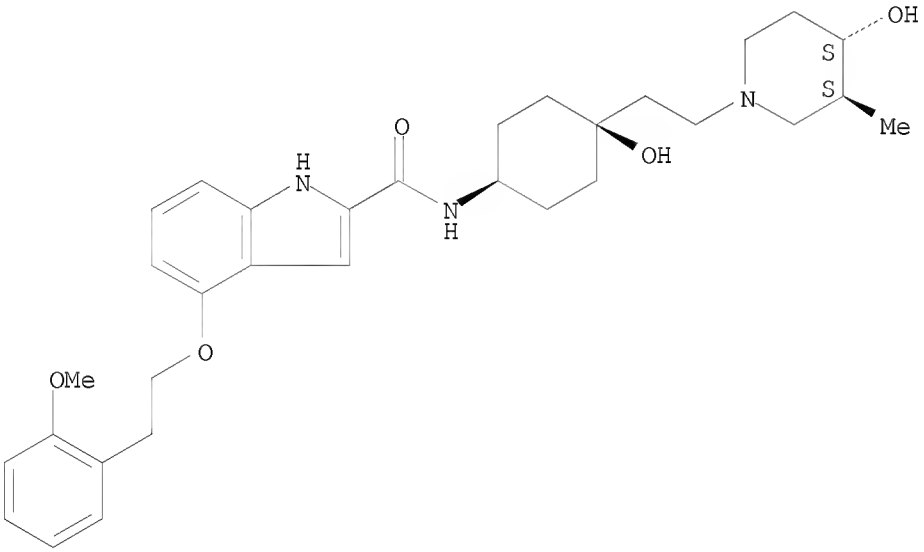
RN 1050425-51-8 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[(7-methoxy-3-benzofuranyl)methoxy]- (CA INDEX NAME)

Absolute stereochemistry.



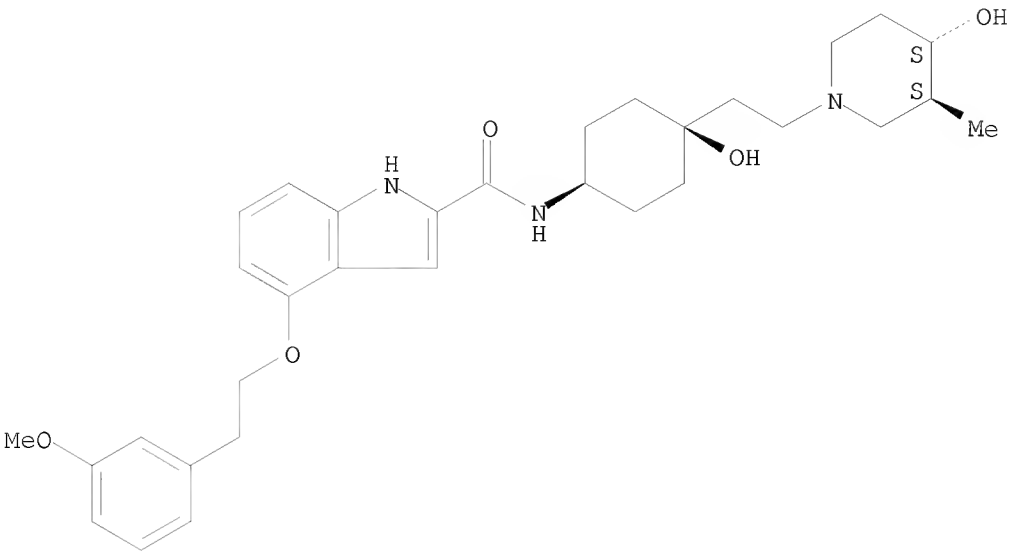
RN 1050425-53-0 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[2-(2-methoxyphenyl)ethoxy]- (CA INDEX NAME)

Absolute stereochemistry.



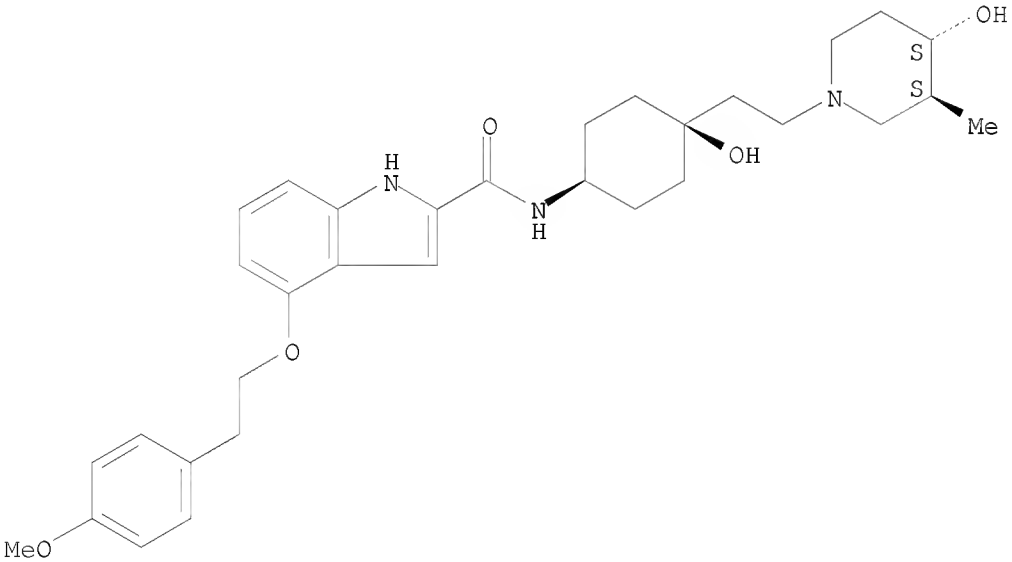
RN 1050425-54-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[2-(3-methoxyphenyl)ethoxy]- (CA INDEX NAME)

Absolute stereochemistry.



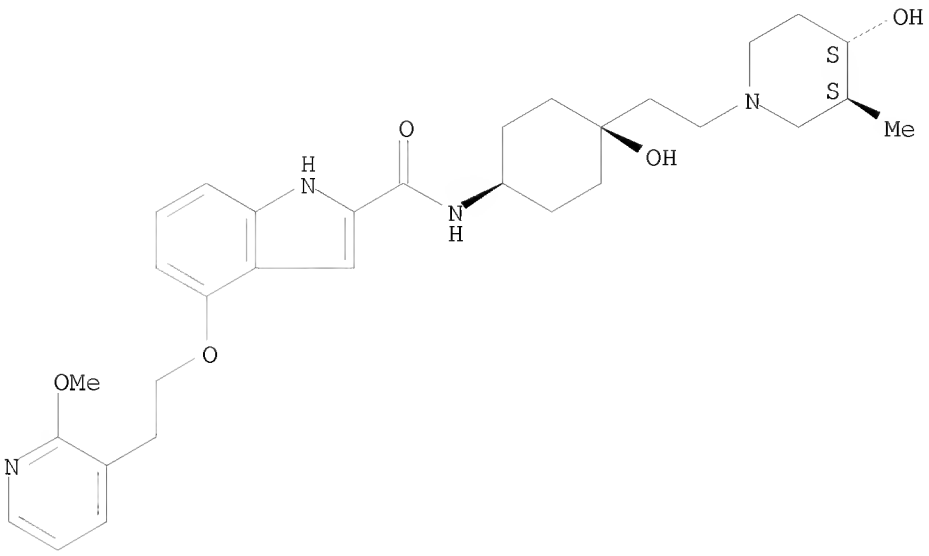
RN 1050425-55-2 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[2-(4-methoxyphenyl)ethoxy]- (CA INDEX NAME)

Absolute stereochemistry.



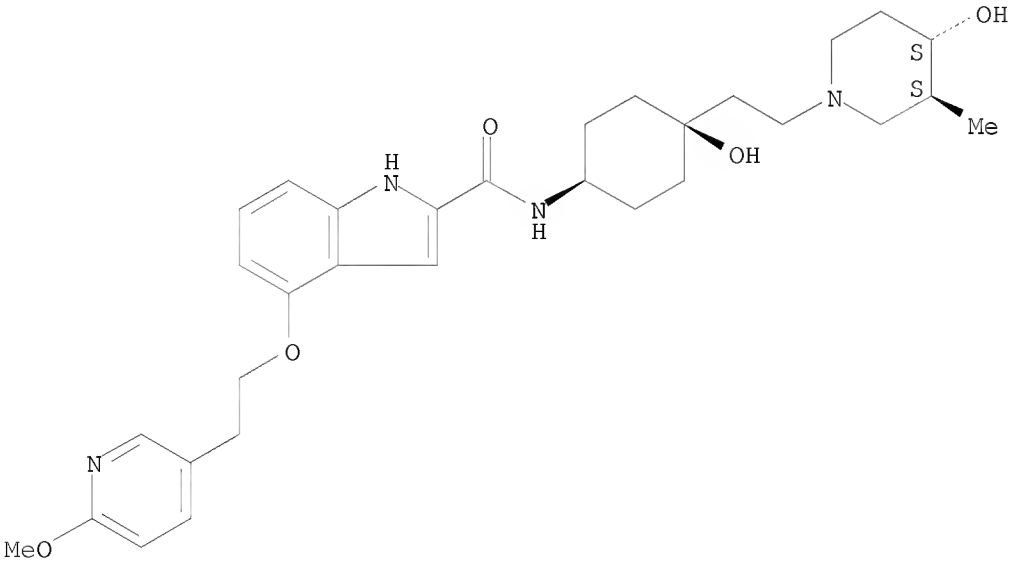
RN 1050425-56-3 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[2-(2-methoxy-3-pyridinyl)ethoxy]- (CA INDEX NAME)

Absolute stereochemistry.



RN 1050425-59-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[2-(6-methoxy-3-pyridinyl)ethoxy]- (CA INDEX NAME)

Absolute stereochemistry.



RN 1050425-61-0 CAPLUS
CN 1H-Indole-2-carboxamide, N-[cis-4-hydroxy-4-[2-[(3S,4S)-4-hydroxy-3-methyl-1-piperidinyl]ethyl]cyclohexyl]-4-[2-(6-methoxy-3-benzofuranyl)ethoxy]- (CA INDEX NAME)

Absolute stereochemistry.

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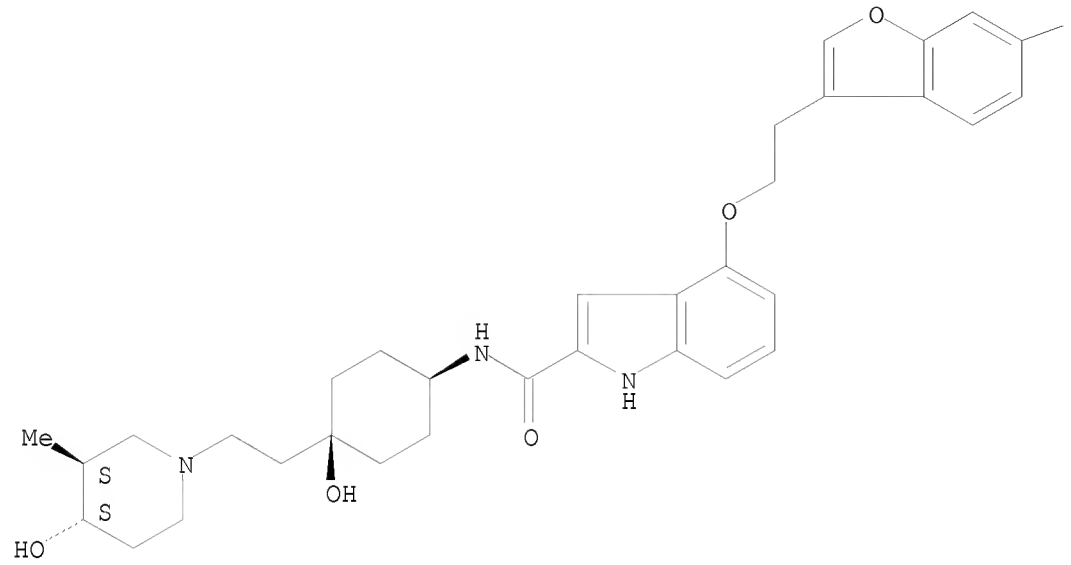

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REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ACCESSION NUMBER: 2007:944130 CAPLUS <<LOGINID::20091014>>
DOCUMENT NUMBER: 147:300997
TITLE: Benzoyl-piperidine derivatives as 5HT2/D3 modulators and their preparation, pharmaceutical compositions and use in the treatment of CNS disorders
INVENTOR(S): Gobbi, Luca; Jaeschke, Georg; Luebbers, Thomas; Roche, Olivier; Rodriguez Sarmiento, Rosa Maria; Steward, Lucinda
PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.
SOURCE: PCT Int. Appl., 164pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007093540	A1	20070823	WO 2007-EP51160	20070207

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,

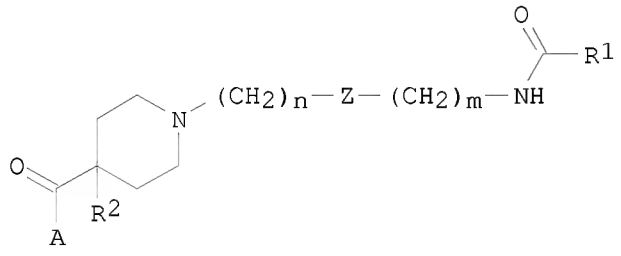
RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

AU 2007216563	A1	20070823	AU 2007-216563		20070207
CA 2640807	A1	20070823	CA 2007-2640807		20070207
EP 1987019	A1	20081105	EP 2007-704416		20070207
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR					
JP 2009526807	T	20090723	JP 2008-554738		20070207
US 20070197531	A1	20070823	US 2007-705635		20070213
MX 2008010325	A	20080820	MX 2008-10325		20080812
IN 2008CN04269	A	20090313	IN 2008-CN4269		20080812
CN 101384581	A	20090311	CN 2007-80005790		20080818
NO 2008003584	A	20081114	NO 2008-3584		20080819
KR 2008095899	A	20081029	KR 2008-722377		20080912
PRIORITY APPLN. INFO.:			EP 2006-110112	A	20060217
			EP 2006-112464	A	20060411
			WO 2007-EP51160	W	20070207

OTHER SOURCE(S): MARPAT 147:300997

GI



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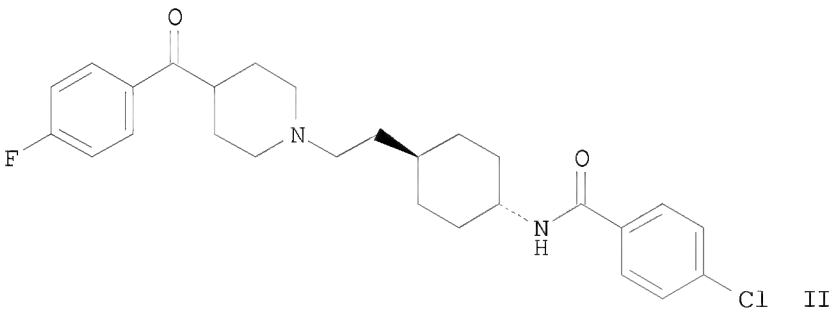


II

AB The invention relates to compds. of the general formula I as dual modulators of the 5-HT2a and D3 receptors useful against CNS disorders. Compds. of formula I wherein A is (un)substituted aryl and (un)substituted 5- to 6-membered heteroaryl; n is 1, 2, 3, and 4; r is 0, 1, 2, and 3; Z is cyclopropane, cyclobutane, cyclopentane, and cyclohexane; R1 is C2-6 (aryl)alkenyl, C2-6 (aryl)alkynyl, (un)substituted C1-6 alkyl, C1-6 alkoxy, (un)substituted C3-10 cycloalkyl, etc.; R2 is H, OH, C1-6 alkyl, and halo; and their pharmaceutically acceptable salts thereof are claimed.

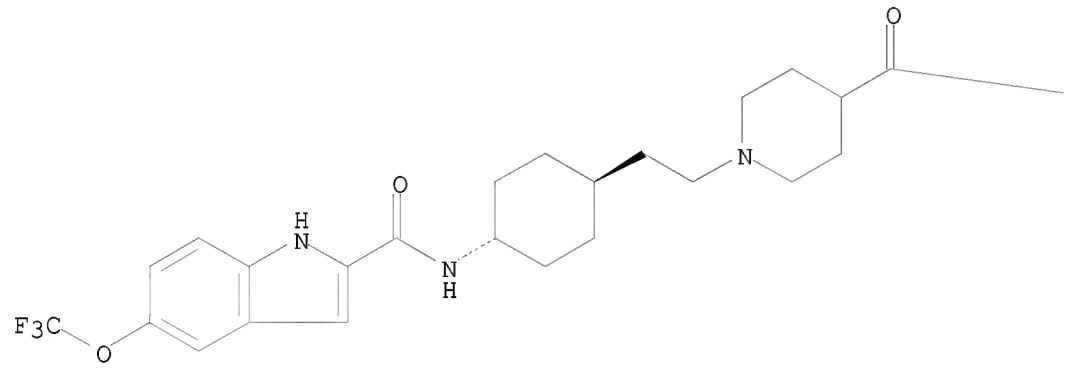
Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their 5HT2a and D3 modulatory activity (some data given). Examples of formulation is also given.

IT 946596-46-9P 946596-47-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzoyl-piperidine derivs. as 5HT2/D3 modulators useful in the treatment of CNS disorders)

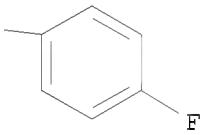
RN 946596-46-9 CAPLUS
CN 1H-Indole-2-carboxamide, N-[trans-4-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]cyclohexyl]-5-(trifluoromethoxy)- (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A

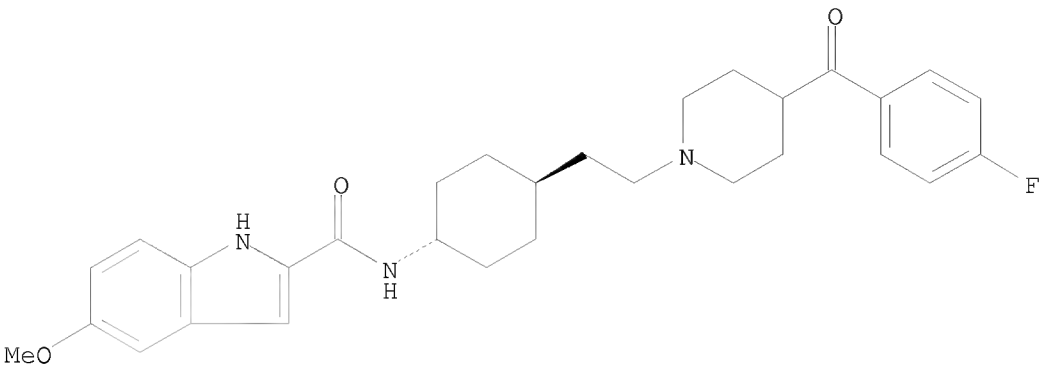


PAGE 1-B



RN 946596-47-0 CAPLUS
CN 1H-Indole-2-carboxamide, N-[trans-4-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]cyclohexyl]-5-methoxy- (CA INDEX NAME)

Relative stereochemistry.



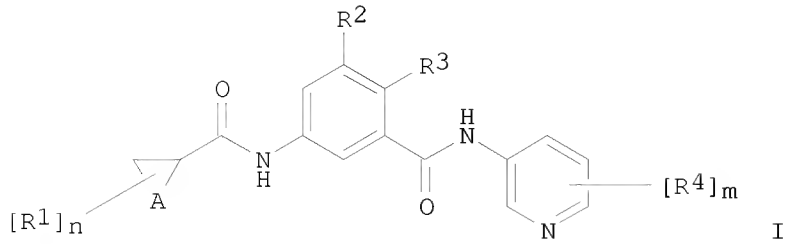
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:634796 CAPLUS <<LOGINID::20091014>>
DOCUMENT NUMBER: 145:124467
TITLE: Preparation of pyridine carboxamides as CSF-1R inhibitors for treating cancer
INVENTOR(S): Almeida, Lynsie; Aquila, Brian; Cook, Don; Cowen, Scott; Dakin, Les; Ezhuthachan, Jayachandran; Ioannidis, Stephanos; Lee, Stephen; Lyne, Paul; Pontz, Timothy; Scott, David; Su, Mei; Zheng, Xiaolan
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 183 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006067445	A2	20060629	WO 2005-GB4985	20051222
WO 2006067445	A3	20060914		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-639177P P 20041222
OTHER SOURCE(S): CASREACT 145:124467; MARPAT 145:124467
GI



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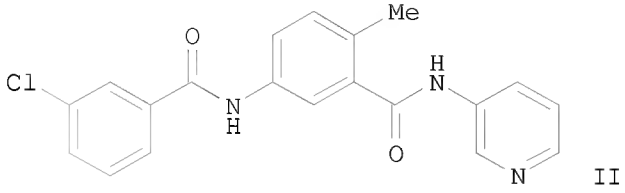


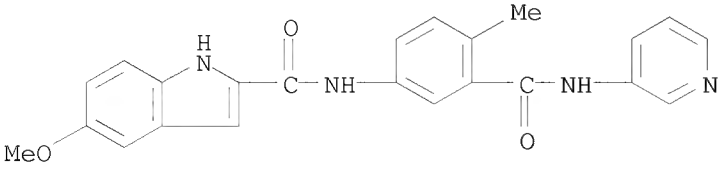
II

AB The title compds. I [A = Ph, 5 or 6 membered heterocyclyl, optionally fused to a 5 or 6 membered carbocyclyl or heterocyclyl, wherein if said heterocyclyl ring contains an NH moiety that N may be optionally substituted by R5; R5 = alkyl, alkanoyl, Bn, carbamoyl, etc.; each R1 = independently halo, NO2, CN, OH, NH2, (un)substituted alk(en)yl, N,N'-dialkylureido etc.; n = 0-4; R2 = H, halo, (un)substituted alkanoyl, etc.; R3 = halo, OH, CN, Me, OMe, CH2OH; R4 = halo, ureido, sulfamoyl, carboxy, etc.; m = 0-4; with the exclusion of certain compds.] which possess colony stimulating factor 1 receptor (CSF-1R) kinase inhibitory activity and are accordingly useful for their anti cancer activity and thus in methods of treatment of the human or animal body, were prepared Thus, reacting 5-amino-2-methyl-N-(pyridin-3-yl)benzamide (preparation given) with 3-chlorobenzoic acid in DMF in the presence of HATU afforded II which showed IC50 of 12 μM when tested in CSF-1R in vitro AlphaScreen assay. The invention also relates to processes for the manufacture of said compds. I, to pharmaceutical compns. containing them and to their use in the manufacture of
medicaments of use in the production of an anti-cancer effect in a warm blooded animal such as man.

IT 896157-20-3P, 5-Methoxy-N-[4-Methyl-3-[[(pyridin-3-yl)amino]carbonyl]phenyl]-1H-indole-2-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyridine carboxamides as CSF-1R inhibitors for treating cancer)

RN 896157-20-3 CAPLUS

CN 1H-Indole-2-carboxamide, 5-methoxy-N-[4-methyl-3-[(3-pyridinylamino)carbonyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:631355 CAPLUS <<LOGINID::20091014>>
DOCUMENT NUMBER: 145:103567
TITLE: Preparation of pyridine carboxamides as anti-cancer agents
INVENTOR(S): Almeida, Lynsie; Aquila, Brian; Cook, Don; Cowen, Scott; Dakin, Les; Ezhuthachan, Jayachandran; Ioannidis, Stephanos; Lee, John W.; Lee, Stephen; Lyne, Paul Dermot; Pontz, Timothy; Scott, David; Su, Mei; Zheng, Xiaolan
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 186 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006067446	A1	20060629	WO 2005-GB4986	20051222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005317870	A1	20060629	AU 2005-317870	20051222
CA 2589773	A1	20060629	CA 2005-2589773	20051222
EP 1831198	A1	20070912	EP 2005-820952	20051222
EP 1831198	B1	20090408		
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JP 2008525406	T	20080717	JP 2007-547642	20051222
BR 200519181	A2	20081230	BR 2005-19181	20051222
AT 427946	T	20090415	AT 2005-820952	20051222
NO 2007002784	A	20070717	NO 2007-2784	20070531
ZA 2007005117	A	20081029	ZA 2007-5117	20070618
MX 2007007574	A	20070724	MX 2007-7574	20070621
IN 2007DN05331	A	20070817	IN 2007-DN5331	20070710
KR 2007091675	A	20070911	KR 2007-716841	20070720
CN 101128454	A	20080220	CN 2005-80048590	20070822

PRIORITY APPLN. INFO.: US 2004-639234P P 20041222
WO 2005-GB4986 W 20051222
OTHER SOURCE(S): CASREACT 145:103567; MARPAT 145:103567
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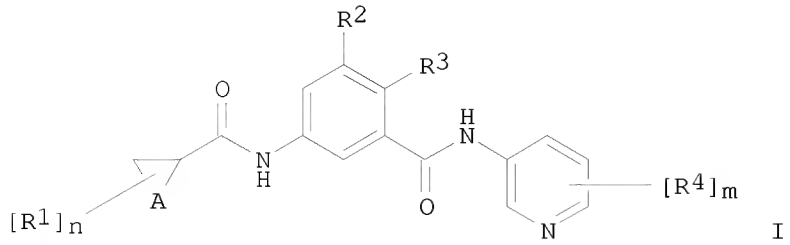

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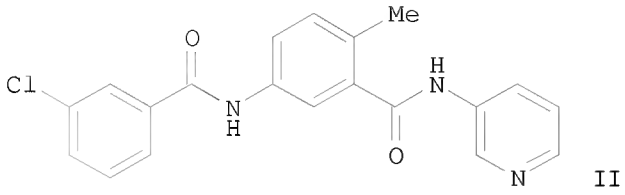


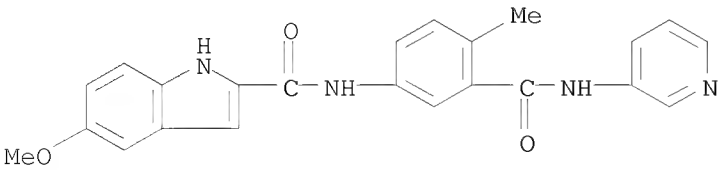
II

AB The title compds. I [A = carbocyclyl, heterocyclyl, wherein if said heterocyclyl ring contains an NH moiety that N may be optionally substituted by R5; R5 = alkyl, alkanoyl, Bn, carbamoyl, etc.; each R1 = independently halo, NO2, CN, OH, NH2, (un)substituted alk(en)yl, N,N'-dialkylureido etc.; n = 0-4; R2 = H, halo, (un)substituted alkanoyl, etc.; R3 = halo, OH, CN, Me, OMe, CH2OH; R4 = halo, ureido, sulfamoyl, carboxy, etc.; m = 0-4; with the exclusion of certain compds.] which possess B-Raf inhibitory activity and are accordingly useful for their anti cancer activity and thus in methods of treatment of the human or animal body, were prepared Thus, reacting 5-amino-2-methyl-N-(pyridin-3-yl)benzamide (preparation given) with 3-chlorobenzoic acid in DMF in the presence of HATU afforded II which showed IC50 of 0.057 μM when tested in B-Raf in vitro ELISA assay. The invention also relates to processes for the manufacture of said compds. I, to pharmaceutical compns. containing them and to their use in the manufacture of medicaments of use in the production of an anti-cancer effect in a warm blooded animal such as man.

IT 896157-20-3P, 5-Methoxy-N-[4-Methyl-3-[[(pyridin-3-yl)amino]carbonyl]phenyl]-1H-indole-2-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyridine carboxamides as B-Raf inhibitors for treating cancer)

RN 896157-20-3 CAPLUS

CN 1H-Indole-2-carboxamide, 5-methoxy-N-[4-methyl-3-[(3-pyridinylamino)carbonyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:902881 CAPLUS <<LOGINID::20091014>>
DOCUMENT NUMBER: 143:248292
TITLE: Preparation of 1H-indole-2-carboxylic acid N-(piperidin-4-yl)amides and related derivatives as chemokine receptor, particularly CCR2 and CCR5 antagonists
INVENTOR(S): Hersperger, Rene; Janser, Philipp; Pfenninger, Emil; Wuethrich, Hans Juerg; Miltz, Wolfgang
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 240 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005077932	A2	20050825	WO 2005-EP1362		20050210
WO 2005077932	A3	20051208			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2005212510	A1	20050825	AU 2005-212510		20050210
AU 2005212510	B2	20081030			
CA 2554642	A1	20050825	CA 2005-2554642		20050210
EP 1720859	A2	20061115	EP 2005-707321		20050210
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU					
CN 1946713	A	20070411	CN 2005-80012297		20050210
BR 2005007617	A	20070703	BR 2005-7617		20050210
JP 2007522170	T	20070809	JP 2006-552549		20050210
ZA 2006006180	A	20080528	ZA 2006-6180		20060726
IN 2006CN02936	A	20070608	IN 2006-CN2936		20060810
MX 2006009160	A	20061002	MX 2006-9160		20060811
KR 2007027511	A	20070309	KR 2006-718341		20060908
KR 883236	B1	20090210			
NO 2006004077	A	20061110	NO 2006-4077		20060911
US 20070155721	A1	20070705	US 2006-597753		20060920
PRIORITY APPLN. INFO.:			GB 2004-3038	A	20040211
			WO 2005-EP1362	W	20050210

OTHER SOURCE(S): CASREACT 143:248292; MARPAT 143:248292
GI

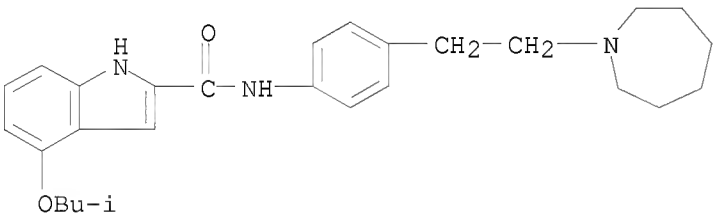
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein Z = CH2 and derivs., NH and derivs., O, S; R, R1 = independently OH and derivs., (un)substituted hetero/aryl, arylalkyl, etc.; X = (un)substituted hetero/cycloalkyl, hetero/aryl; Q = linker of between 1 and 3 atoms length; Y = (un)substituted hetero/cycloalkyl, bridged hetero/cycloalkyl, hetero/aryl, fused aryl-heterocycloalkyl; and their pharmaceutically acceptable salts, esters and prodrugs] were prepared as CCR2 and CCR5 antagonists. For example, reacting [1-[2-(azepan-1-yl)ethyl]piperidin-4-yl]amine•3HCl (preparation given) and 4-(5-chlorobenzofuran-3-ylmethoxy)-1H-indole-2-carboxylic acid (preparation given) gave amide II in 57% yield. I had IC50 between 0.0003 and 10 μM and between 0.004 and 10 μM in CCR2 and CCR5 membrane binding assays. I are effective as dual CCR2 and CCR5 antagonists. I are useful for treating autoimmune and inflammatory diseases, HIV infection and AIDS.

IT 863250-06-0P, 4-Isobutoxy-1H-indole-2-carboxylic acid N-[4-[2-(azepan-1-yl)ethyl]phenyl]amide 863250-07-1P, trans-4-Isobutoxy-1H-indole-2-carboxylic acid [4-[[methyl(tetrahydropyran-4-yl)amino]methyl]cyclohexyl]amide 863250-08-2P, 4-Isobutoxy-1H-indole-2-carboxylic acid N-[4-[[methyl(tetrahydropyran-4-yl)amino]methyl]phenyl]amide 863250-09-3P, 4-Isobutoxy-1H-indole-2-carboxylic acid N-[4-[(R)-1-[methyl(tetrahydropyran-4-yl)amino]ethyl]phenyl]amide 863252-49-7P, 4-(5-Chlorobenzofuran-3-ylmethoxy)-1H-indole-2-carboxylic acid N-[4-[2-(piperidin-1-yl)ethyl]phenyl]amide 863252-51-1P, 4-(5-Chlorobenzofuran-3-ylmethoxy)-1H-indole-2-carboxylic acid N-[4-[2-(4-hydroxypiperidin-1-yl)ethyl]phenyl]amide 863252-87-3P, 4-Isobutoxy-1H-indole-2-carboxylic acid [4-[[methyl(tetrahydropyran-4-yl)amino]methyl]cyclohexyl]amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of chemokine receptor antagonists, particularly 1H-indole-2-carboxylic acid N-(piperidin-4-yl)amides)

RN 863250-06-0 CAPLUS

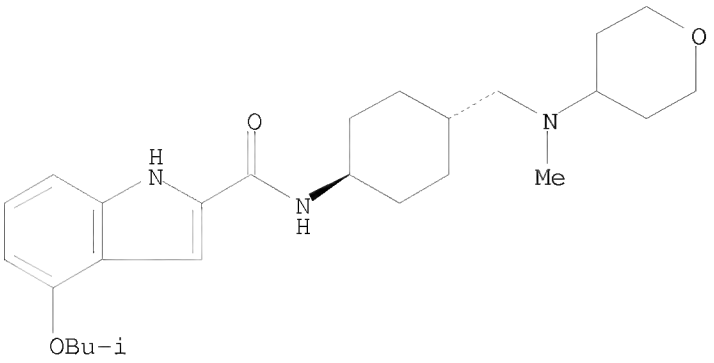
CN 1H-Indole-2-carboxamide, N-[4-[2-(hexahydro-1H-azepin-1-yl)ethyl]phenyl]-4-(2-methylpropoxy)- (CA INDEX NAME)



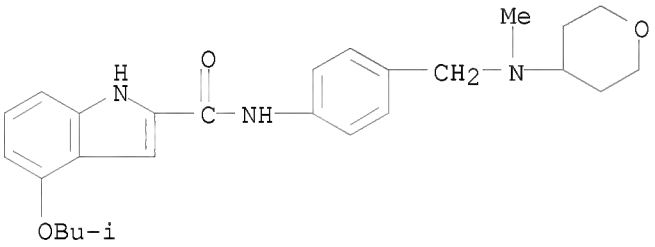
RN 863250-07-1 CAPLUS

CN 1H-Indole-2-carboxamide, 4-(2-methylpropoxy)-N-[trans-4-[[methyl(tetrahydro-2H-pyran-4-yl)amino]methyl]cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.

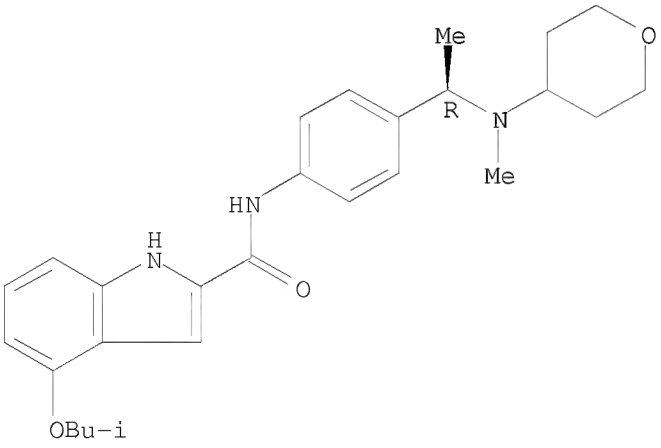


RN 863250-08-2 CAPLUS
CN 1H-Indole-2-carboxamide, 4-(2-methylpropoxy)-N-[4-[[methyl(tetrahydro-2H-pyran-4-yl)amino]methyl]phenyl]- (CA INDEX NAME)

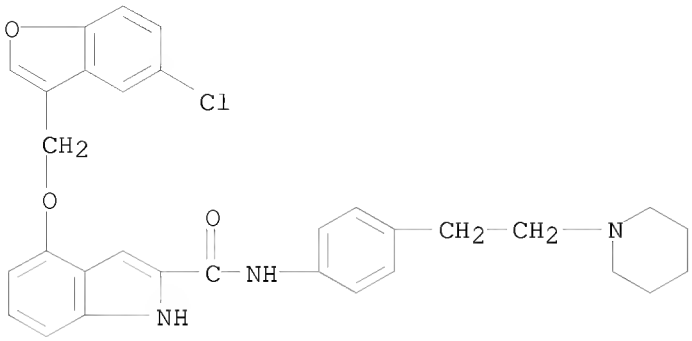


RN 863250-09-3 CAPLUS
CN 1H-Indole-2-carboxamide, 4-(2-methylpropoxy)-N-[4-[(1R)-1-[methyl(tetrahydro-2H-pyran-4-yl)amino]ethyl]phenyl]- (CA INDEX NAME)

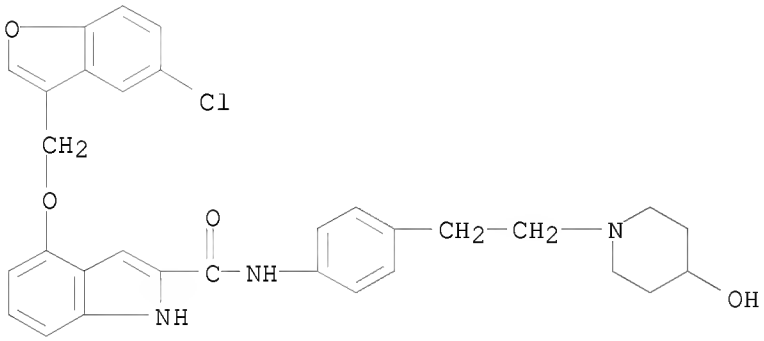
Absolute stereochemistry.



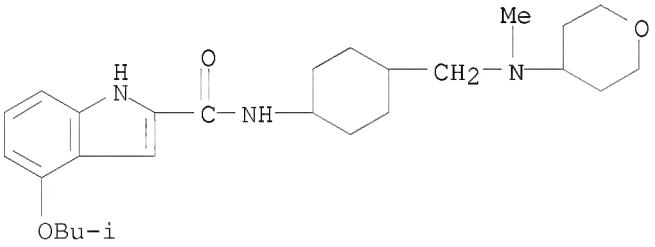
RN 863252-49-7 CAPLUS
CN 1H-Indole-2-carboxamide, 4-[(5-chloro-3-benzofuranyl)methoxy]-N-[4-[2-(1-piperidinyl)ethyl]phenyl]- (CA INDEX NAME)



RN 863252-51-1 CAPLUS
CN 1H-Indole-2-carboxamide, 4-[(5-chloro-3-benzofuranyl)methoxy]-N-[4-[2-(4-hydroxy-1-piperidinyl)ethyl]phenyl]- (CA INDEX NAME)



RN 863252-87-3 CAPLUS
CN 1H-Indole-2-carboxamide, 4-(2-methylpropoxy)-N-[4-[[methyl(tetrahydro-2H-pyran-4-yl)amino]methyl]cyclohexyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:916840 CAPLUS <<LOGINID::20091014>>

DOCUMENT NUMBER: 142:85848
TITLE: A novel class of achiral seco-analogs of CC-1065 and the duocarmycins: design, synthesis, DNA binding, and anticancer properties
AUTHOR(S): Kupchinsky, Stanley; Centioni, Sara; Howard, Tiffany; Trzupek, John; Roller, Shane; Carnahan, Virginia; Townes, Heather; Purnell, Bethany; Price, Carly; Handl, Heather; Summerville, Kaitlin; Johnson, Kimberly; Toth, James; Hudson, Stephen; Kiakos, Konstantinos; Hartley, John A.; Lee, Moses
CORPORATE SOURCE: Department of Chemistry, Furman University, Greenville, SC, 29613, USA
SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(23), 6221-6236
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:85848
GI

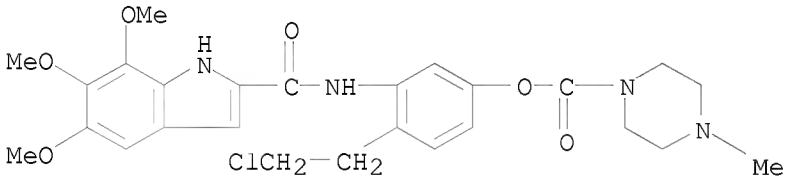
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The synthesis, DNA binding properties, and in vitro and in vivo anticancer activity of fifteen achiral seco-cyclopropylindoline (or achiral seco-CI) analogs of CC-1065 and the duocarmycins are described. The achiral seco-CI analogs contain a 4-hydroxyphenethyl halide moiety that is attached to a wide range of indole, benzimidazole, pyrrole, and pyridyl-containing noncovalent binding components. The 4-hydroxyphenethyl halide moiety represents the simplest mimic of the seco-cyclopropylpyrroloindoline (seco-CPI) pharmacophore found in the natural products, and it lacks a chiral center. The sequence and minor groove specificity of the achiral compds. was ascertained using a Taq DNA polymerase stop assay and a thermal induced DNA cleavage experiment using either a fragment of pBR322 or pUC18 plasmid DNA. For example, seco-CI-InBf (I) and seco-CI-TMI (II) demonstrated specificity for AT-rich sequences, particularly by reacting with the underlined adenine-N3 position of 5'-AAAA(865)-3'. This is also the sequence that CC-1065 and adozelesin prefer to alkylate. The achiral seco-CI compds. were subjected to cytotoxicity studies against several human (K562, LS174T, PC3, and MCF-7) and murine cancer cell lines (L1210 and P815). Following continuous drug exposure, the achiral compds. were found to be cytotoxic, with IC50 values in the μM range. The carbamate protected compound III was significantly less cytotoxic than agent II, supporting the hypothesis that loss of HCl and formation of a spiro[2,5]cyclopropylcyclohexadienone intermediate is necessary for biol. activity. The achiral seco-CI compds. I and II were submitted to the National Cancer Institute for further cytotoxicity screening against a panel of 60 different human cancer cell lines. Both compds. showed significant activity, particularly against several solid tumor cell lines. Flow cytometry studies of P815 cells that were incubated with compound 5c at its IC50 concentration for 24 h showed induction of apoptosis in a large percentage of cells. Compds. I and II were selected by the NCI for an in vivo anticancer hollow-fiber test, and received composite scores of 18 and 22, resp. These two compds. were subsequently evaluated for in vivo anticancer activity against the growth of a human advanced stage SC UACC-257 melanoma in skid mice. At a dose of 134 mg/kg administered IP, compound II gave a T/C value of 40% (for day 51),

and the median number of days of doubling tumor growth was 27.7, vs. 15.8 for untreated animals. For compound I, at 200 mg/kg, the T/C was 58% and the median number of days of doubling tumor growth was 20.0 vs. 8.7 for untreated animals. At these doses no toxicity or weight loss was observed for either compound Furthermore, compound II was not toxic to murine bone marrow cell growth in culture, at a dose that was toxic for the previously reported seco-CBI (cyclopropylbenzoindoline)-TMI (4).

IT 817623-44-2P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(design, synthesis, DNA binding, and anticancer properties of achiral seco-analogs of CC-1065 and the duocarmycins)

RN 817623-44-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-methyl-, 4-(2-chloroethyl)-3-[[(5,6,7-trimethoxy-1H-indol-2-yl)carbonyl]amino]phenyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
REFERENCE COUNT: 69 THERE ARE 69 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:780360 CAPLUS <<LOGINID::20091014>>
DOCUMENT NUMBER: 141:295859
TITLE: Preparation of N-aryl-1H-indole-2-carboxamides as cytokine inhibitors
INVENTOR(S): Cirillo, Pier Francesco; Gao, Donghong Amy; Goldberg, Daniel R.; Hammach, Abdelhakim; Hao, Ming-Hong; Kamhi, Victor Marc; Moss, Neil; Netherton, Matthew Russell; Qian, Kevin Chungeng; Ralph, Mark Stephen; Wu, Lifen; Xiong, Zhaoming
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 82 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040186114	A1	20040923	US 2004-789354	20040227
US 7078419	B2	20060718		
AU 2004264409	A1	20050224	AU 2004-264409	20040302
CA 2518774	A1	20050224	CA 2004-2518774	20040302
WO 2005016918	A2	20050224	WO 2004-US6264	20040302
WO 2005016918	A3	20050407		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
TD, TG

BR 2004008228	A	20060221	BR 2004-8228		20040302
EP 1631567	A2	20060308	EP 2004-775820		20040302
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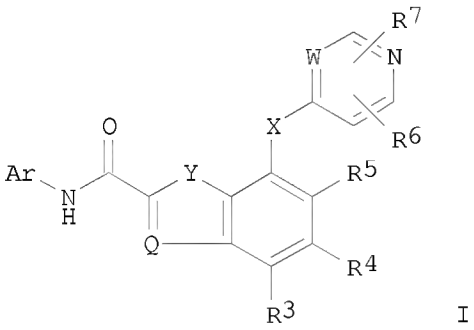
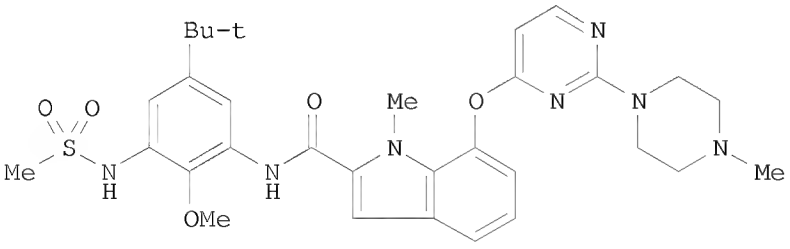
CN 1759114	A	20060412	CN 2004-80006351		20040302
JP 2006519861	T	20060831	JP 2006-508971		20040302
CN 101239972	A	20080813	CN 2008-10083505		20040302
NZ 542775	A	20080829	NZ 2004-542775		20040302
ZA 2005006242	A	20060726	ZA 2005-6242		20050804
IN 2005DN03676	A	20070824	IN 2005-DN3676		20050819
US 20060235017	A1	20061019	US 2006-426603		20060627
US 7335657	B2	20080226			
PRIORITY APPLN. INFO.:			US 2003-453364P	P	20030310
			US 2004-789354	A1	20040227
			CN 2004-80006351	A3	20040302
			WO 2004-US6264	W	20040302

OTHER SOURCE(S): MARPAT 141:295859
GI



I



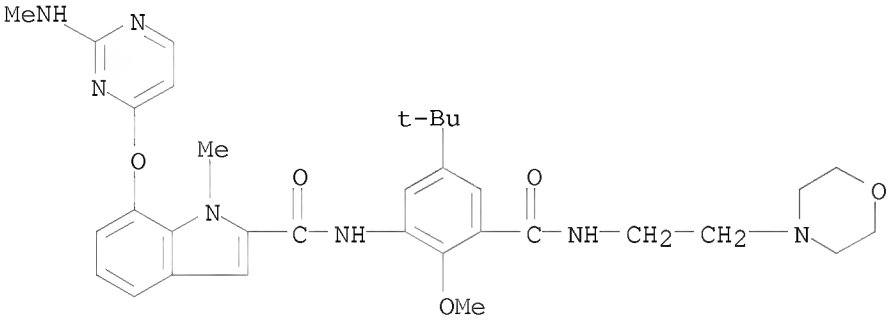
II

AB Title compds. I [wherein Ar = (un)substituted aryl; Q = N, (un)substituted CH; W = N, CH; X = CH2, O, S, (un)substituted NH; Y = O, SO0-2, (un)substituted CH2, CH=CH, NH; R3-R5 = independently H, halo, alkyl; R6 = a bond, O, O(CH2)1-5, CO, NH, CONH, S, (un)substituted alkyl, alkenyl,

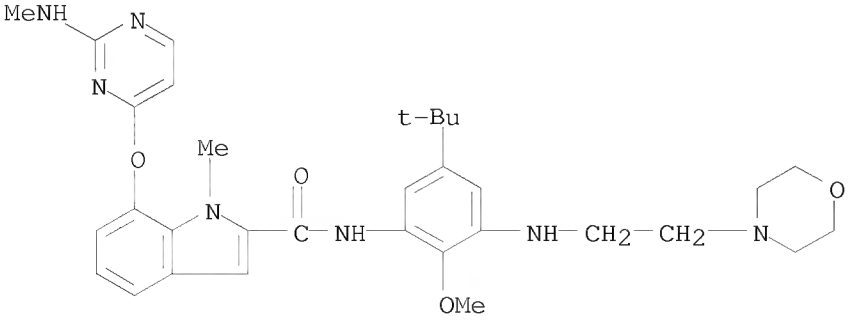
acyl, heterocyclyl, aryl; R7 = H, alkyl; and pharmaceutically acceptable salts, acids, or isomers thereof] were prepared For example, a 9-step synthesis starting from 3-methyl-2-nitrophenol, di-Et oxalate, 5-tert-butyl-3-methanesulfonamido-2-methoxyaniline, 2,4-dichloropyrimidine, and 1-methylpiperazine gave II. I inhibit production of cytokines involved in inflammatory processes and are, thus, useful for treating diseases and pathol. conditions involving inflammation, such as chronic inflammatory disease (no data). The compds. are also useful for treating diseases or conditions related to oncol. and anticoagulant or fibrinolytic therapy (no data). Also disclosed are processes for preparing these compds. and pharmaceutical compns. comprising them.

IT 761428-77-7P, 1-Methyl-7-(2-methylaminopyrimidin-4-yloxy)-1H-indole-2-carboxylic acid N-[5-tert-butyl-2-methoxy-3-[[2-(morpholin-4-yl)ethyl]carbamoyl]phenyl]amide 761428-91-5P, 1-Methyl-7-(2-methylaminopyrimidin-4-yloxy)-1H-indole-2-carboxylic acid N-[5-tert-butyl-2-methoxy-3-[[2-(morpholin-4-yl)ethyl]amino]phenyl]amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cytokine inhibitor; preparation of indolecarboxamides as cytokine inhibitors for treatment of inflammatory diseases, cancer, and other conditions)

RN 761428-77-7 CAPLUS
CN 1H-Indole-2-carboxamide, N-[5-(1,1-dimethylethyl)-2-methoxy-3-[[[2-(4-morpholinyl)ethyl]amino]carbonyl]phenyl]-1-methyl-7-[[2-(methylamino)-4-pyrimidinyl]oxy]- (CA INDEX NAME)



RN 761428-91-5 CAPLUS
CN 1H-Indole-2-carboxamide, N-[5-(1,1-dimethylethyl)-2-methoxy-3-[[2-(4-morpholinyl)ethyl]amino]phenyl]-1-methyl-7-[[2-(methylamino)-4-pyrimidinyl]oxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:745036 CAPLUS <<LOGINID::20091014>>
DOCUMENT NUMBER: 130:3775
TITLE: Preparation of N-[2-(4-carboxamidocyclohexyl)ethyl]tetrahydroisoquinolines as dopamine D3 receptor ligands
INVENTOR(S): Branch, Clive Leslie; Johnson, Christopher Norbert; Stemp, Geoffrey
PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9850364	A1	19981112	WO 1998-EP2583	19980427
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2288899	A1	19981112	CA 1998-2288899	19980427
AU 9876518	A	19981127	AU 1998-76518	19980427
AU 725491	B2	20001012		
EP 983244	A1	20000308	EP 1998-924262	19980427
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
TR 9902724	T2	20000421	TR 1999-2724	19980427
HU 2000003608	A2	20010328	HU 2000-3608	19980427
HU 2000003608	A3	20010628		
BR 9809591	A	20010911	BR 1998-9591	19980427
JP 2002501506	T	20020115	JP 1998-547712	19980427
ZA 9803659	A	19991101	ZA 1998-3659	19980430
NO 9905338	A	19991102	NO 1999-5338	19991102
MX 9910101	A	20000430	MX 1999-10101	19991103
US 6465485	B1	20021015	US 2000-656379	20000906
PRIORITY APPLN. INFO.:			GB 1997-8976 A	19970503
			GB 1997-23294 A	19971104
			WO 1998-EP2583 W	19980427
			US 1999-423163 B1	19991102

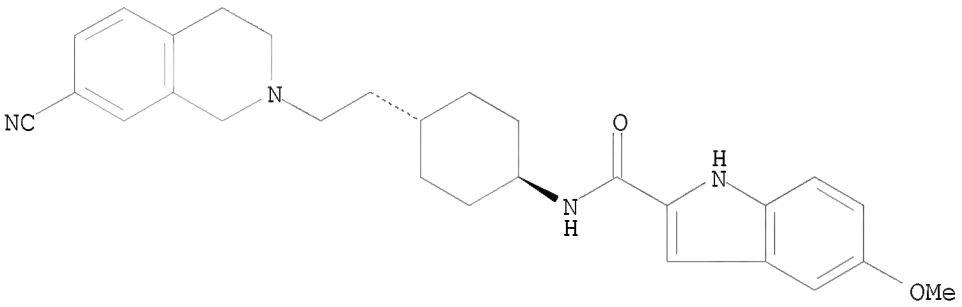
OTHER SOURCE(S): MARPAT 130:3775
AB R1CH2CH2ZNR2COR (Z = 1,4-cyclohexylene)[I; R = (un)substituted Ph, -heteroaryl, (E)-CH:CHPh, etc.; R1 = benzene ring-(un)substituted 1,2,3,4-tetrahydroisoquinolin-2-yl; R2 = H or alkyl] were prepared Thus, 8-(2-hydroxyethyl)-1,4-dioxaspiro[4.5]decane was oxidized and the product reductively aminated by 7-cyano-1,2,3,4-tetrahydroisoquinoline to give, after deprotection and reductive amination, cis- and trans-2-[2-(4-aminocyclohexyl)ethyl]-7-cyano-1,2,3,4-

tetrahydroisoquinoline. The latter mixture was treated with indole-2-carboxylic acid under amidation conditions to give trans-I (R = 2-indolyl, R1 = 7-cyano-1,2,3,4-tetrahydroisoquinolin-2-yl, R2 = H). Data for biol. activity of I were given.

IT 215802-29-2P 215802-51-0P 215803-53-5P
215803-62-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-{2-(4-carboxamidocyclohexyl)ethyl]tetrahydroisoquinolines as dopamine D3 receptor ligands)

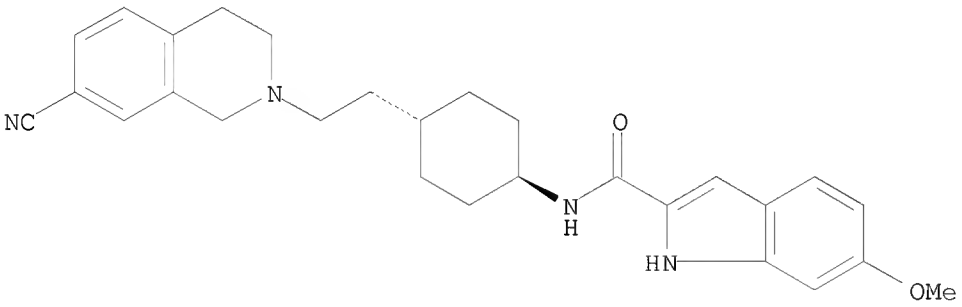
RN 215802-29-2 CAPLUS
CN 1H-Indole-2-carboxamide, N-[trans-4-[2-(7-cyano-3,4-dihydro-2(1H)-isoquinolinyl)ethyl]cyclohexyl]-5-methoxy- (CA INDEX NAME)

Relative stereochemistry.



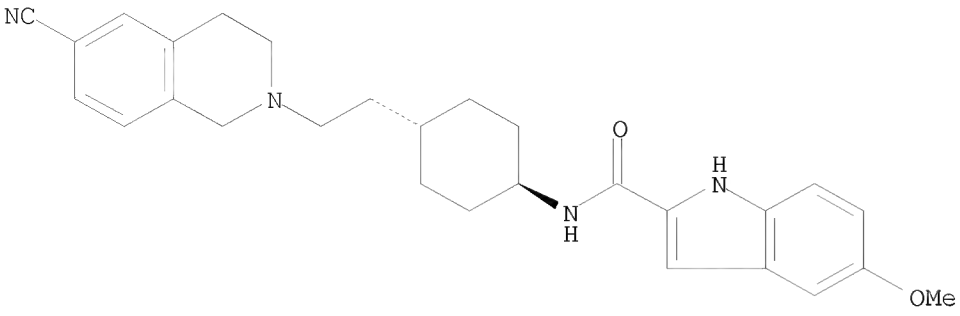
RN 215802-51-0 CAPLUS
CN 1H-Indole-2-carboxamide, N-[trans-4-[2-(7-cyano-3,4-dihydro-2(1H)-isoquinolinyl)ethyl]cyclohexyl]-6-methoxy- (CA INDEX NAME)

Relative stereochemistry.



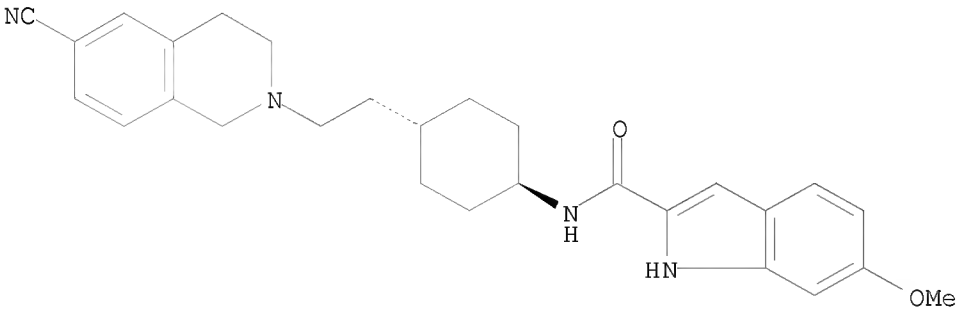
RN 215803-53-5 CAPLUS
CN 1H-Indole-2-carboxamide, N-[trans-4-[2-(6-cyano-3,4-dihydro-2(1H)-isoquinolinyl)ethyl]cyclohexyl]-5-methoxy- (CA INDEX NAME)

Relative stereochemistry.



RN 215803-62-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[trans-4-[2-(6-cyano-3,4-dihydro-2(1H)-isoquinolinyl)ethyl]cyclohexyl]-6-methoxy- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT:	15	THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (19 CITINGS)
REFERENCE COUNT:	5	THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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